

02/08/2006,10540276.trn

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| | | | |
|------|---------|--------|--|
| NEWS | 1 | | Web Page URLs for STN Seminar Schedule - N. America |
| NEWS | 2 | | "Ask CAS" for self-help around the clock |
| NEWS | 3 | JAN 17 | Pre-1988 INPI data added to MARPAT |
| NEWS | 4 | FEB 21 | STN AnaVist, Version 1.1, lets you share your STN AnaVist visualization results |
| NEWS | 5 | FEB 22 | The IPC thesaurus added to additional patent databases on STN |
| NEWS | 6 | FEB 22 | Updates in EPFULL; IPC 8 enhancements added |
| NEWS | 7 | FEB 27 | New STN AnaVist pricing effective March 1, 2006 |
| NEWS | 8 | MAR 03 | Updates in PATDPA; addition of IPC 8 data without attributes |
| NEWS | 9 | MAR 22 | EMBASE is now updated on a daily basis |
| NEWS | 10 | APR 03 | New IPC 8 fields and IPC thesaurus added to PATDPAFULL |
| NEWS | 11 | APR 03 | Bibliographic data updates resume; new IPC 8 fields and IPC thesaurus added in PCTFULL |
| NEWS | 12 | APR 04 | STN AnaVist \$500 visualization usage credit offered |
| NEWS | 13 | APR 12 | LINSPEC, learning database for INSPEC, reloaded and enhanced |
| NEWS | 14 | APR 12 | Improved structure highlighting in FQHIT and QHIT display in MARPAT |
| NEWS | 15 | APR 12 | Derwent World Patents Index to be reloaded and enhanced during second quarter; strategies may be affected |
| NEWS | 16 | MAY 10 | CA/CAPLUS enhanced with 1900-1906 U.S. patent records |
| NEWS | 17 | MAY 11 | KOREAPAT updates resume |
| NEWS | 18 | MAY 19 | Derwent World Patents Index to be reloaded and enhanced |
| NEWS | 19 | MAY 30 | IPC 8 Rolled-up Core codes added to CA/CAPLUS and USPTAFULL/USPAT2 |
| NEWS | 20 | MAY 30 | The F-Term thesaurus is now available in CA/CAPLUS |
| NEWS | 21 | JUN 02 | The first reclassification of IPC codes now complete in INPADOC |
| NEWS | EXPRESS | | FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005. V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT http://download.cas.org/express/v8.0-Discover/ |
| NEWS | HOURS | | STN Operating Hours Plus Help Desk Availability |
| NEWS | LOGIN | | Welcome Banner and News Items |
| NEWS | IPC8 | | For general information regarding STN implementation of IPC 8 |
| NEWS | X25 | | X.25 communication option no longer available after June 2006 |

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 17:01:19 ON 13 JUN 2006

| | | |
|----------------------|------------|---------|
| => file reg | | |
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 17:01:25 ON 13 JUN 2006
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 12 JUN 2006 HIGHEST RN 887497-01-0
DICTIONARY FILE UPDATES: 12 JUN 2006 HIGHEST RN 887497-01-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

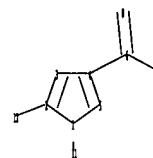
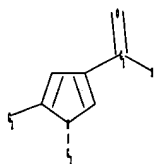
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>
Uploading C:\Program Files\Stnexp\Queries\10540276.str



chain nodes :
6 7 8 11 12
ring nodes :
1 2 3 4 5
chain bonds :
1-11 2-12 4-6 6-7 6-8
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 1-11 2-3 2-12 3-4 4-5 4-6 6-7 6-8

G1:C,S

G2:Hy,Cy

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 11:CLASS
12:CLASS

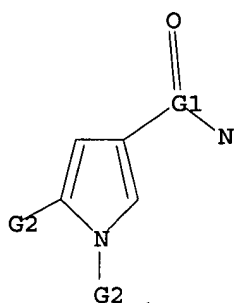
L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

02/08/2006,10540276.trn



G1 C,S

G2 Hy,Cy

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 17:01:46 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 3930 TO ITERATE

50.9% PROCESSED 2000 ITERATIONS

32 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 74841 TO 82359

PROJECTED ANSWERS: 782 TO 1732

L2 32 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 17:01:50 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 78731 TO ITERATE

100.0% PROCESSED 78731 ITERATIONS

1377 ANSWERS

SEARCH TIME: 00.00.02

L3 1377 SEA SSS FUL L1

=> file hcplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST

166.94 167.15

FILE 'HCPLUS' ENTERED AT 17:02:17 ON 13 JUN 2006

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FILE COVERS 1907 - 13 Jun 2006 VOL 144 ISS 25
FILE LAST UPDATED: 12 Jun 2006 (20060612/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

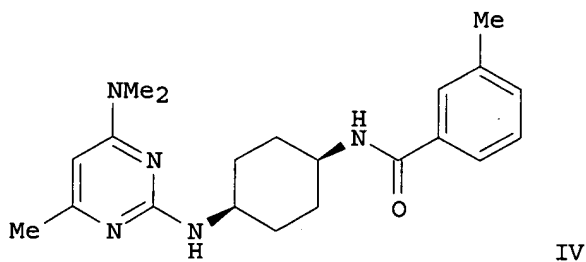
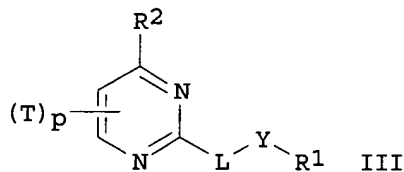
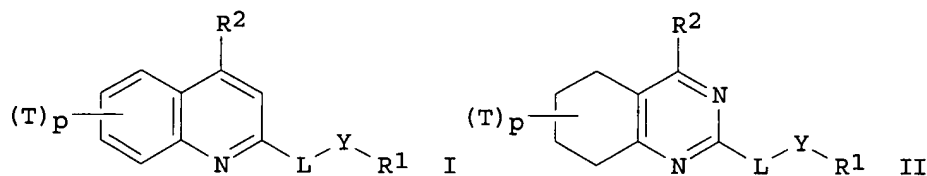
L4 29 L3

=> d ed abs ibib hitstr 1-29

L4 ANSWER 1 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 19 May 2006

GI



AB Title compds. [I, II, III; wherein R¹ = (un)substituted (cyclo)alkyl, (cyclo)alkenyl, alkynyl, aryl; R² = H, halo, OH, carboxy, carbamoyl, amino, (un)substituted alkyl, alkoxy; T = independently H, halo, OH, carboxy, carbamoyl, amino, cyano, NO₂, alkenyl, alkynyl, cycloalkyl, (un)substituted alkyl, alkoxy; p = 0-5; L = aminocycloalkylideneamino, etc.; Y = bond, CH₂, CO₂, OCO, SO₂, CO, CS, CONH, CSNH, etc.; with

provisos; and pharmaceutically acceptable salts, hydrates, or solvates thereof] were prepared as antagonists of melanin concentrating hormone (MCH),
an

endogenous ligand of G-protein coupled receptors (GPCRs). Examples include solution and solid phase general synthetic methods and phys. data for nearly 3400 invention compds. In addition, all exemplified compds. were assayed using high throughput functional screening to detect intracellular Ca^{2+} concns. for accessing GPCR activation. For instance, reaction of 2,4-dichloro-6-methylpyrimidine with dimethylamine gave 2-chloro-4-(dimethylamino)-6-methylpyrimidine (40%), which was coupled with cis-(4-aminocyclohexyl)carbamic acid tert-Bu ester (60%). Deprotection (72%), amidation, and workup provided the benzamide (IV)•TFA. The latter demonstrated MCH antagonist activity with an IC_{50} value of 7.6 nM. Thus, pharmaceutical compns. comprising I are useful for the prophylaxis or treatment of improving memory function, sleeping and arousal, anxiety, depression, mood disorders, seizure, obesity, diabetes, appetite and eating disorders, cardiovascular disease, hypertension, dyslipidemia, myocardial infarction, binge eating disorders including bulimia, anorexia, mental disorders including manic depression, schizophrenia, delirium, dementia, stress, cognitive disorders, attention deficit disorder, substance abuse disorders, and dyskinesias including Parkinson's disease, epilepsy, and addiction (no data).

ACCESSION NUMBER: 2006:464826 HCAPLUS
TITLE: Preparation of quinoline, tetrahydroquinazoline, and pyrimidine derivatives as MCH antagonist for treatment of CNS disorders
INVENTOR(S): Sekiguchi, Yoshinori; Kanuma, Yukihiro; Omodera, Katsunori; Busujima, Takeshi; Tran, Thuy-Ahn; Han, Sangdong; Casper, Martin; Brian, A. Kramer; Semple, Graeme; Zou, Ning
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan; Arena Pharmaceutical Inc.
SOURCE: Jpn. Kokai Tokkyo Koho, 781 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|------------|
| JP 2006124387 | A2 | 20060518 | JP 2005-286311 | 20050930 |
| PRIORITY APPLN. INFO.: | | | JP 2004-287659 | A 20040930 |

IT 769183-15-5P 769184-55-6P 769185-93-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

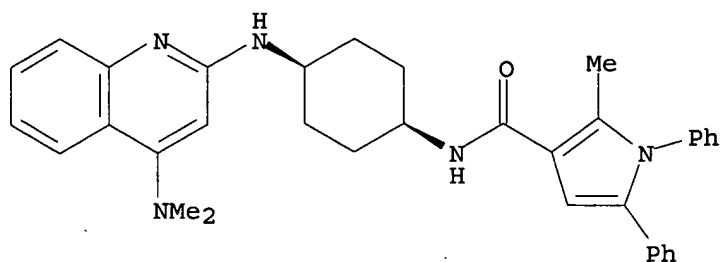
(MCH antagonist; preparation of quinolines, quinazolines, and pyrimidines as MCH antagonist for treatment of CNS disorders)

RN 769183-15-5 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[cis-4-[[4-(dimethylamino)-2-quinolinyl]amino]cyclohexyl]-2-methyl-1,5-diphenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

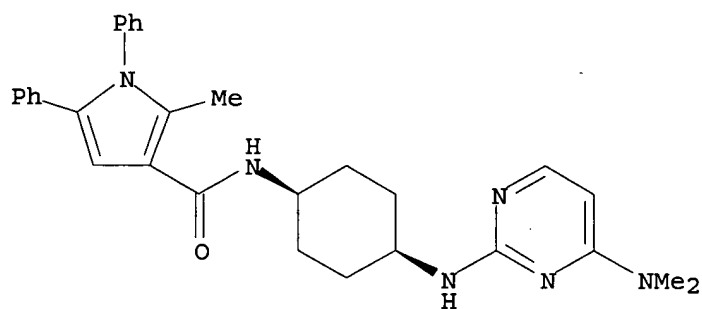
02/08/2006,10540276.trn



RN 769184-55-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[cis-4-[[4-(dimethylamino)-2-pyrimidinyl]amino]cyclohexyl]-2-methyl-1,5-diphenyl- (9CI) (CA INDEX NAME)

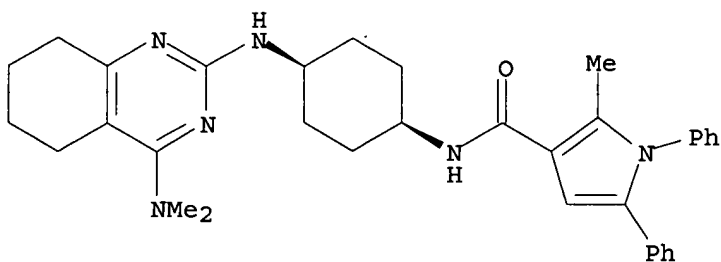
Relative stereochemistry.



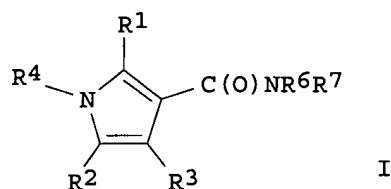
RN 769185-93-5 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[cis-4-[[4-(dimethylamino)-5,6,7,8-tetrahydro-2-quinazolinyl]amino]cyclohexyl]-2-methyl-1,5-diphenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 2 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 11 Apr 2006
GI



AB Pyrrolocarboxamide derivs. (shown as I; other Markush structures for pyrrolocarboxamides are defined in the claims; variables defined below; e.g. 1-[4-fluoro-2-(trifluoromethyl)phenyl]-2,5-dimethyl-1H-pyrrole-3-carboxylic acid N-[4-(sulfamoyl)phenyl]amide (II)), compns. and methods for modulating the activity of receptors are provided. In particular compds. and compns. are provided for modulating the activity of receptors and for the treatment, prevention, or amelioration of ≥ 1 symptoms of disease or disorder directly or indirectly related to the activity of the receptors. Semiquant. IC₅₀ values for antagonist activity of 23 examples of I are tabulated and compared to the activity of the Spironolactone control. For I: R₁ and R₂ = H, halo, cyano, or (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocyclyl, or heterocyclylalkyl, or -OR₉, -SR₉, -N(R₉)₂, -C(O)OR₉ or -C(O)N(R₉)₂; R₃ = H, halo, cyano, (un)substituted alkyl, (un)substituted alkenyl or (un)substituted alkynyl; R₄ is H, -C(O)R₉, -S(O)₂R₉, or (un)substituted alkyl, alkenyl or alkynyl, or R₄ is (un)substituted cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl or heteroaralkyl; R₆ is H or (un)substituted alkyl; R₇ is (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl or heteroaralkyl; addnl. details are given in the claims. Although the methods of preparation are not claimed, prepns. and/or characterization data for many examples of I are included. For example, II was prepared in 5 steps (50, 37, 62, 64, and 66 % yields, resp.) starting with preparation of 1-[4-fluoro-2-(trifluoromethyl)phenyl]-2,5-dimethyl-1H-pyrrole from 4-fluoro-2-(trifluoromethyl)aniline and 2,5-hexanedione, followed by preparation of the following intermediates: 1-(4-fluoro-2-trifluoromethylphenyl)-2,5-dimethyl-1H-pyrrole-3-carboxaldehyde, 1-[4-fluoro-2-(trifluoromethyl)phenyl]-2,5-dimethyl-1H-pyrrole-3-carboxylic acid, and 1-[4-fluoro-2-(trifluoromethyl)phenyl]-2,5-dimethyl-1H-pyrrole-3-carbonyl chloride and finally amide formation with sulfanilamide.

| | |
|---------------------|--|
| ACCESSION NUMBER: | 2006:332235 HCAPLUS |
| DOCUMENT NUMBER: | 144:350539 |
| TITLE: | Preparation of pyrrolocarboxamide derivatives as mineralocorticoid receptor antagonists for use against cancer and other disorders |
| INVENTOR(S): | Canne Bannen, Lynne; Chen, Jeff; Dalrymple, Lisa Esther; Flatt, Brenton T.; Forsyth, Timothy Patrick; Gu, Xiao-Hu; Mac, Morrison B.; Mann, Larry W.; Mann, Grace; Martin, Richard; Mohan, Raju; Murphy, Brett; Nyman, Michael Charles; Stevens, William C., Jr.; Wang, Tie-Lin; Wong, Yong; Wu, Jason H. |
| PATENT ASSIGNEE(S): | Exelixis, Inc., USA |
| SOURCE: | PCT Int. Appl., 477 pp. CODEN: PIXXD2 |
| DOCUMENT TYPE: | Patent |
| LANGUAGE: | English |

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2006012642 | A2 | 20060202 | WO 2005-US26916 | 20050730 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |

PRIORITY APPLN. INFO.:

| | | |
|-----------------|---|----------|
| US 2004-592439P | P | 20040730 |
| US 2004-592469P | P | 20040730 |

OTHER SOURCE(S): MARPAT 144:350539

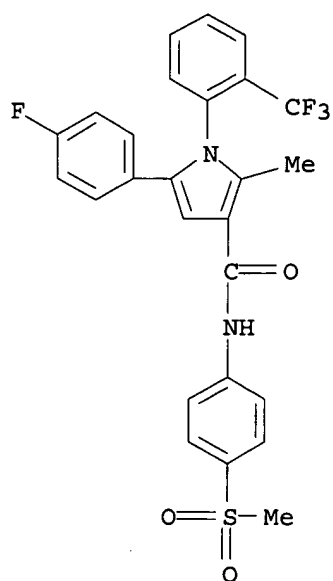
IT 880779-30-6P, 5-(4-Fluorophenyl)-2-methyl-1-(2-trifluoromethylphenyl)-1H-pyrrole-3-carboxylic acid N-(4-methylsulfonylphenyl)amide 880779-31-7P, 1,5-Bis(4-fluorophenyl)-2-methyl-1H-pyrrole-3-carboxylic acid N-(4-methylsulfonylphenyl)amide 880779-32-8P, 5-(4-Fluorophenyl)-2-methyl-1-(2-trifluoromethylphenyl)-1H-pyrrole-3-carboxylic acid N-(3-methoxy-4-sulfamoylphenyl)amide 880779-33-9P, 5-(4-Fluorophenyl)-2-methyl-1-(2-trifluoromethylphenyl)-1H-pyrrole-3-carboxylic acid dimethylamide 880779-73-7P, 4-Methyl-N-[4-(methylsulfonyl)phenyl]-1-phenyl-5-[2-(trifluoromethyl)phenyl]-1H-pyrrole-3-carboxamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrrolocarboxamide derivs. as mineralocorticoid receptor antagonists for use against cancer and other disorders)

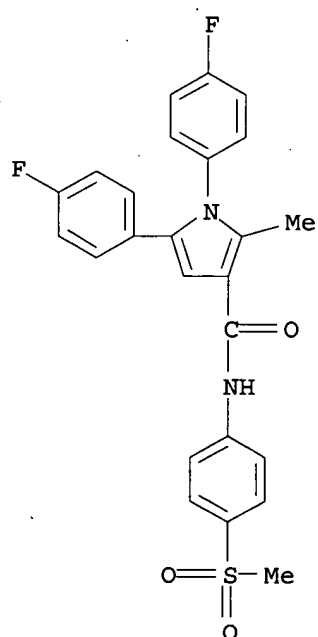
RN 880779-30-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-(4-fluorophenyl)-2-methyl-N-[4-(methylsulfonyl)phenyl]-1-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 880779-31-7 HCAPLUS

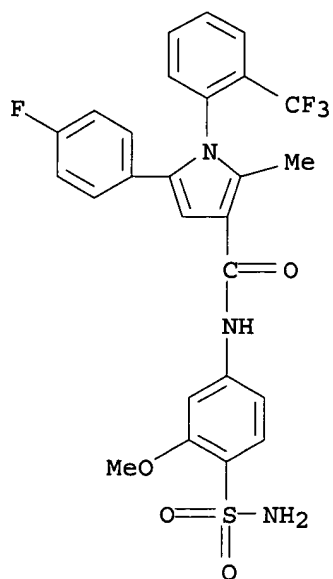
CN 1H-Pyrrole-3-carboxamide, 1,5-bis(4-fluorophenyl)-2-methyl-N-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 880779-32-8 HCAPLUS

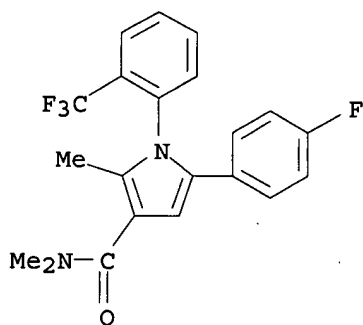
CN 1H-Pyrrole-3-carboxamide, N-[4-(aminosulfonyl)-3-methoxyphenyl]-5-(4-fluorophenyl)-2-methyl-1-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

02/08/2006,10540276.trn



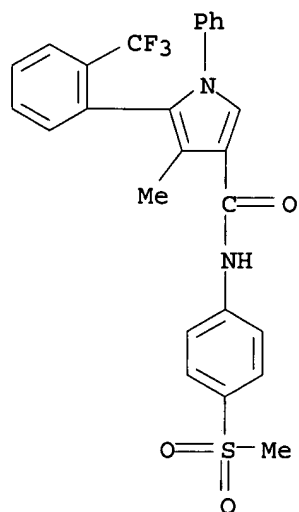
RN 880779-33-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-(4-fluorophenyl)-N,N,2-trimethyl-1-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

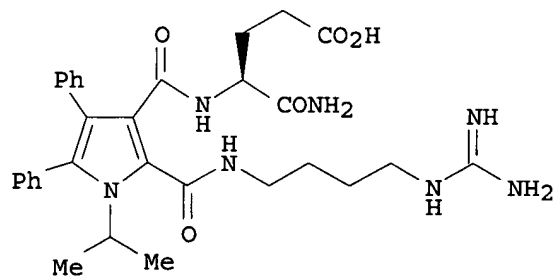


RN 880779-73-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 4-methyl-N-[4-(methanesulfonyl)phenyl]-1-phenyl-5-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 30 Dec 2005
 GI



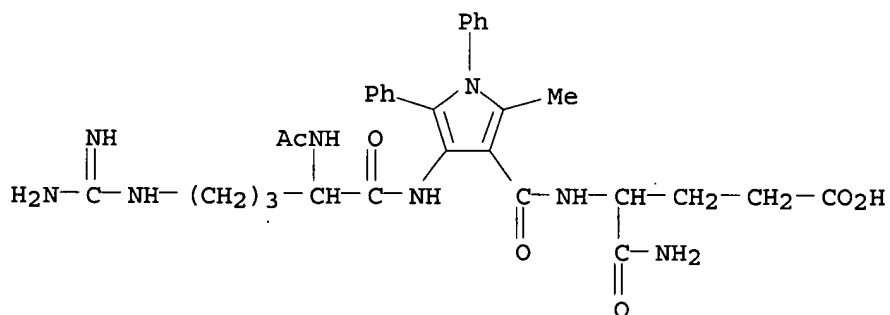
I

AB The invention provides compns. adapted to enhance reverse cholesterol transport in mammals and which are suitable for oral delivery and useful in the treatment and/or prevention of hypercholesterolemia, atherosclerosis and associated cardiovascular diseases. Mediators of reverse cholesterol transport comprise a structure having components A, B and C, where A comprises an acidic moiety having an acidic group or a bioisostere, B comprises an aromatic or lipophilic moiety having at least a portion of HMGCoA reductase inhibitor or an analog, and C comprises a basic moiety having a basic group or bioisostere. An example describes the synthesis of lipophilic group-modified peptide sequence I.TFA based on atorvastatin.

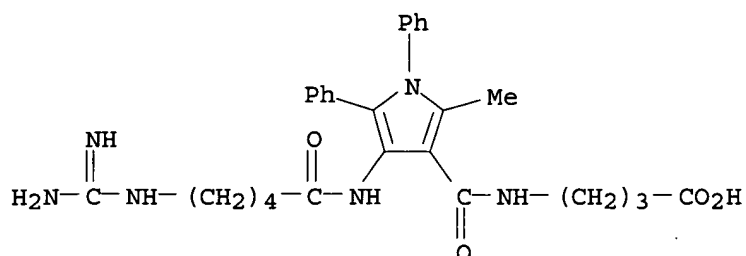
ACCESSION NUMBER: 2005:1354478 HCAPLUS
 DOCUMENT NUMBER: 144:88561
 TITLE: Preparation of amino acid heterocyclic derivatives for treatment of hyperlipidemia and related diseases
 INVENTOR(S): Sircar, Jagadish C.; Thomas, Richard J.; Khatuya, Haripada; Nikoulin, Igor
 PATENT ASSIGNEE(S): Avanir Pharmaceuticals, USA
 SOURCE: PCT Int. Appl., 106 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|----------|-----------------|------------|
| WO 2005123686 | A1 | 20051229 | WO 2005-US20660 | 20050609 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2006009487 | A1 | 20060112 | US 2005-149067 | 20050609 |
| PRIORITY APPLN. INFO.: | | | US 2004-578227P | P 20040609 |
| IT 872406-24-1P 872406-25-2P 872406-26-3P 872406-27-4P 872406-28-5P 872406-29-6P 872406-30-9P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of amino acid heterocyclic derivs. for treatment of hyperlipidemia and related diseases) | | | | |
| RN | 872406-24-1 HCAPLUS | | | |
| CN | Pentanoic acid, 4-[[[4-[[2-(acetylamino)-5-[(aminoiminomethyl)amino]-1-oxopentyl]amino]-2-methyl-1,5-diphenyl-1H-pyrrol-3-yl]carbonyl]amino]-5-amino-5-oxo- (9CI) (CA INDEX NAME) | | | |

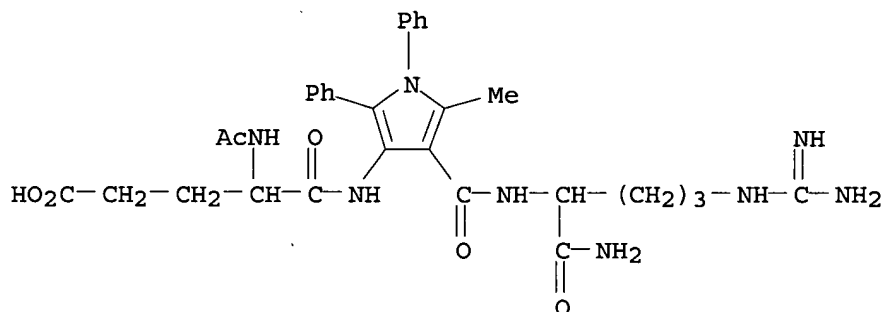


RN 872406-25-2 HCAPLUS
 CN Butanoic acid, 4-[[[4-[[5-[(aminoiminomethyl)amino]-1-oxopentyl]amino]-2-methyl-1,5-diphenyl-1H-pyrrol-3-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)



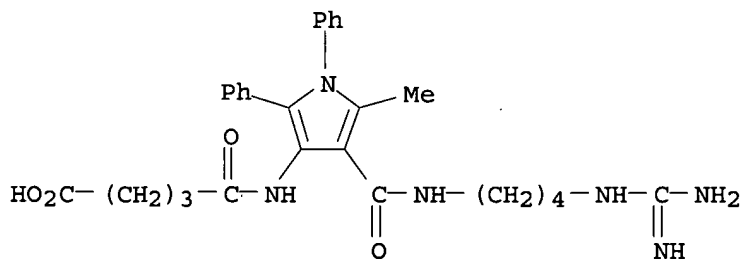
RN 872406-26-3 HCAPLUS

CN Pentanoic acid, 4-(acetylamino)-5-[[4-[[[1-(aminocarbonyl)-4-
[(aminoiminomethyl)amino]butyl]amino]carbonyl]-5-methyl-1,2-diphenyl-1H-
pyrrol-3-yl]amino]-5-oxo- (9CI) (CA INDEX NAME)



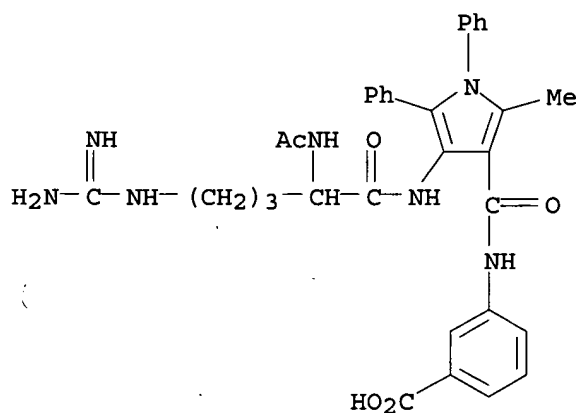
RN 872406-27-4 HCAPLUS

CN Pentanoic acid, 5-[[[4-[[[4-[(aminoiminomethyl)amino]butyl]amino]carbonyl]-5-methyl-1,2-diphenyl-1H-pyrrol-3-yl]amino]-5-oxo- (9CI) (CA INDEX NAME)

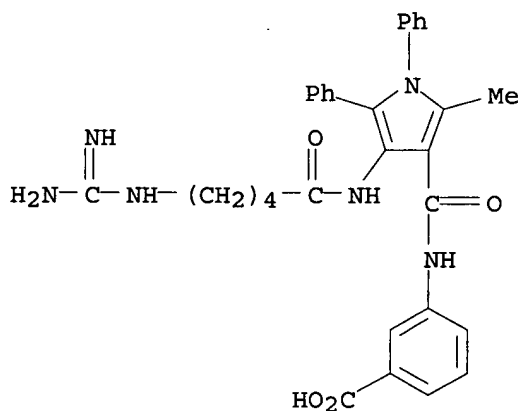


RN 872406-28-5 HCAPLUS

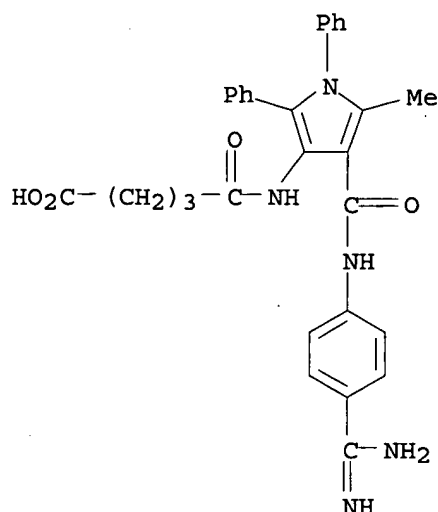
CN Benzoic acid, 3-[[[4-[2-(acetylamino)-5-[(aminoiminomethyl)amino]-1-oxopentyl]amino]-2-methyl-1,5-diphenyl-1H-pyrrol-3-yl]carbonyl]amino]-(9CI) (CA INDEX NAME)



RN 872406-29-6 HCAPLUS
 CN Benzoic acid, 3-[[[4-[[5-[(aminoiminomethyl)amino]-1-oxopentyl]amino]-2-methyl-1,5-diphenyl-1H-pyrrol-3-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)

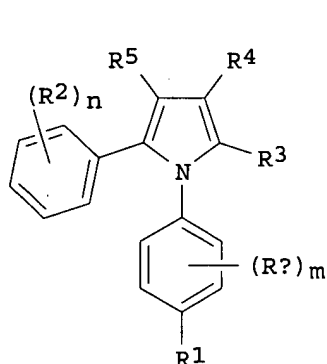


RN 872406-30-9 HCAPLUS
 CN Pentanoic acid, 5-[[[4-[[[4-(aminoiminomethyl)phenyl]amino]carbonyl]-5-methyl-1,2-diphenyl-1H-pyrrol-3-yl]amino]-5-oxo- (9CI) (CA INDEX NAME)

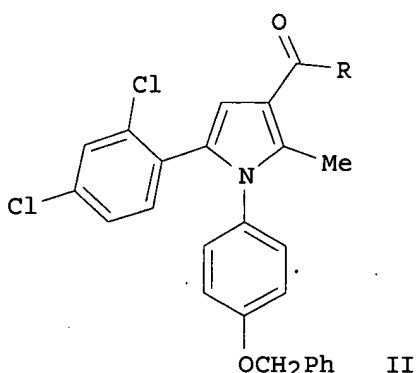


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 02 Sep 2005
GI



I



II

AB The title compds. I [R1 = substituted alkoxy, sulfonyl, sulfonamide or silanyl; Ra = halo, alkyl or alkoxy; m, n = 0-3; R2 = alkyl, alkoxy, etc; R3 = H, alkyl, alkoxy, etc.; R4 = some carbonyl, etc.; R5 = H or alkyl, and pharmaceutically acceptable salts and solvates thereof], which are active in the CB1 receptor (IC50 < 1 μ M) and believed to be selective CB1 antagonists or inverse agonists. were prepared As an example, condensation of Et acetoacetate with 2,2',4'-trichloroacetophenone using NaH as base (37%) followed by cyclization with 4-benzyloxyaniline hydrochloride (39%) gave pyrrole carboxylate II (R = OEt), which was hydrolyzed with NaOH and then coupled with 1-aminopiperidine to afford amide II (R = 1-piperidinylamino). Therefore, I and their pharmaceutical compns. may be used in the treatment of obesity, psychiatric disorders, neurol. disorders and so on.

ACCESSION NUMBER: 2005:962204 HCAPLUS
DOCUMENT NUMBER: 143:248281

TITLE: Preparation of pyrrole-3-carboxamide derivatives for the treatment of obesity and other diseases
 INVENTOR(S): Bjornse, Magnus; Cheng, Leifeng; Elebring, Thomas; Boije, Anna Maria; Alstermark Lindstedt, Eva-Lotte
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
 SOURCE: PCT Int. Appl., 45 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2005080328 | A1 | 20050901 | WO 2005-GB588 | 20050217 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |

PRIORITY APPLN. INFO.: GB 2004-3780 A 20040220

OTHER SOURCE(S): MARPAT 143:248281

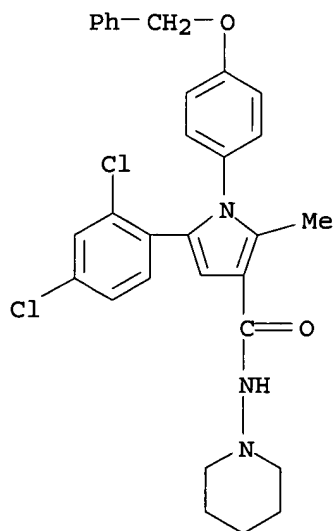
IT 863332-15-4P, 1-[4-(Benzyloxy)phenyl]-5-(2,4-dichlorophenyl)-2-methyl-N-(piperidin-1-yl)-1H-pyrrole-3-carboxamide

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

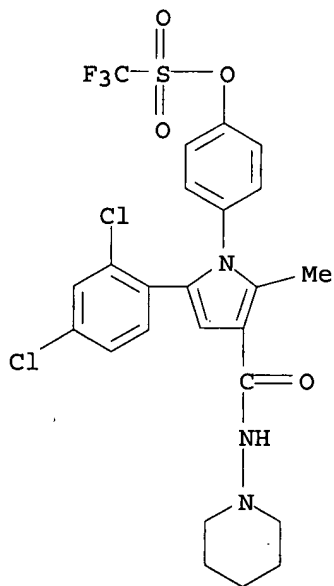
(drug candidate; preparation of pyrrolecarboxamides for treatment of obesity and other diseases)

RN 863332-15-4 HCAPLUS

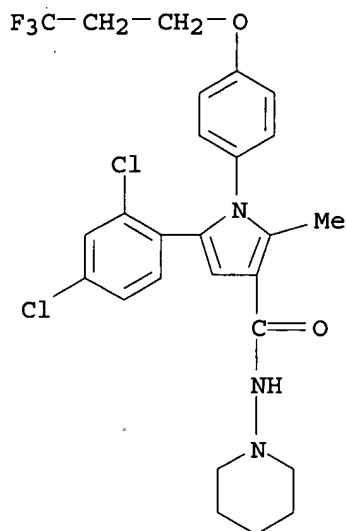
CN 1H-Pyrrole-3-carboxamide, 5-(2,4-dichlorophenyl)-2-methyl-1-[4-(phenylmethoxy)phenyl]-N-1-piperidinyl- (9CI) (CA INDEX NAME)



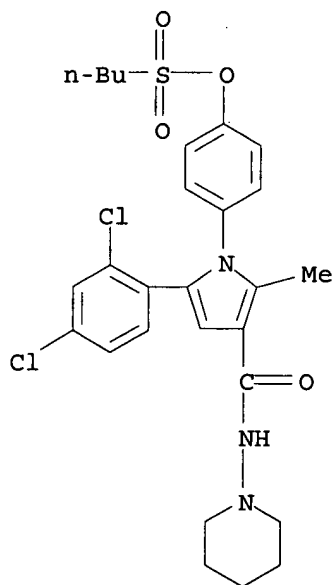
IT 863332-18-7P, 4-[5-(2,4-Dichlorophenyl)-2-methyl-3-[[piperidin-1-yl]amino]carbonyl]-1H-pyrrol-1-yl]phenyl trifluoromethanesulfonate
 863332-22-3P, 5-(2,4-Dichlorophenyl)-2-methyl-N-(piperidin-1-yl)-1-[4-(3,3,3-trifluoropropoxy)phenyl]-1H-pyrrole-3-carboxamide
 863332-23-4P, 4-[5-(2,4-Dichlorophenyl)-2-methyl-3-[[piperidin-1-yl]amino]carbonyl]-1H-pyrrol-1-yl]phenyl butane-1-sulfonate
 863332-25-6P, 5-(2,4-Dichlorophenyl)-2-methyl-1-[4-(trimethylsilyl)phenyl]-1H-pyrrole-3-carboxylic acid
 N-(piperidin-1-yl)amide 863332-26-7P, 4-[5-(2,4-Dichlorophenyl)-2-methyl-3-[[piperidin-1-yl]amino]carbonyl]-1H-pyrrol-1-yl]phenyl propane-1-sulfonate
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of pyrrolicarboxamides for treatment of obesity and other diseases)
 RN 863332-18-7 HCAPLUS
 CN Methanesulfonic acid, trifluoro-, 4-[5-(2,4-dichlorophenyl)-2-methyl-3-[(1-piperidinylamino)carbonyl]-1H-pyrrol-1-yl]phenyl ester (9CI) (CA INDEX NAME)



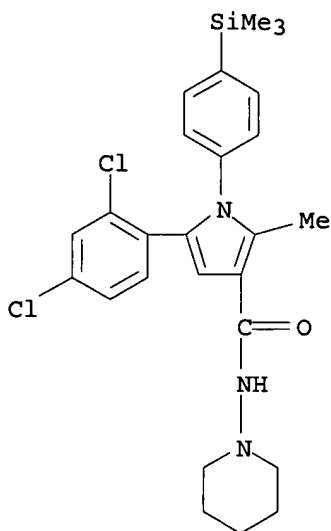
RN 863332-22-3 HCAPLUS
 CN 1H-Pyrrole-3-carboxamide, 5-(2,4-dichlorophenyl)-2-methyl-N-1-piperidinyl-1-[4-(3,3,3-trifluoropropoxy)phenyl]- (9CI) (CA INDEX NAME)



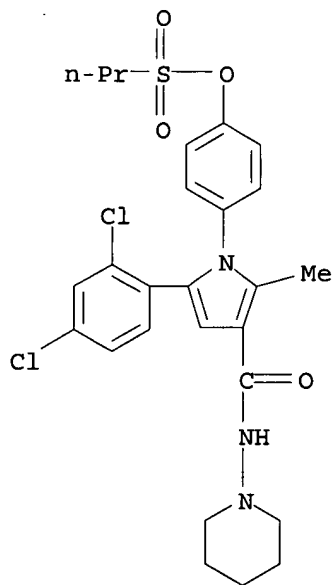
RN 863332-23-4 HCAPLUS
CN 1-Butanesulfonic acid, 4-[5-(2,4-dichlorophenyl)-2-methyl-3-[(1-piperidinylamino)carbonyl]-1H-pyrrol-1-yl]phenyl ester (9CI) (CA INDEX NAME)



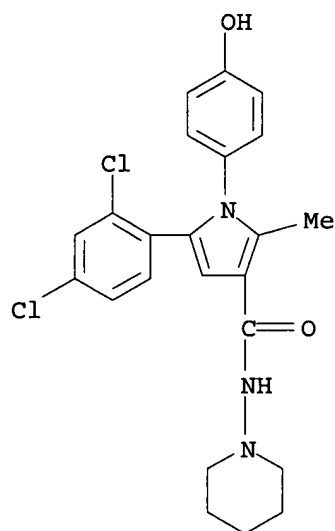
RN 863332-25-6 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-(2,4-dichlorophenyl)-2-methyl-N-1-piperidinyl-1-[4-(trimethylsilyl)phenyl]- (9CI) (CA INDEX NAME)



RN 863332-26-7 HCAPLUS
 CN 1-Propanesulfonic acid, 4-[5-(2,4-dichlorophenyl)-2-methyl-3-[(1-piperidinylamino)carbonyl]-1H-pyrrol-1-yl]phenyl ester (9CI) (CA INDEX NAME)

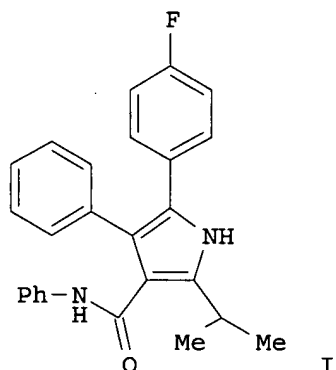


IT 863332-17-6P, 5-(2,4-Dichlorophenyl)-1-(4-hydroxyphenyl)-2-methyl-N-(piperidin-1-yl)-1H-pyrrole-3-carboxamide
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of pyrrolecarboxamides for treatment of obesity and other diseases)
 RN 863332-17-6 HCAPLUS
 CN 1H-Pyrrole-3-carboxamide, 5-(2,4-dichlorophenyl)-1-(4-hydroxyphenyl)-2-methyl-N-1-piperidinyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 19 Aug 2005
GI



AB The invention provides pyrrole-containing compds. and methods of use thereof. Kits and pharmaceutical compns. comprising the pyrrole compds. of the invention are also provided. The compds. and compns. disclosed herein are preferably used in the treatment of neurodegenerative diseases, cardiovascular diseases, proliferative diseases, neuroinflammatory disorders, vascular disorders with an inflammatory component, and visual disorders. In particular, methods and compns. for the treatment of stroke are disclosed herein. Preparation of compds. of the invention, e.g. I, is included.

ACCESSION NUMBER: 2005:824494 HCAPLUS
DOCUMENT NUMBER: 143:206476
TITLE: Pyrrole compounds for use in the treatment of stroke and other conditions

INVENTOR(S): Lockhart, David J.; Patel, Hitesh K.; Milanov, Zdravko V.; Mehta, Shamal Anil; Zarrinkar, Patrick Parvis; Biggs, William H.; Ciceri, Pietro; Fabian, Miles A.; Treiber, Daniel Kelly

PATENT ASSIGNEE(S): Ambit Biosciences Corp., USA

SOURCE: U.S. Pat. Appl. Publ., 93 pp., Cont.-in-part of U.S. Ser. No. 848,584.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

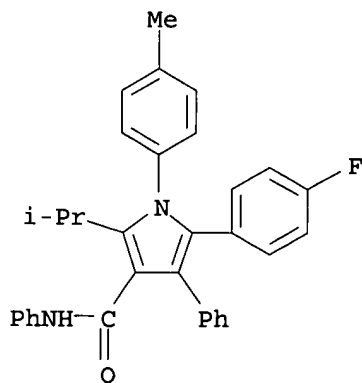
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|-------------|
| US 2005182125 | A1 | 20050818 | US 2004-989995 | 20041115 |
| US 2004259880 | A1 | 20041223 | US 2004-848584 | 20040518 |
| PRIORITY APPLN: INFO.: | | | | |
| | | | US 2003-471425P | P 20030516 |
| | | | US 2003-480289P | P 20030620 |
| | | | US 2003-480475P | P 20030620 |
| | | | US 2003-488172P | P 20030716 |
| | | | US 2003-488178P | P 20030716 |
| | | | US 2003-516610P | P 20031030 |
| | | | US 2003-516616P | P 20031030 |
| | | | US 2003-516651P | P 20031030 |
| | | | US 2004-848584 | A2 20040518 |

OTHER SOURCE(S): MARPAT 143:206476

IT 811864-73-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyrrole compds. for use in treatment of stroke and other conditions)

RN 811864-73-0 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-(4-fluorophenyl)-2-(1-methylethyl)-1-(4-methylphenyl)-N,4-diphenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN

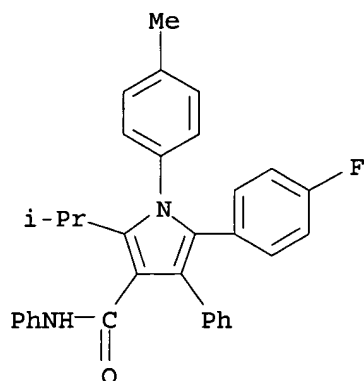
ED Entered STN: 24 Dec 2004

AB The invention provides pyrrole-containing compds. and methods of use thereof. Kits and pharmaceutical compns. comprising the pyrrole compds. of the invention are also provided. The compds. and compns. disclosed herein are preferably used in the treatment of neurodegenerative diseases, cardiovascular diseases, proliferative diseases, and visual disorders. In

particular, methods and compns. for the treatment of stroke are disclosed herein. The compds. described herein are useful in the treatment of various diseases; in particular diseases in which modulation of phosphodiesterase 6, quinone reductase 2, and/or calbindin-2 is desired.

ACCESSION NUMBER: 2004:1127333 HCAPLUS
 DOCUMENT NUMBER: 142:69218
 TITLE: Pyrrole compounds and uses thereof
 INVENTOR(S): Lockhart, David J.; Patel, Hitesh K.; Milanov, Zdravko V.; Mehta, Shamal Anil; Zarrinkar, Patrick Parvis; Biggs, William H., III; Ciceri, Pietro; Fabian, Miles A.; Treiber, Daniel Kelly
 PATENT ASSIGNEE(S): Ambit Biosciences Corporation, USA
 SOURCE: PCT Int. Appl., 142 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|--|----------|-----------------|------------|
| WO 2004110998 | A1 | 20041223 | WO 2004-US15444 | 20040517 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| US 2004242673 | A1 | 20041202 | US 2004-847897 | 20040517 |
| US 2004248972 | A1 | 20041209 | US 2004-848515 | 20040517 |
| US 2004248957 | A1 | 20041209 | US 2004-848521 | 20040517 |
| AU 2004247627 | A1 | 20041223 | AU 2004-247627 | 20040517 |
| CA 2523808 | AA | 20041223 | CA 2004-2523808 | 20040517 |
| EP 1636183 | A1 | 20060322 | EP 2004-752457 | 20040517 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | |
| PRIORITY APPLN. INFO.: | | | US 2003-471425P | P 20030516 |
| | | | US 2003-480289P | P 20030620 |
| | | | US 2003-480475P | P 20030620 |
| | | | US 2003-488172P | P 20030716 |
| | | | US 2003-488178P | P 20030716 |
| | | | US 2003-516610P | P 20031030 |
| | | | US 2003-516616P | P 20031030 |
| | | | US 2003-516651P | P 20031030 |
| | | | WO 2004-US15444 | W 20040517 |
| OTHER SOURCE(S): | MARPAT 142:69218 | | | |
| IT 811864-73-0 | | | | |
| RL: | PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) | | | |
| | (pyrrole compds. for disease treatment by modulation of phosphodiesterase 6 subunits and binding to GTPase and quinone reductase 2 and calbindin-2) | | | |
| RN 811864-73-0 | HCAPLUS | | | |
| CN 1H-Pyrrole-3-carboxamide, 5-(4-fluorophenyl)-2-(1-methylethyl)-1-(4-methylphenyl)-N,4-diphenyl- | (9CI) (CA INDEX NAME) | | | |



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 Nov 2004
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. Q-L-Y-R1 [Q = Q1, H₂NC(:NH); wherein R2 = NHNH₂, NHNHBoc, (un)substituted NH₂, morpholino, 4-acetyl-piperazinyl, 4-phenylpiperazinyl; R1 = each (un)substituted C1-16 alkyl, C2-8 alkenyl, C2-4 alkynyl, C3-6 cycloalkyl, C3-6 cycloalkenyl, carbocyclyl, carbocyclic alkyl, or heterocyclyl; L = each Q2-Q6 or its cis- or trans-isomer, Q7-Q16; R4 = H, C1-3 alkyl; R5 = H, each (un)substituted carbocyclic aryl or C1-3 alkyl; Y = SO₂, CO, a single bond, CH₂] or salts thereof are prepared These compds. are MCH receptor antagonists and used for regulating orphan G protein-coupled receptor SLC-1 and for the prevention and/or treatment of obesity, obesity-related diseases, anxiety, or depression. Thus, hydrogenolysis of benzyl cis-[[4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexyl]methyl]carbamate over 5% Pd-C in MeOH at 50° under H atmospheric for 3 days gave a solution of

cis-[[4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexyl]methyl]amine in MeOH which underwent reductive alkylation with 4-bromo-2-trifluoromethoxybenzaldehyde and NaBH(OAc)₃ in AcOH/CH₂Cl₂ to give, after purification using HPLC and treatment with 4 N HCl/EtOAc, compound (I).2HCl. In a high throughput function screen for identifying lead compds., I.2HCl inhibited the human MCH-induced cellular Ca²⁺ flux with IC₅₀ of 6 µg/mL.

ACCESSION NUMBER: 2004:963181 HCAPLUS

DOCUMENT NUMBER: 141:379941

TITLE: Preparation of quinazoline-2,4-diamines as melanin concentrating hormone (MCH) receptor antagonists
INVENTOR(S): Sekiguchi, Yoshikatsu; Kanuma, Yukihiro; Omodera, Katsunori; Tran, Thuy-ahn; Kramer, Bryan Aubrey; Beeley, Nigel Robert Arnold

PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 988 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|------------|
| JP 2004315511 | A2 | 20041111 | JP 2004-95046 | 20040329 |
| PRIORITY APPLN. INFO.: | | | JP 2003-93418 | A 20030331 |

OTHER SOURCE(S): MARPAT 141:379941

IT 509143-54-8P 510743-47-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

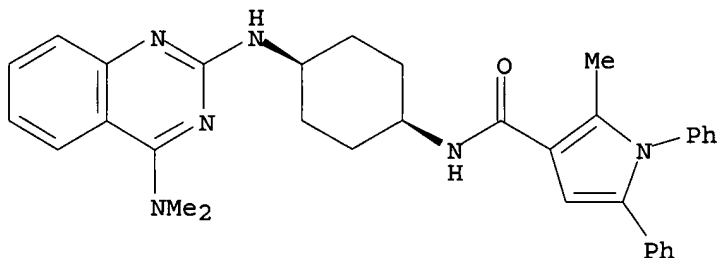
(preparation of quinazoline derivs. as melanin-concentrating hormone (MCH) receptor

antagonists for prevention and/or treatment of obesity, obesity-related diseases, anxiety, or depression)

RN 509143-54-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]-2-methyl-1,5-diphenyl- (9CI) (CA INDEX NAME)

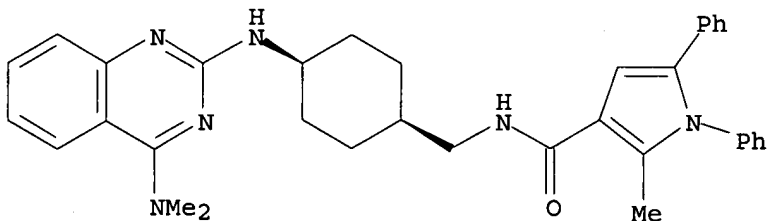
Relative stereochemistry.



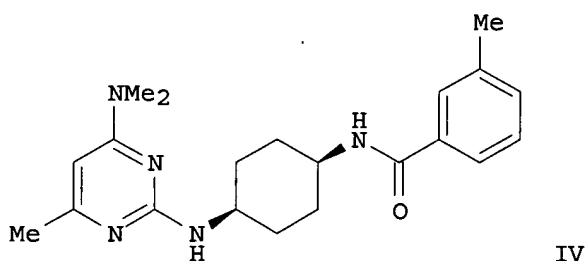
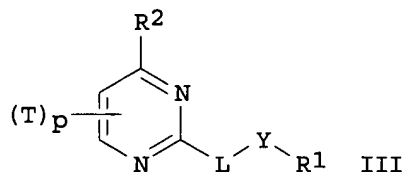
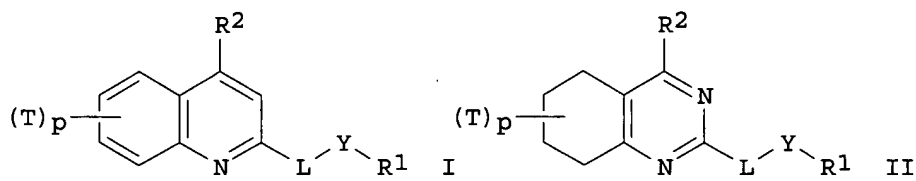
RN 510743-47-2 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]methyl]-2-methyl-1,5-diphenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 8 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 08 Oct 2004
 GI



AB Title compds. I, II, and III [wherein R1 = (un)substituted (cyclo)alkyl, (cyclo)alkenyl, alkynyl, aryl; R2 = H, halo, OH, carboxy, carbamoyl, amino, (un)substituted alkyl, alkoxy; T = independently H, halo, OH, carboxy, carbamoyl, amino, cyano, NO2, alkenyl, alkynyl, cycloalkyl, (un)substituted alkyl, alkoxy; p = 0-5; L = aminocycloalkylideneamino, etc.; Y = bond, CH2, CO2, OCO, SO2, CO, CS, CONH, CSNH, etc.; with provisos; and pharmaceutically acceptable salts, hydrates, or solvates thereof] were prepared as antagonists of melanin concentrating hormone (MCH),

an

endogenous ligand of G-protein coupled receptors (GPCRs). Examples include solution and solid phase general synthetic methods and phys. data for nearly 3400 invention compds. In addition, all exemplified compds. were assayed using high throughput functional screening to detect intracellular Ca²⁺ concns. for accessing GPCR activation. For instance, reaction of 2,4-dichloro-6-methylpyrimidine with dimethylamine gave 2-chloro-4-(dimethylamino)-6-methylpyrimidine (40%), which was coupled with cis-(4-aminocyclohexyl)carbamic acid tert-Bu ester (60%). Deprotection (72%), amidation, and workup provided the benzamide IV•TFA. The latter demonstrated MCH antagonist activity with an IC₅₀ value of 7.6 nM. Thus, pharmaceutical compns. comprising I are useful for the prophylaxis or treatment of improving memory function, sleeping and arousal, anxiety, depression, mood disorders, seizure, obesity, diabetes, appetite and eating disorders, cardiovascular disease, hypertension, dyslipidemia, myocardial infarction, binge eating disorders including bulimia, anorexia, mental disorders including manic depression, schizophrenia, delirium, dementia, stress, cognitive disorders, attention deficit disorder, substance abuse disorders, and dyskinesias including Parkinson's disease, epilepsy, and addiction (no data). This is part I of three in a series covering the patent.

ACCESSION NUMBER: 2004:822842 HCAPLUS

DOCUMENT NUMBER: 141:314346
 TITLE: Preparation of quinoline, tetrahydroquinazoline, and pyrimidine derivatives as MCH antagonist for treatment of CNS disorders
 INVENTOR(S): Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Busujima, Tsuyoshi; Tran, Thuy-Anh; Han, Sangdon; Casper, Martin; Kramer, Bryan A.; Semple, Graeme; Zou, Ning
 PATENT ASSIGNEE(S): Taisho Pharmaceutical Co. Ltd., Japan; Arena Pharmaceuticals, Inc.
 SOURCE: Eur. Pat. Appl., 586 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| EP 1464335 | A2 | 20041006 | EP 2004-7651 | 20040330 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK | | | | |
| US 2005197350 | A1 | 20050908 | US 2004-812075 | 20040330 |
| AU 2004226049 | A1 | 20041014 | AU 2004-226049 | 20040331 |
| CA 2518913 | AA | 20041014 | CA 2004-2518913 | 20040331 |
| WO 2004087669 | A1 | 20041014 | WO 2004-JP4624 | 20040331 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| JP 2004300156 | A2 | 20041028 | JP 2004-107965 | 20040331 |
| BR 2004008910 | A | 20060321 | BR 2004-8910 | 20040331 |
| NO 2005004999 | A | 20051107 | NO 2005-4999 | 20051027 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | US 2003-458530P | P 20030331 |
| | | | US 2003-495911P | P 20030819 |
| | | | US 2003-510186P | P 20031009 |
| | | | US 2003-530360P | P 20031216 |
| | | | WO 2004-JP4624 | W 20040331 |

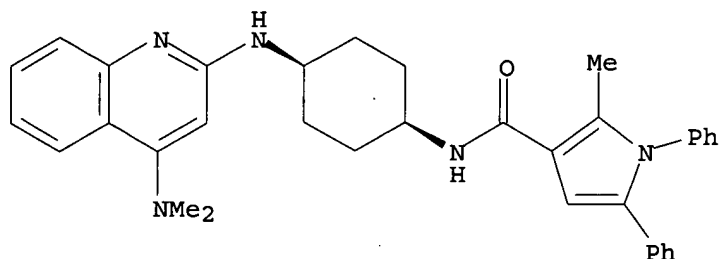
OTHER SOURCE(S): MARPAT 141:314346

IT 769183-15-5P, N-[cis-4-[[4-(Dimethylamino)quinolin-2-yl]amino]cyclohexyl]-2-methyl-1,5-diphenyl-1H-pyrrole-3-carboxamide
 769184-55-6P, N-[cis-4-[[4-(Dimethylamino)pyrimidin-2-yl]amino]cyclohexyl]-2-methyl-1,5-diphenyl-1H-pyrrole-3-carboxamide
 769185-93-5P, N-[cis-4-[[4-(Dimethylamino)-5,6,7,8-tetrahydroquinazolin-2-yl]amino]cyclohexyl]-2-methyl-1,5-diphenyl-1H-pyrrole-3-carboxamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (MCH antagonist; preparation of quinolines, quinazolines, and pyrimidines as MCH antagonist for treatment of CNS disorders)
 RN 769183-15-5 HCAPLUS
 CN 1H-Pyrrole-3-carboxamide, N-[cis-4-[[4-(dimethylamino)-2-

02/08/2006,10540276.trn

quinolinyl]amino]cyclohexyl]-2-methyl-1,5-diphenyl- (9CI) (CA INDEX NAME)

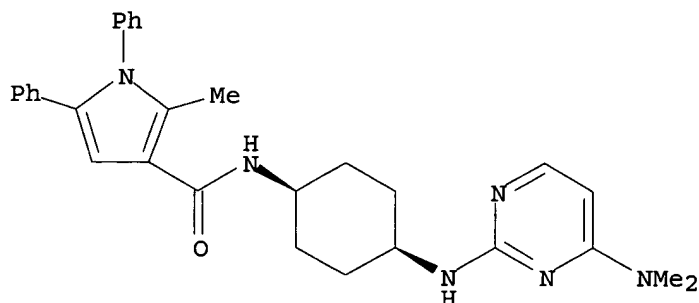
Relative stereochemistry.



RN 769184-55-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[cis-4-[[4-(dimethylamino)-2-pyrimidinyl]amino]cyclohexyl]-2-methyl-1,5-diphenyl- (9CI) (CA INDEX NAME)

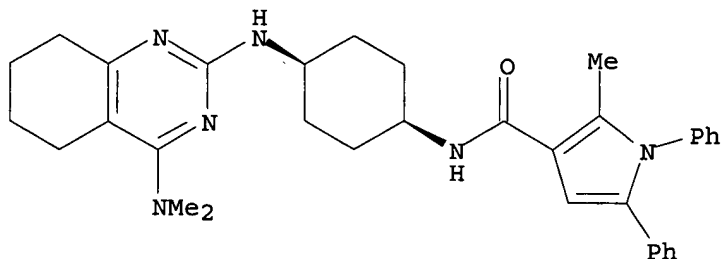
Relative stereochemistry.



RN 769185-93-5 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[cis-4-[[4-(dimethylamino)-5,6,7,8-tetrahydro-2-quinazolinyl]amino]cyclohexyl]-2-methyl-1,5-diphenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 9 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 19 Aug 2004

AB A process for the preparation of a stable dispersion of solid particles, in an aqueous medium comprises combining a first solution comprising a substantially water-insol. pyrrolecarboxamide, a water-miscible organic solvent and an inhibitor with an aqueous phase comprising water and optionally a stabilizer.

The solid particles comprising the inhibitor and the water-insol. substance are precipitated and the water-miscible organic solvent is removed.

Also

claimed are stable dispersions obtainable by the process, solid particles obtainable by the process and the use of such particles. The process provides a dispersion of solid particles in an aqueous medium, which particles exhibit reduced or substantially no particle growth mediated by Ostwald ripening. The process is particularly suitable for the preparation of small (sub-micron) aqueous dispersions of a substantially water-insol. active substance. Thus, a solution of 1-([1-(4-chlorophenyl)-5-(2,4-dichlorophenyl)-2-methyl-1H-pyrrol-3-yl]carbonyl)piperidine (90 mM) and 10.0 mg/mL Miglyol 812N in dimethylacetamide was prepared and 0.1 mL this solution was added rapidly to an 0.8-mL aqueous solution containing 0.2% polyvinylpyrrolidone and

0.25

mM sodium dodecyl sulfate. The aqueous solution was sonicated during the addition

of the organic solution. This resulted in the precipitation of particles with a mean

size of 126 nm. No increase in particle size was observed over a period of 2 h at 20°. The synthesis of pyrrolicarboxamides is given.

ACCESSION NUMBER: 2004:675653 HCAPLUS

DOCUMENT NUMBER: 141:195288

TITLE: Aqueous dispersions comprising stable nanoparticles of a water-insoluble pyrrolicarboxamide and medium-chain triglyceride excipients

INVENTOR(S): Lindfors, Lennart

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2004069227 | A1 | 20040819 | WO 2004-GB402 | 20040202 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, RW, BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| EP 1592404 | A1 | 20051109 | EP 2004-707263 | 20040202 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | |
| PRIORITY APPLN. INFO.: | | | GB 2003-2671 | A 20030206 |
| | | | WO 2004-GB402 | W 20040202 |

OTHER SOURCE(S): MARPAT 141:195288

IT 723303-38-6P 723303-39-7P 723303-40-0P

723303-41-1P 723303-42-2P 723303-43-3P

723303-44-4P 723303-45-5P 723303-46-6P

723303-47-7P 723303-49-9P 723303-51-3P

723303-53-5P 723303-55-7P 723303-57-9P

723303-60-4P 723303-62-6P

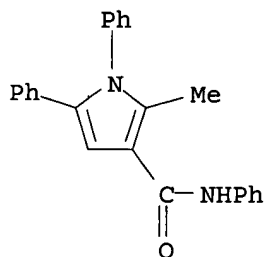
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

02/08/2006,10540276.trn

(aqueous dispersions comprising stable nanoparticles of water-insol.
pyrrolicarboxamide and medium-chain triglyceride excipients)

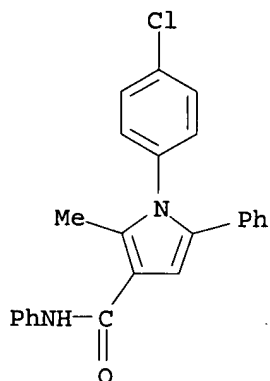
RN 723303-38-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-methyl-N,1,5-triphenyl- (9CI) (CA INDEX NAME)



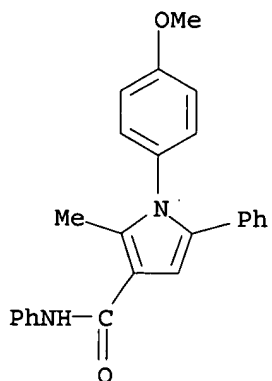
RN 723303-39-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-2-methyl-N,5-diphenyl- (9CI)
(CA INDEX NAME)



RN 723303-40-0 HCAPLUS

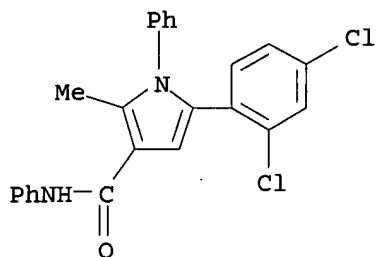
CN 1H-Pyrrole-3-carboxamide, 1-(4-methoxyphenyl)-2-methyl-N,5-diphenyl- (9CI)
(CA INDEX NAME)



RN 723303-41-1 HCAPLUS

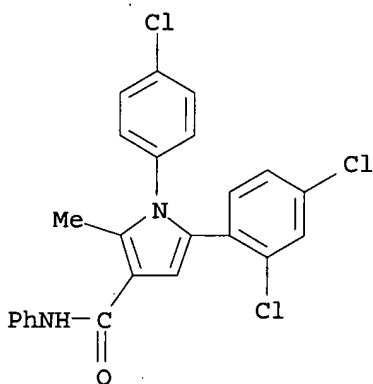
02/08/2006,10540276.trn

CN 1H-Pyrrole-3-carboxamide, 5-(2,4-dichlorophenyl)-2-methyl-N,1-diphenyl-
(9CI) (CA INDEX NAME)



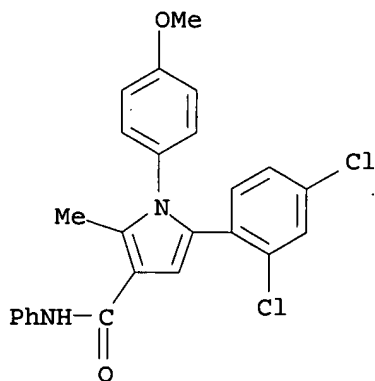
RN 723303-42-2 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-5-(2,4-dichlorophenyl)-2-methyl-N-phenyl- (9CI) (CA INDEX NAME)



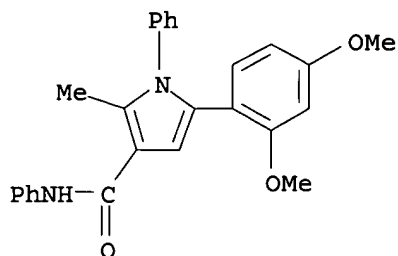
RN 723303-43-3 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-2-methyl-N-phenyl- (9CI) (CA INDEX NAME)

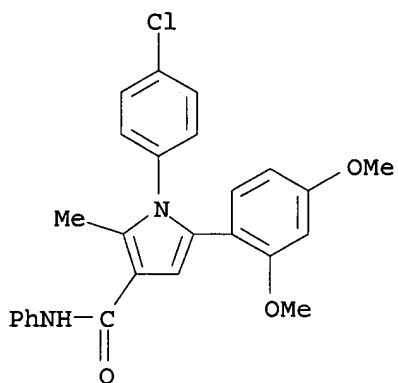


RN 723303-44-4 HCAPLUS

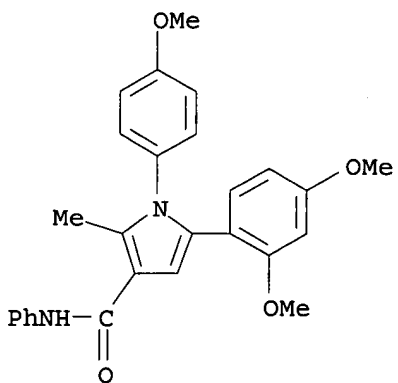
CN 1H-Pyrrole-3-carboxamide, 5-(2,4-dimethoxyphenyl)-2-methyl-N,1-diphenyl-
(9CI) (CA INDEX NAME)



RN 723303-45-5 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-5-(2,4-dimethoxyphenyl)-2-methyl-N-phenyl- (9CI) (CA INDEX NAME)

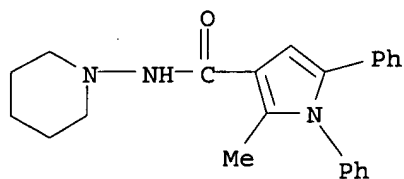


RN 723303-46-6 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 5-(2,4-dimethoxyphenyl)-1-(4-methoxyphenyl)-2-methyl-N-phenyl- (9CI) (CA INDEX NAME)



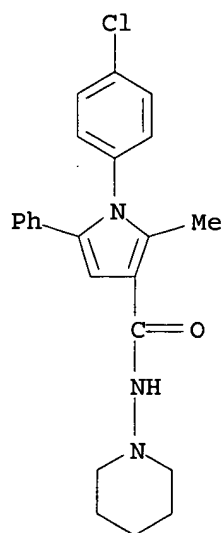
RN 723303-47-7 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 2-methyl-1,5-diphenyl-N-1-piperidinyl- (9CI) (CA INDEX NAME)

02/08/2006,10540276.trn



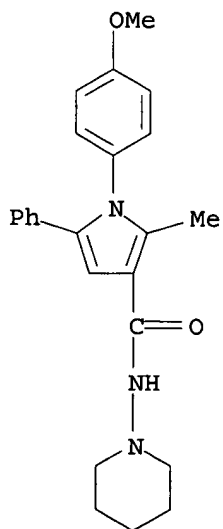
RN 723303-49-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-2-methyl-5-phenyl-N-1-piperidinyl- (9CI) (CA INDEX NAME)



RN 723303-51-3 HCAPLUS

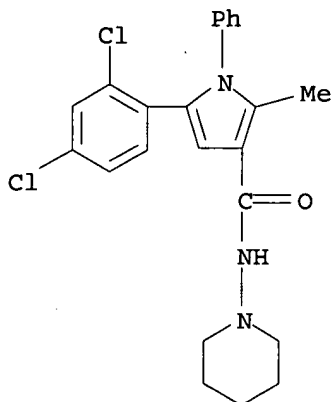
CN 1H-Pyrrole-3-carboxamide, 1-(4-methoxyphenyl)-2-methyl-5-phenyl-N-1-piperidinyl- (9CI) (CA INDEX NAME)



02/08/2006,10540276.trn

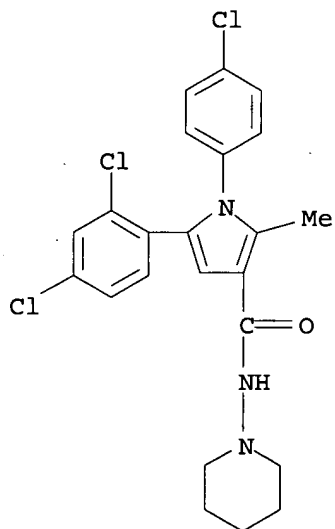
RN 723303-53-5 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-(2,4-dichlorophenyl)-2-methyl-1-phenyl-N-1-piperidinyl- (9CI) (CA INDEX NAME)



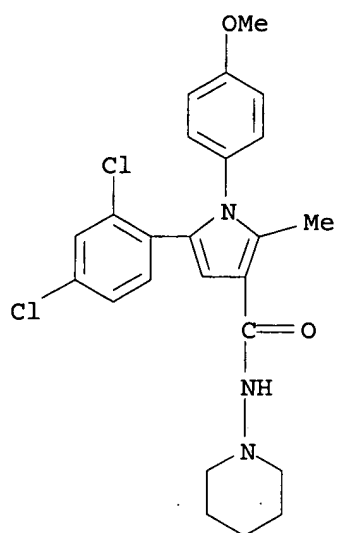
RN 723303-55-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-5-(2,4-dichlorophenyl)-2-methyl-N-1-piperidinyl- (9CI) (CA INDEX NAME)



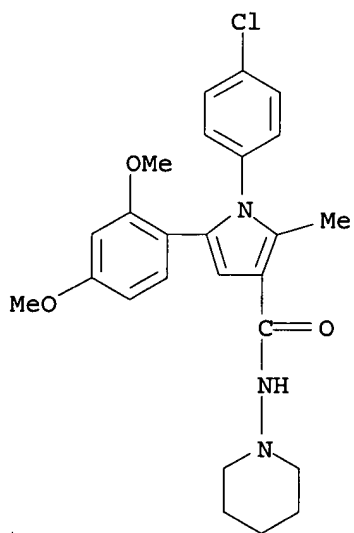
RN 723303-57-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-2-methyl-N-1-piperidinyl- (9CI) (CA INDEX NAME)



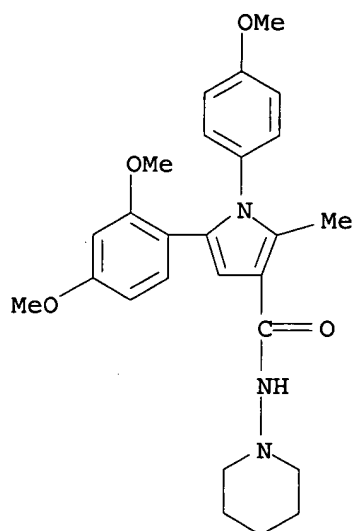
RN 723303-60-4 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-5-(2,4-dimethoxyphenyl)-2-methyl-N-1-piperidinyl- (9CI) (CA INDEX NAME)

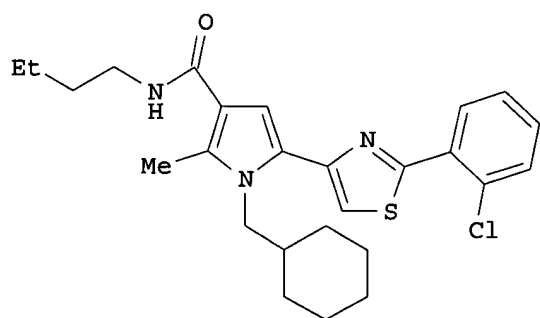
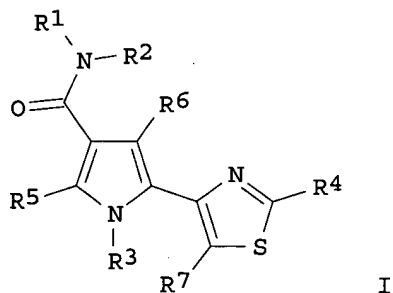


RN 723303-62-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-(2,4-dimethoxyphenyl)-1-(4-methoxyphenyl)-2-methyl-N-1-piperidinyl- (9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 23 Jul 2004
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AB The invention relates to a preparation of novel 2-(thiazol-4-yl)pyrrole derivs. of formula I [wherein: R1 is H or alkyl; R2 is H, alkyl, alkenyl, or alkoxy-alkyl, etc.; R3 is alkyl, alkenyl, alkoxy-alkyl, or di-phenyl-alkyl, etc.; R4 is (un)substituted (cyclo)alkyl, alkoxycarbonyl, or 5- or 6-membered heterocycle; R5 and R6 are independently selected from

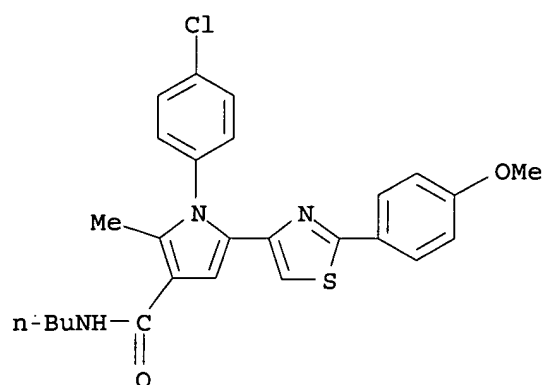
H, alkyl, halogen, or fluorinated methyl; R7 is H, alkyl, or halogen], useful as CB1 receptor antagonists. The invention compds. are useful for the treatment and/or prophylaxis of diseases which are associated with the modulation of CB1 receptors. For instance, 2-(thiazol-4-yl)pyrrole derivative II (IC50 < 2 μ M) was prepared using aminomethylcyclohexane, butylamine, and 2-chlorothiobenzamide (no yield data, example 7).

ACCESSION NUMBER: 2004:589547 HCAPLUS
 DOCUMENT NUMBER: 141:140427
 TITLE: A preparation of novel 2-(thiazol-4-yl)pyrrole derivatives, useful as CB1 receptor antagonists
 INVENTOR(S): Guba, Wolfgang; Haap, Wolfgang; Marty, Hans Peter; Narquizian, Robert
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
 SOURCE: PCT Int. Appl., 235 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|------------------|------------|
| WO 2004060888 | A1 | 20040722 | WO 2003-EP14721 | 20031222 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2511905 | AA | 20040722 | CA 2003-2511905 | 20031222 |
| AU 2003293968 | A1 | 20040729 | AU 2003-293968 | 20031222 |
| US 2004147572 | A1 | 20040729 | US 2003-743403 | 20031222 |
| EP 1583762 | A1 | 20051012 | EP 2003-789381 | 20031222 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | |
| BR 2003017931 | A | 20051129 | BR 2003-17931 | 20031222 |
| CN 1735611 | A | 20060215 | CN 2003-80108269 | 20031222 |
| JP 2006513226 | T2 | 20060420 | JP 2004-564211 | 20031222 |
| PRIORITY APPLN. INFO.: | | | EP 2003-2 | A 20030102 |
| | | | WO 2003-EP14721 | W 20031222 |

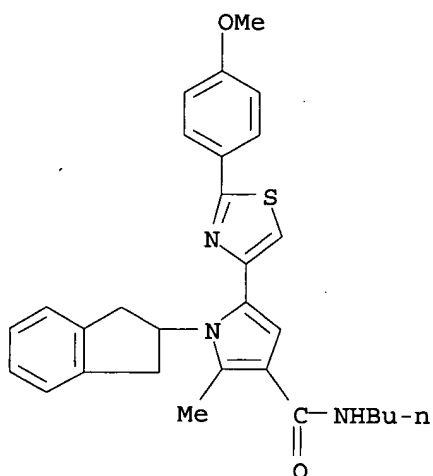
OTHER SOURCE(S): MARPAT 141:140427
 IT 726179-20-0P 726179-42-6P 726179-98-2P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of novel thiazolylpyrrole derivs., useful as CB1 receptor antagonists)
 RN 726179-20-0 HCAPLUS
 CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(4-chlorophenyl)-5-[2-(4-methoxyphenyl)-4-thiazolyl]-2-methyl- (9CI) (CA INDEX NAME)

02/08/2006,10540276.trn



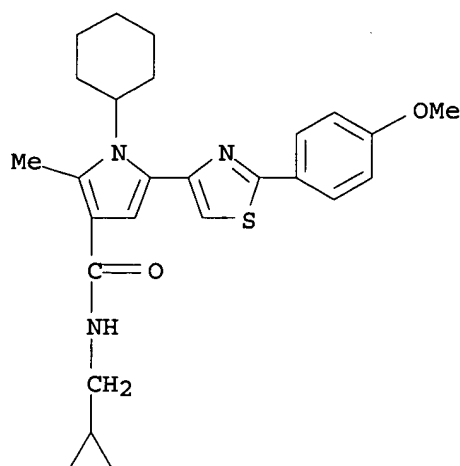
RN 726179-42-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-butyl-1-(2,3-dihydro-1H-inden-2-yl)-5-[2-(4-methoxyphenyl)-4-thiazolyl]-2-methyl- (9CI) (CA INDEX NAME)

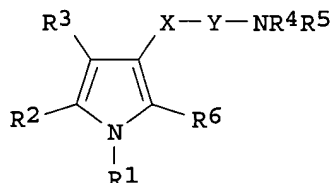


RN 726179-98-2 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-cyclohexyl-N-(cyclopropylmethyl)-5-[2-(4-methoxyphenyl)-4-thiazolyl]-2-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 11 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 15 Jul 2004
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AB Title compds. I [wherein R1, R2 = independently (un)substituted Ph, thienyl, pyridyl; R3 = H, (amino)alkyl, alkoxyethyl, trifluoromethyl, etc.; R4, R5 = independently (un)substituted (amino)alkyl, non-aromatic carbocyclic, cycloalkylalkyl, etc.; R6 = H, (hydroxy)alkyl, alkoxyethyl, carbamoyl, etc.; with proviso; X = CO or SO2; Y = absent or (un)substituted alkyl; and pharmaceutically acceptable salts, prodrugs and solvates thereof] were prepared as cannabinoid 1 (CB1) receptor modulators. For example, reaction of 2-methyl-1,5-diphenyl-1H-pyrrole-3-carboxylic acid with aniline gave 2-methyl-N,1,5-triphenyl-1H-pyrrole-3-carboxamide in 52% yield. I are active at the CB1 receptor (IC50 <1 micromolar), most preferred compds. have IC50 <200 nanomolar. Thus, I and their pharmaceutical compns. are useful for the treatment of obesity, psychiatric and neurol. disorders (no data).

ACCESSION NUMBER: 2004:565082 HCAPLUS
 DOCUMENT NUMBER: 141:123553
 TITLE: Preparation of 1,5-diaryl-pyrrole-3-carboxamide derivatives as cannabinoid receptor modulators
 INVENTOR(S): Berggren, Anna Ingrid Kristina; Bostrom, Stig Jonas; Cheng, Leifeng; Elebring, Stig Thomas; Greasley, Peter; Nagard, Mats; Wilstermann, Johan Michael; Terricabras, Emma
 PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca Uk Limited

SOURCE: PCT Int. Appl., 42 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|------------|
| WO 2004058249 | A1 | 20040715 | WO 2003-GB5569 | 20031218 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2511601 | AA | 20040715 | CA 2003-2511601 | 20031218 |
| AU 2003290292 | A1 | 20040722 | AU 2003-290292 | 20031218 |
| EP 1578417 | A1 | 20050928 | EP 2003-782654 | 20031218 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003017705 | A | 20051122 | BR 2003-17705 | 20031218 |
| CN 1753668 | A | 20060329 | CN 2003-80109972 | 20031218 |
| JP 2006513201 | T2 | 20060420 | JP 2004-563346 | 20031218 |
| NO 2005002995 | A | 20050722 | NO 2005-2995 | 20050617 |
| US 2006122230 | A1 | 20060608 | US 2005-540276 | 20050621 |
| PRIORITY APPLN. INFO.: | | | GB 2002-30088 | A 20021224 |
| | | | WO 2003-GB5569 | W 20031218 |

OTHER SOURCE(S): MARPAT 141:123553

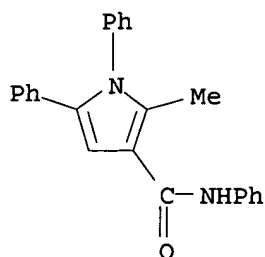
IT 723303-38-6P 723303-39-7P 723303-40-0P
 723303-41-1P 723303-42-2P 723303-43-3P
 723303-44-4P 723303-45-5P 723303-46-6P
 723303-47-7P 723303-49-9P 723303-51-3P
 723303-53-5P 723303-55-7P 723303-57-9P
 723303-60-4P 723303-62-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1,5-diaryl-pyrrole-3-carboxamide derivs. as CB1 modulators)

RN 723303-38-6 HCAPLUS

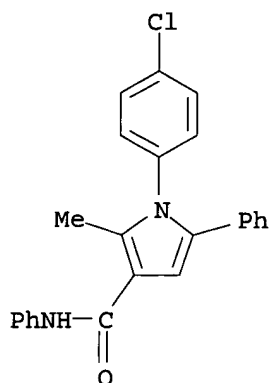
CN 1H-Pyrrole-3-carboxamide, 2-methyl-N,1,5-triphenyl- (9CI) (CA INDEX NAME)



RN 723303-39-7 HCAPLUS

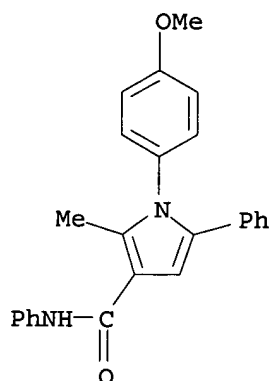
CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-2-methyl-N,5-diphenyl- (9CI)

(CA INDEX NAME)



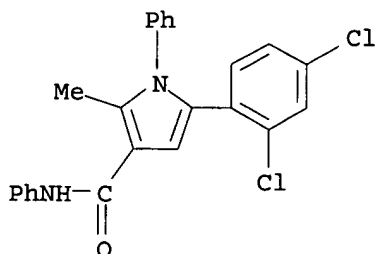
RN 723303-40-0 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-(4-methoxyphenyl)-2-methyl-N,5-diphenyl- (9CI)
(CA INDEX NAME)



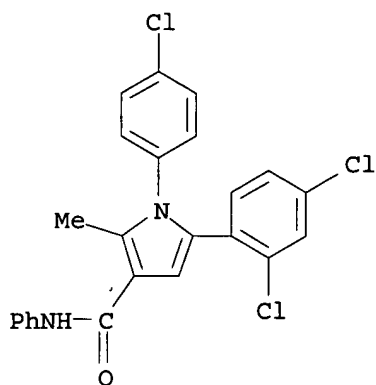
RN 723303-41-1 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-(2,4-dichlorophenyl)-2-methyl-N,1-diphenyl- (9CI) (CA INDEX NAME)



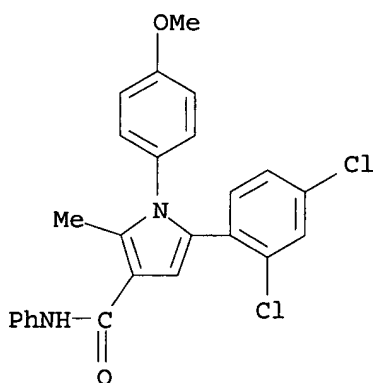
RN 723303-42-2 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-5-(2,4-dichlorophenyl)-2-methyl-N-phenyl- (9CI) (CA INDEX NAME)



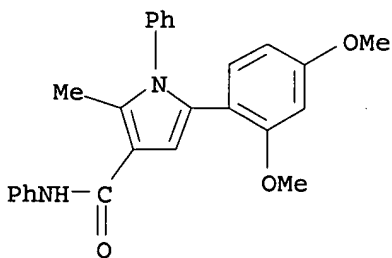
RN 723303-43-3 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-2-methyl-N-phenyl- (9CI) (CA INDEX NAME)



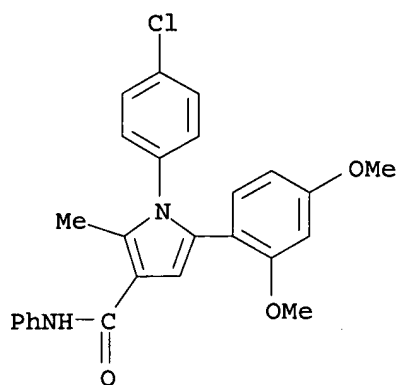
RN 723303-44-4 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-(2,4-dimethoxyphenyl)-2-methyl-N,1-diphenyl- (9CI) (CA INDEX NAME)



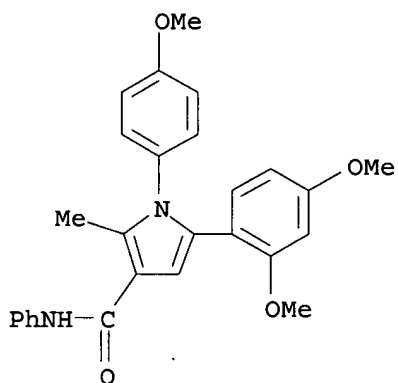
RN 723303-45-5 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-5-(2,4-dimethoxyphenyl)-2-methyl-N-phenyl- (9CI) (CA INDEX NAME)



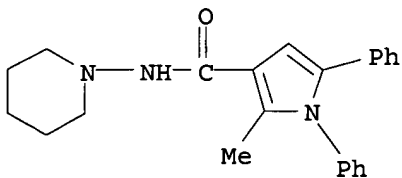
RN 723303-46-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-(2,4-dimethoxyphenyl)-1-(4-methoxyphenyl)-2-methyl-N-phenyl- (9CI) (CA INDEX NAME)



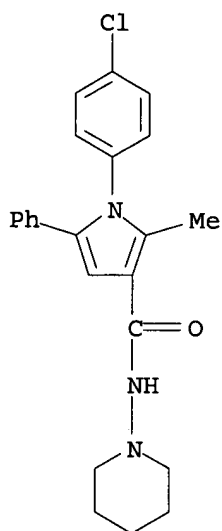
RN 723303-47-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-methyl-1,5-diphenyl-N-1-piperidinyl- (9CI) (CA INDEX NAME)



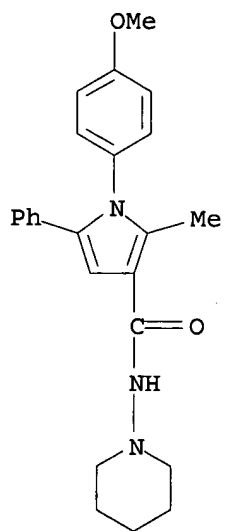
RN 723303-49-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-2-methyl-5-phenyl-N-1-piperidinyl- (9CI) (CA INDEX NAME)



RN 723303-51-3 HCAPLUS

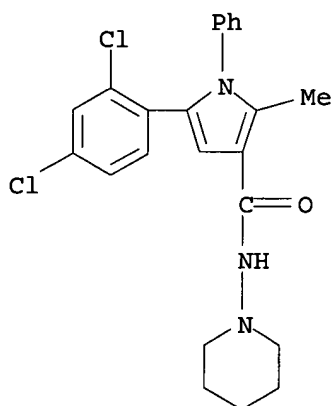
CN 1H-Pyrrole-3-carboxamide, 1-(4-methoxyphenyl)-2-methyl-5-phenyl-N-1-piperidinyl- (9CI) (CA INDEX NAME)



RN 723303-53-5 HCAPLUS

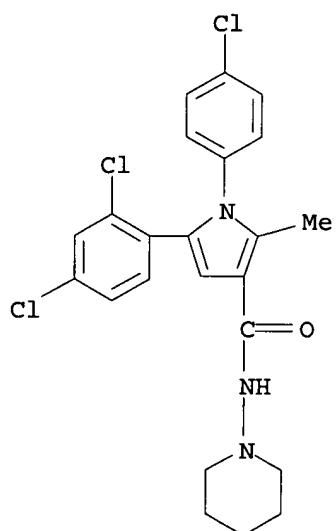
CN 1H-Pyrrole-3-carboxamide, 5-(2,4-dichlorophenyl)-2-methyl-1-phenyl-N-1-piperidinyl- (9CI) (CA INDEX NAME)

02/08/2006,10540276.trn



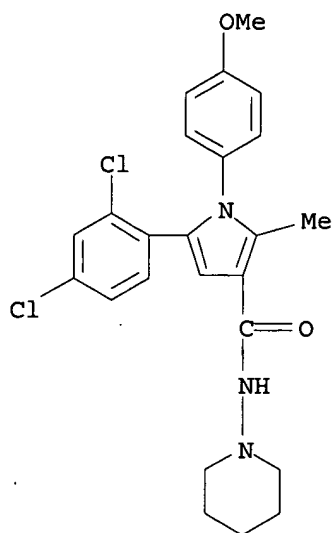
RN 723303-55-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-5-(2,4-dichlorophenyl)-2-methyl-N-1-piperidinyl- (9CI) (CA INDEX NAME)



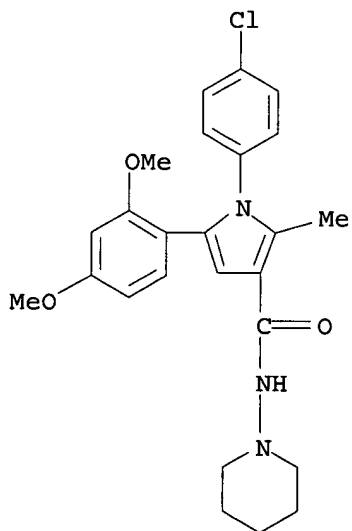
RN 723303-57-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-(2,4-dichlorophenyl)-1-(4-methoxyphenyl)-2-methyl-N-1-piperidinyl- (9CI) (CA INDEX NAME)



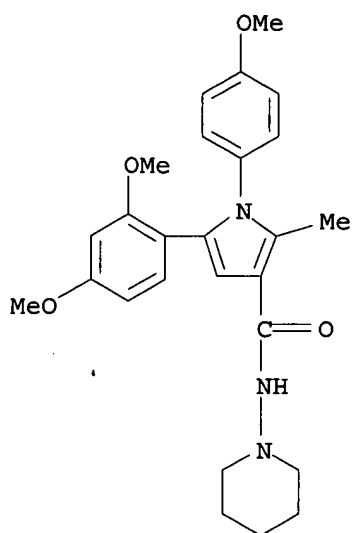
RN 723303-60-4 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-5-(2,4-dimethoxyphenyl)-2-methyl-N-1-piperidinyl- (9CI) (CA INDEX NAME)



RN 723303-62-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-(2,4-dimethoxyphenyl)-1-(4-methoxyphenyl)-2-methyl-N-1-piperidinyl- (9CI) (CA INDEX NAME)



L4 ANSWER 12 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 11 Apr 2003
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. QLYR1[Q = I, C(:NH)NH₂; R₁ = (un)substituted alkyl, alkenyl, cycloalkyl, etc.; L = II-IV (wherein R₄ = H, alkyl; R₅ = H, alkyl, alkyl substituted by a substituted carbocyclic aryl), etc.; Y = SO₂, CO, (CH₂)_m; m = 0-1] which act as MCH receptor antagonists, and are useful for prophylaxis or treatment of obesity, obesity related disorders, anxiety, or depression, were prepared Thus, hydrogenation of benzyl cis-[4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexylmethyl]carbamate followed by reacting the resulting intermediate with 4-bromo-2-trifluoromethoxybenzaldehyde in the presence of NaBH(OAc)₃ and AcOH in CH₂Cl₂, and treatment of the product with 4N HCl in EtOAc afforded 34% cis-V.2HCl which showed IC₅₀ of 6 nM against MCH receptor.

ACCESSION NUMBER: 2003:282325 HCAPLUS
 DOCUMENT NUMBER: 138:321285
 TITLE: Preparation of quinazoline-2,4-diamines as MCH receptor antagonists
 INVENTOR(S): Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Tran, Thuy-anh; Kramer, Bryan Aubrey; Beeley, Nigel Robert Arnold
 PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 1171 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|-------|-----------------|-------|
| ----- | ---- | ----- | ----- | ----- |

02/08/2006,10540276.trn

| | | | | |
|---|----|----------|-----------------|------------|
| WO 2003028641 | A2 | 20030410 | WO 2002-US31059 | 20020930 |
| WO 2003028641 | A3 | 20030828 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2460594 | AA | 20030410 | CA 2002-2460594 | 20020930 |
| EP 1432693 | A2 | 20040630 | EP 2002-800388 | 20020930 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| CN 1582281 | A | 20050216 | CN 2002-823940 | 20020930 |
| JP 2005523237 | T2 | 20050804 | JP 2003-531977 | 20020930 |
| PRIORITY APPLN. INFO.: | | | US 2001-326463P | P 20011001 |
| | | | US 2001-326758P | P 20011002 |
| | | | WO 2002-US31059 | W 20020930 |

OTHER SOURCE(S): MARPAT 138:321285

IT 509143-54-8P 510743-47-2P

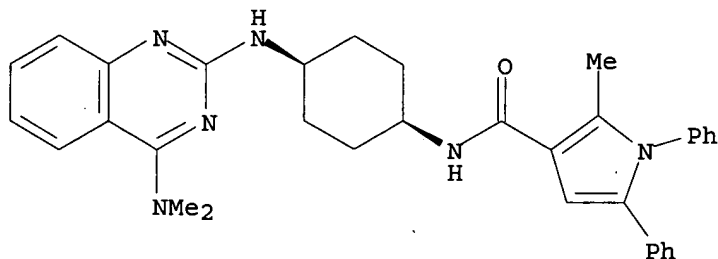
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinazoline-2,4-diamines as MCH receptor antagonists)

RN 509143-54-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]-2-methyl-1,5-diphenyl- (9CI) (CA INDEX NAME)

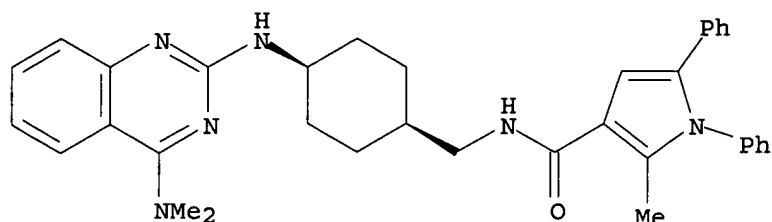
Relative stereochemistry.



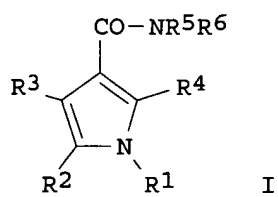
RN 510743-47-2 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]methyl]-2-methyl-1,5-diphenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 13 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 04 Apr 2003
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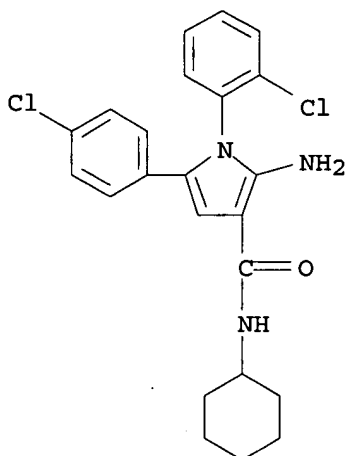
AB This invention relates to pyrrolecarboxamides and pyrrolecarbohydrazides (shown as I; variables defined below; e.g. 1-(2-chlorophenyl)-5-(4-chlorophenyl)-2-methyl-N-(1-piperidinyl)-1H-pyrrole-3-carboxamide hydrochloride) that suppress appetite and induce weight loss. The invention also provides methods for synthesis of the compds., pharmaceutical compns. comprising the compds., and methods of using such compns. for inducing weight loss and treating obesity and obesity-related disorders. Although the methods of preparation are not claimed, 6 example preps. of I and/or intermediates and characterization data for .apprx.50 examples of I are included. Seven pharmaceutical formulations are listed. Compds. of this invention are active in a fasted-refed acute feeding assay. For example, when 1-(2-chlorophenyl)-5-(4-chlorophenyl)-2-methyl-N-(1-piperidinyl)-1H-pyrrole-3-carboxamide hydrochloride was dosed at 10 mg/kg p.o., food consumption was reduced (relative to the food consumption observed for the vehicle control group) by up to 25% when measured at time points = 30-240 min. Likewise, when 1-(2-chlorophenyl)-5-(4-methoxyphenyl)-2,4-dimethyl-N'-[4-(trifluoromethyl)phenyl]-1H-pyrrole-3-carbohydrazide hydrochloride was dosed at 10 mg/kg p.o., food consumption was reduced by up to 35%. For I: R1 and R2 = Ph optionally substituted with ≥ 1 halogen, (C1-C6)alkyl, (C1-C6)alkoxy, trifluoromethyl, hydroxy, cyano, or nitro; R3 = H; R4 = CH3; R5 = H or (C1-C6)alkyl; R6 = substituted cyclohexyl; (un)substituted (C1-C5)alkyl; cyclopentyl, cycloheptyl or cyclo(C3-C7)alkyl-(C1-C3)alkyl, each of which may be optionally substituted; substituted benzyl; substituted phenyl; piperidin-4-yl; piperidin-3-yl, or pyrrolidin-3-yl, each of which may be optionally substituted on the N atom of the piperidine or pyrrolidine ring; -NR7R8. Or R5 and R6, taken together with the N atom to which they are attached, form a 5- to 10-membered saturated heterocyclic radical containing at least one addnl. N atom, with optional substitution. Or R5 and R6, taken together with the N atom to which they are attached, form a 1-piperidinyl, 1-pyrrolidinyl, or 1-morpholino group, which is substituted; addnl. details are given in the claims.

ACCESSION NUMBER: 2003:261810 HCAPLUS

DOCUMENT NUMBER: 138:287520
 TITLE: Preparation and use of pyrrolecarboxamides and pyrrolecarbohydrazides for treating obesity
 INVENTOR(S): Smith, Roger A.; Kluender, Harold C. E.; Su, Ning; Lavoie, Rico C.; Fan, Jianmei
 PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corporation, USA
 SOURCE: PCT Int. Appl., 62 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2003027069 | A1 | 20030403 | WO 2002-US30543 | 20020924 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2461144 | AA | 20030403 | CA 2002-2461144 | 20020924 |
| EP 1432679 | A1 | 20040630 | EP 2002-799637 | 20020924 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | |
| JP 2005532982 | T2 | 20051104 | JP 2003-530660 | 20020924 |
| US 2004267028 | A1 | 20041230 | US 2004-489031 | 20040305 |
| PRIORITY APPLN. INFO.: | | | US 2001-324441P | P 20010924 |
| | | | WO 2002-US30543 | W 20020924 |

OTHER SOURCE(S): MARPAT 138:287520
 IT 504405-88-3P, 2-Amino-1-(2-chlorophenyl)-5-(4-chlorophenyl)-N-cyclohexyl-1H-pyrrole-3-carboxamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation and use of pyrrolecarboxamides for treating obesity)
 RN 504405-88-3 HCAPLUS
 CN 1H-Pyrrole-3-carboxamide, 2-amino-1-(2-chlorophenyl)-5-(4-chlorophenyl)-N-cyclohexyl- (9CI) (CA INDEX NAME)



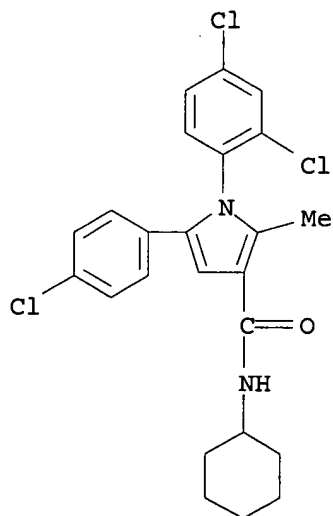
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(4-chlorophenyl)-2-methyl-1H-pyrrole-3-carboxamide 504405-68-9P,
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 N-(4-Fluorophenyl)-1-(2,4-dichlorophenyl)-5-(4-chlorophenyl)-2-methyl-1H-
 pyrrole-3-carboxamide 504405-71-4P, N-(1-Benzylpiperidin-4-yl)-1-
 (2,4-dichlorophenyl)-5-(4-chlorophenyl)-2-methyl-1H-pyrrole-3-carboxamide
 504405-80-5P, N-Cyclohexyl-1-(2-chlorophenyl)-5-(4-methoxyphenyl)-
 2,4-dimethyl-1H-pyrrole-3-carboxamide 504405-81-6P,
 1-(2-Chlorophenyl)-5-(4-methoxyphenyl)-2,4-dimethyl-N'-[4-
 (trifluoromethyl)phenyl]-1H-pyrrole-3-carbohydrazide hydrochloride
 504405-82-7P, 2-Amino-1-(2-chlorophenyl)-5-(4-chlorophenyl)-N-
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 hydrochloride 504405-84-9P, 2-Amino-1-(2-fluorophenyl)-5-(4-
 chlorophenyl)-N-cyclohexyl-1H-pyrrole-3-carboxamide hydrochloride
 504405-85-0P, 2-Amino-1-(2-bromophenyl)-5-(4-chlorophenyl)-N-
 cyclohexyl-1H-pyrrole-3-carboxamide hydrochloride 504405-86-1P,
 2-Amino-1-(2,4-dichlorophenyl)-5-(4-chlorophenyl)-N-cyclohexyl-1H-pyrrole-
 3-carboxamide hydrochloride
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(drug candidate; preparation and use of pyrrolecarboxamides for treating
 obesity-related disorders)

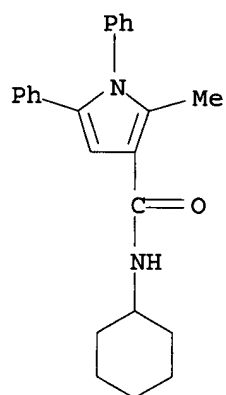
RN 118179-43-4 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-(4-chlorophenyl)-N-cyclohexyl-1-(2,4-
 dichlorophenyl)-2-methyl- (9CI) (CA INDEX NAME)



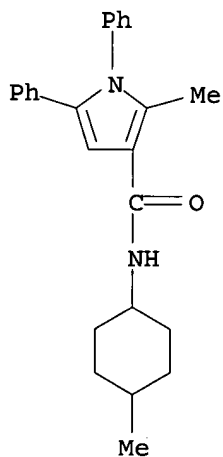
RN 504405-37-2 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-cyclohexyl-2-methyl-1,5-diphenyl- (9CI) (CA
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RN 504405-38-3 HCAPLUS

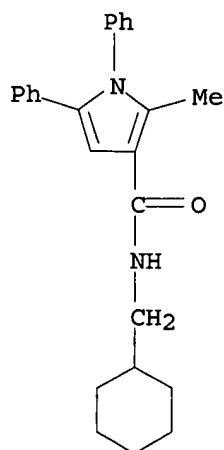
CN 1H-Pyrrole-3-carboxamide, 2-methyl-N-(4-methylcyclohexyl)-1,5-diphenyl-
(9CI) (CA INDEX NAME)



RN 504405-39-4 HCAPLUS

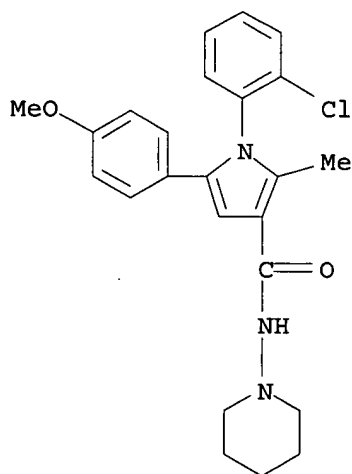
CN 1H-Pyrrole-3-carboxamide, N-(cyclohexylmethyl)-2-methyl-1,5-diphenyl-
(9CI) (CA INDEX NAME)

02/08/2006,10540276.trn



RN 504405-40-7 HCAPLUS

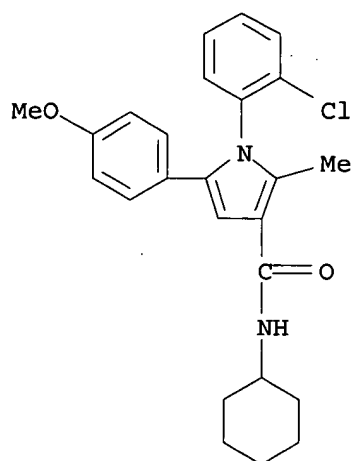
CN 1H-Pyrrole-3-carboxamide, 1-(2-chlorophenyl)-5-(4-methoxyphenyl)-2-methyl-N-1-piperidinyl-, hydrochloride (9CI) (CA INDEX NAME)



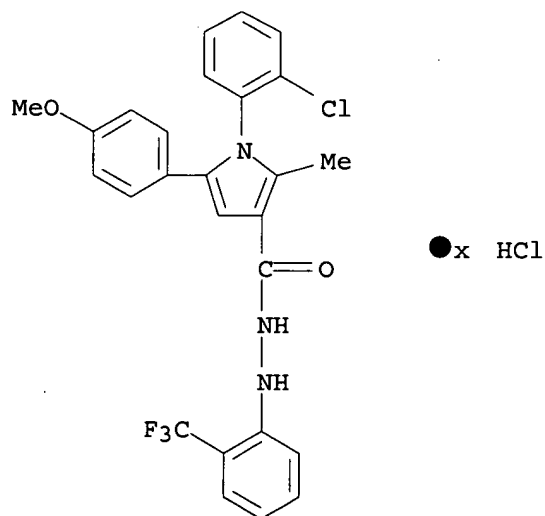
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RN 504405-42-9 HCAPLUS

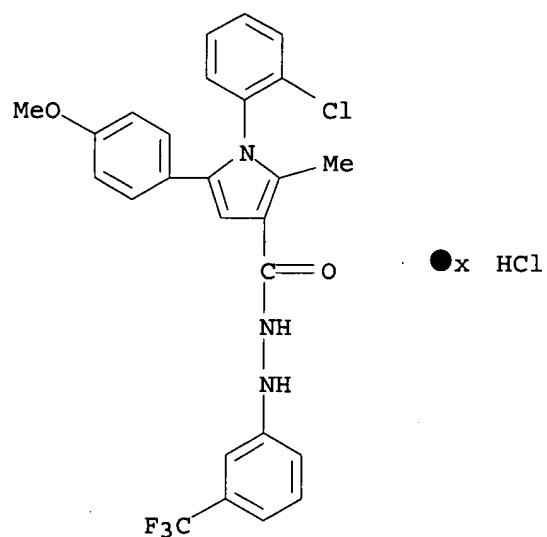
CN 1H-Pyrrole-3-carboxamide, 1-(2-chlorophenyl)-N-cyclohexyl-5-(4-methoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)



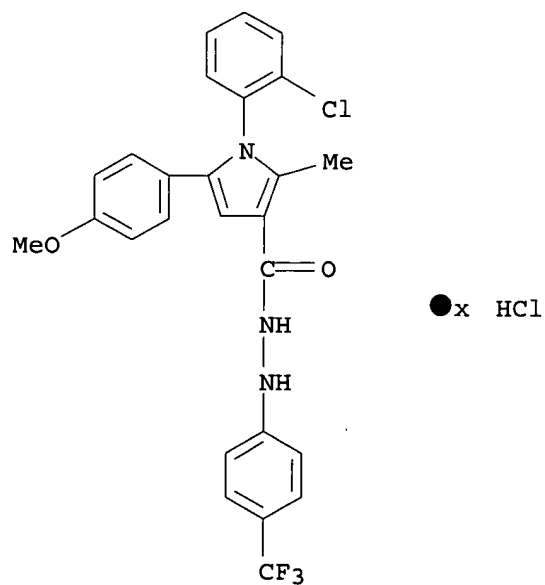
RN 504405-44-1 HCAPLUS
CN 1H-Pyrrole-3-carboxylic acid, 1-(2-chlorophenyl)-5-(4-methoxyphenyl)-2-methyl-, 2-[2-(trifluoromethyl)phenyl]hydrazide, hydrochloride (9CI) (CA INDEX NAME)



RN 504405-45-2 HCAPLUS
CN 1H-Pyrrole-3-carboxylic acid, 1-(2-chlorophenyl)-5-(4-methoxyphenyl)-2-methyl-, 2-[3-(trifluoromethyl)phenyl]hydrazide, hydrochloride (9CI) (CA INDEX NAME)

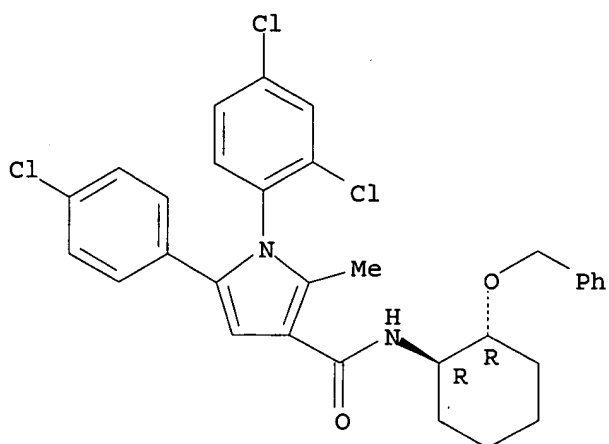


RN 504405-46-3 HCAPLUS
 CN 1H-Pyrrole-3-carboxylic acid, 1-(2-chlorophenyl)-5-(4-methoxyphenyl)-2-methyl-, 2-[4-(trifluoromethyl)phenyl]hydrazide, hydrochloride (9CI) (CA INDEX NAME)



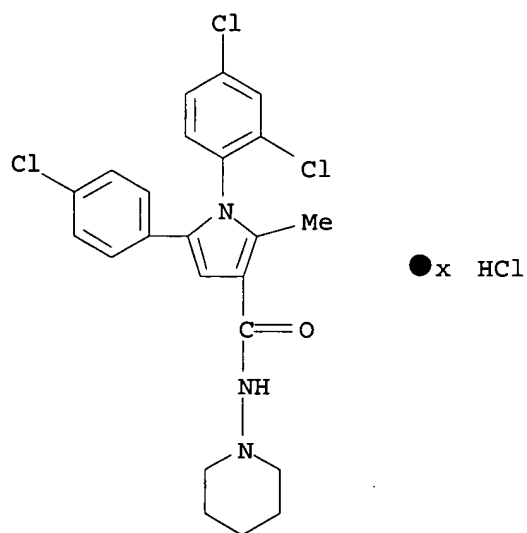
RN 504405-52-1 HCAPLUS
 CN 1H-Pyrrole-3-carboxamide, 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-2-methyl-N-[(1R,2R)-2-(phenylmethoxy)cyclohexyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



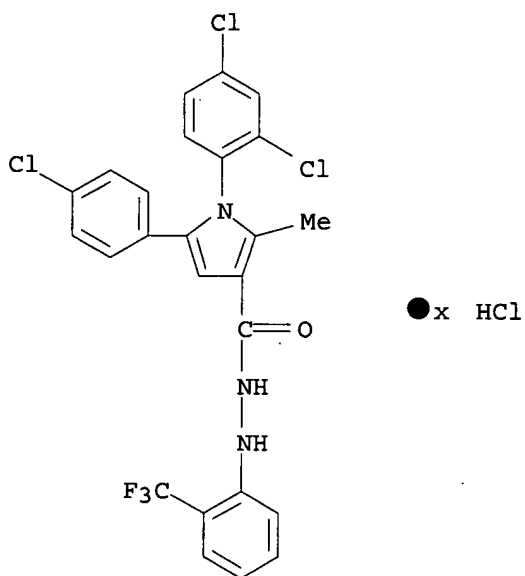
RN 504405-53-2 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-2-methyl-N-1-piperidinyl-, hydrochloride (9CI) (CA INDEX NAME)



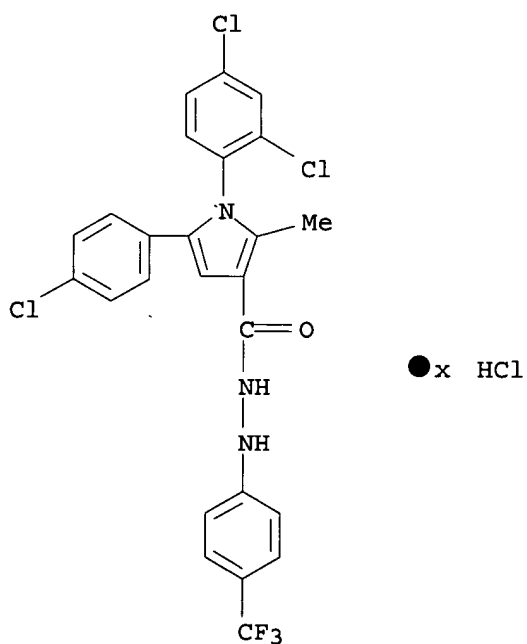
RN 504405-54-3 HCAPLUS

CN 1H-Pyrrole-3-carboxylic acid, 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-2-methyl-, 2-[2-(trifluoromethyl)phenyl]hydrazide, hydrochloride (9CI) (CA INDEX NAME)



RN 504405-55-4 HCAPLUS

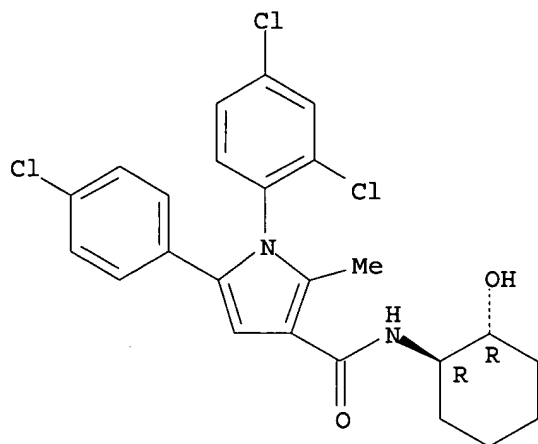
CN 1H-Pyrrole-3-carboxylic acid, 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-2-methyl-, 2-[4-(trifluoromethyl)phenyl]hydrazide; hydrochloride (9CI) (CA INDEX NAME)



RN 504405-56-5 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N-[(1R,2R)-2-hydroxycyclohexyl]-2-methyl-, rel- (9CI) (CA INDEX NAME)

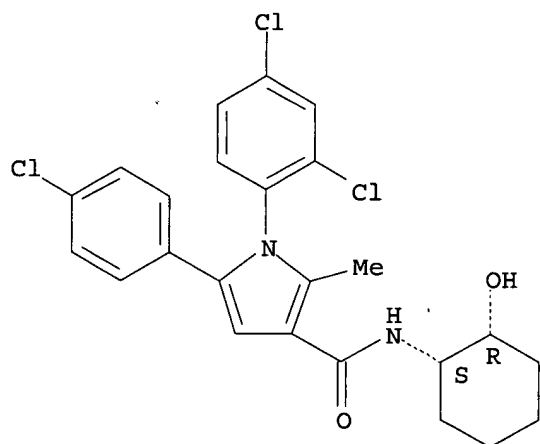
Relative stereochemistry.



RN 504405-57-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N-[(1R,2S)-2-hydroxycyclohexyl]-2-methyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

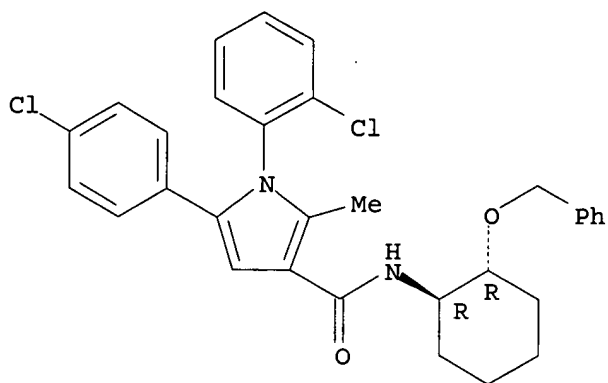


RN 504405-58-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-(2-chlorophenyl)-5-(4-chlorophenyl)-2-methyl-N-[(1R,2R)-2-(phenylmethoxy)cyclohexyl]-, rel- (9CI) (CA INDEX NAME)

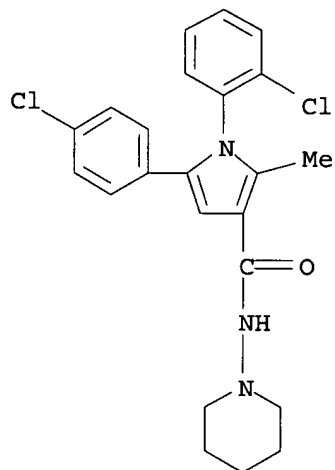
Relative stereochemistry.

02/08/2006,10540276.trn



RN 504405-59-8 HCAPLUS

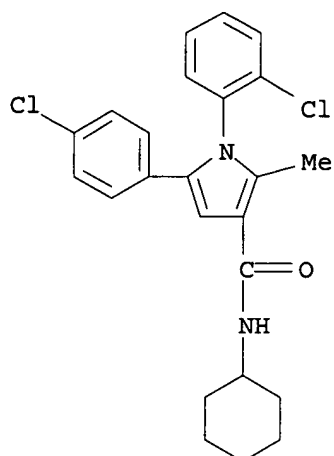
CN 1H-Pyrrole-3-carboxamide, 1-(2-chlorophenyl)-5-(4-chlorophenyl)-2-methyl-N-1-piperidinyl-, hydrochloride (9CI) (CA INDEX NAME)



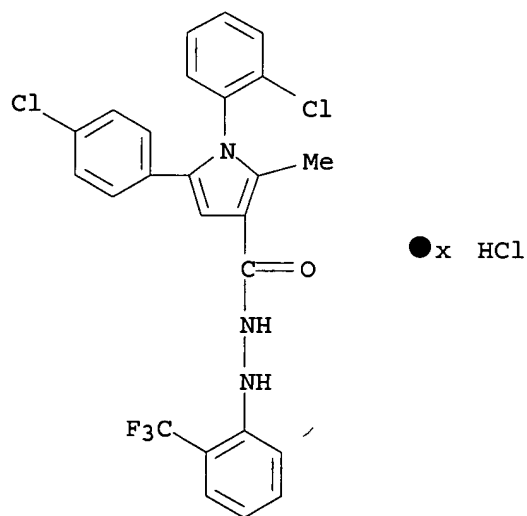
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RN 504405-61-2 HCAPLUS

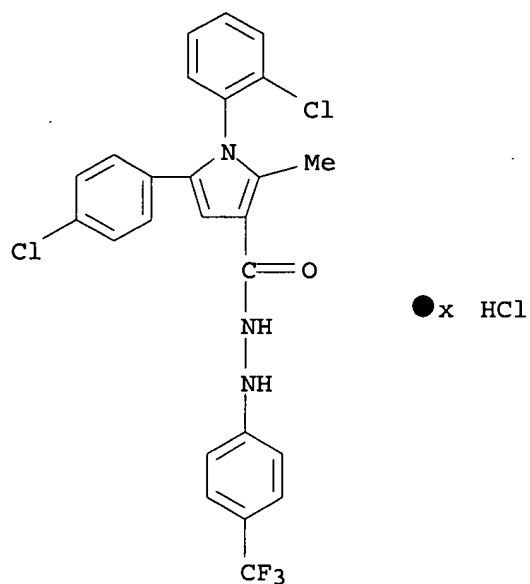
CN 1H-Pyrrole-3-carboxamide, 1-(2-chlorophenyl)-5-(4-chlorophenyl)-N-cyclohexyl-2-methyl- (9CI) (CA INDEX NAME)



RN 504405-62-3 HCAPLUS
CN 1H-Pyrrole-3-carboxylic acid, 1-(2-chlorophenyl)-5-(4-chlorophenyl)-2-methyl-, 2-[2-(trifluoromethyl)phenyl]hydrazide, hydrochloride (9CI) (CA INDEX NAME)



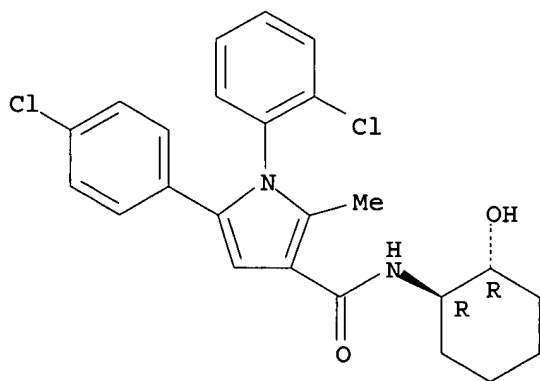
RN 504405-64-5 HCAPLUS
CN 1H-Pyrrole-3-carboxylic acid, 1-(2-chlorophenyl)-5-(4-chlorophenyl)-2-methyl-, 2-[4-(trifluoromethyl)phenyl]hydrazide, hydrochloride (9CI) (CA INDEX NAME)



RN 504405-65-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-(2-chlorophenyl)-5-(4-chlorophenyl)-N-[(1R,2R)-2-hydroxycyclohexyl]-2-methyl-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

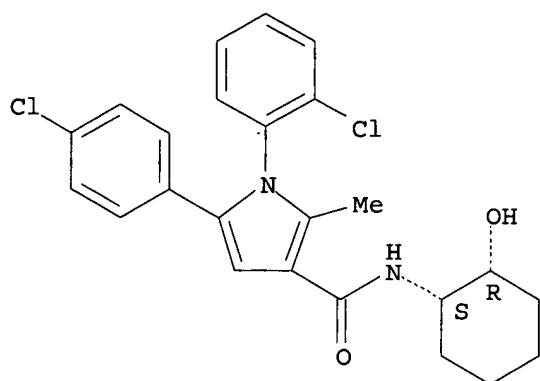


RN 504405-66-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-(2-chlorophenyl)-5-(4-chlorophenyl)-N-[(1R,2S)-2-hydroxycyclohexyl]-2-methyl-, rel- (9CI) (CA INDEX NAME)

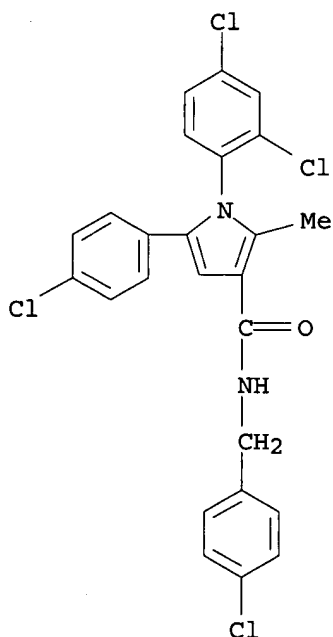
Relative stereochemistry.

02/08/2006,10540276.trn



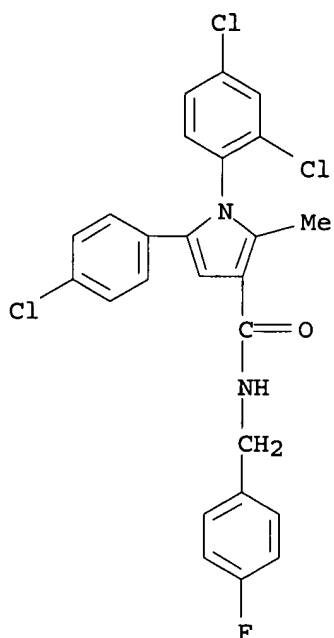
RN 504405-67-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-(4-chlorophenyl)-N-[(4-chlorophenyl)methyl]-1-(2,4-dichlorophenyl)-2-methyl- (9CI) (CA INDEX NAME)



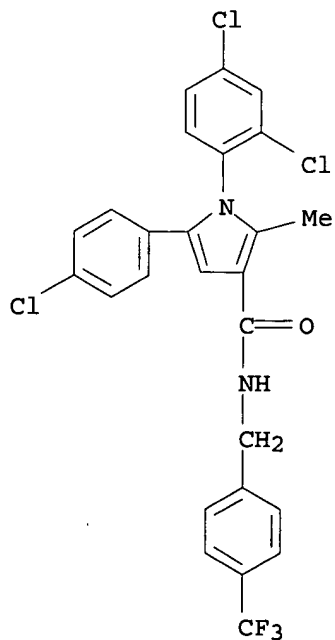
RN 504405-68-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N-[(4-fluorophenyl)methyl]-2-methyl- (9CI) (CA INDEX NAME)



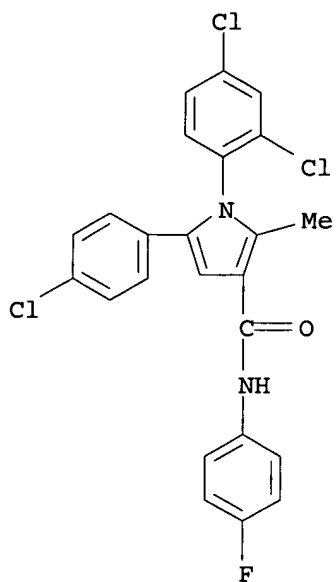
RN 504405-69-0 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-2-methyl-N-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



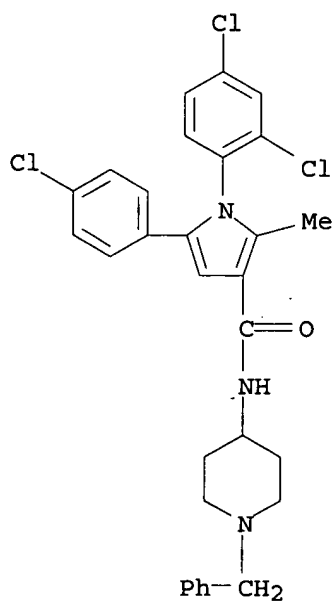
RN 504405-70-3 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-N-(4-fluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)



RN 504405-71-4 HCAPLUS

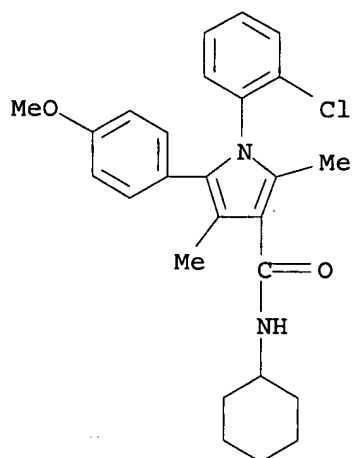
CN 1H-Pyrrole-3-carboxamide, 5-(4-chlorophenyl)-1-(2,4-dichlorophenyl)-2-methyl-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 504405-80-5 HCAPLUS

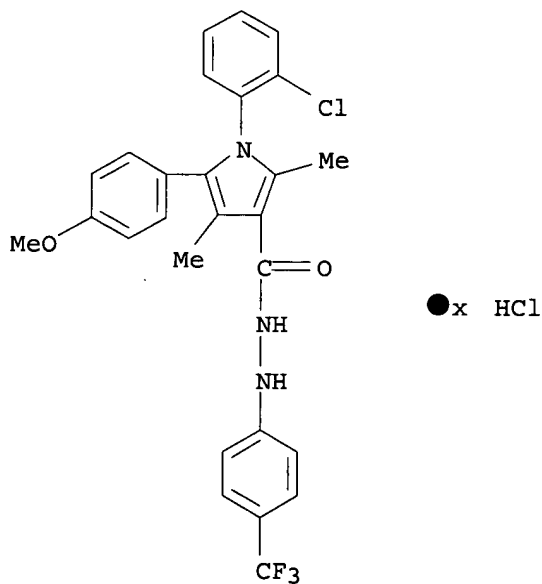
CN 1H-Pyrrole-3-carboxamide, 1-(2-chlorophenyl)-N-cyclohexyl-5-(4-methoxyphenyl)-2,4-dimethyl- (9CI) (CA INDEX NAME)

02/08/2006,10540276.trn



RN 504405-81-6 HCAPLUS

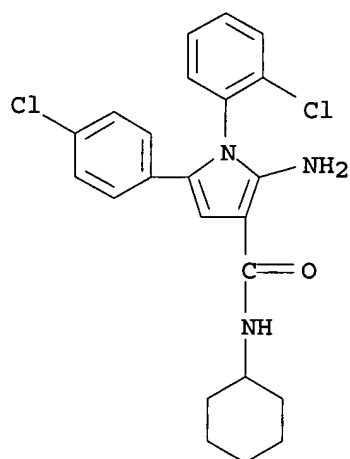
CN 1H-Pyrrole-3-carboxylic acid, 1-(2-chlorophenyl)-5-(4-methoxyphenyl)-2,4-dimethyl-, 2-[4-(trifluoromethyl)phenyl]hydrazide, hydrochloride (9CI)
(CA INDEX NAME)



RN 504405-82-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-amino-1-(2-chlorophenyl)-5-(4-chlorophenyl)-N-cyclohexyl-, hydrochloride (9CI) (CA INDEX NAME)

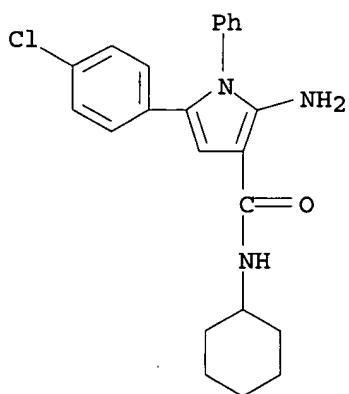
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●x HCl

RN 504405-83-8 HCAPLUS

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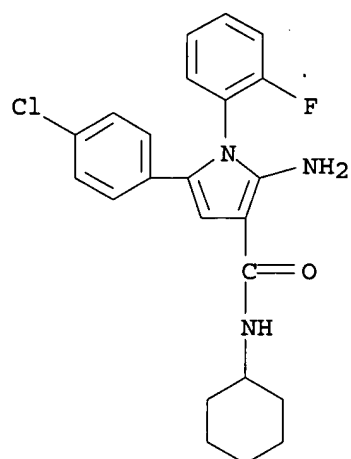


●x HCl

RN 504405-84-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-amino-5-(4-chlorophenyl)-N-cyclohexyl-1-(2-fluorophenyl)-, hydrochloride (9CI) (CA INDEX NAME)

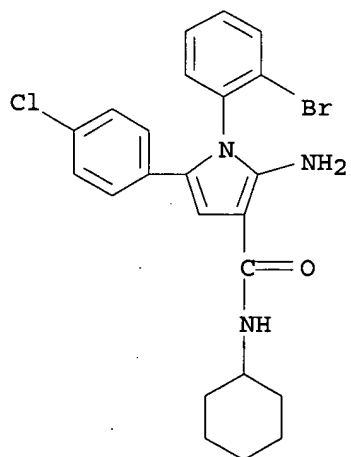
02/08/2006,10540276.trn



●x HCl

RN 504405-85-0 HCAPLUS

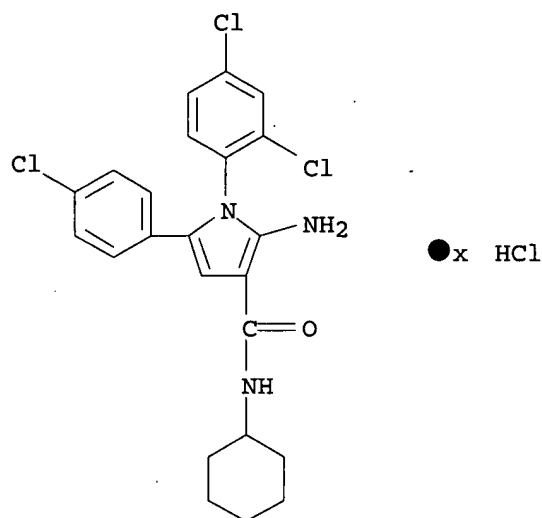
CN 1H-Pyrrole-3-carboxamide, 2-amino-1-(2-bromophenyl)-5-(4-chlorophenyl)-N-cyclohexyl-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

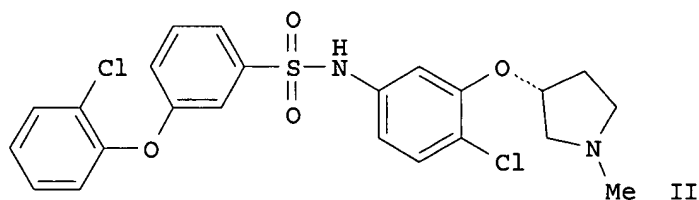
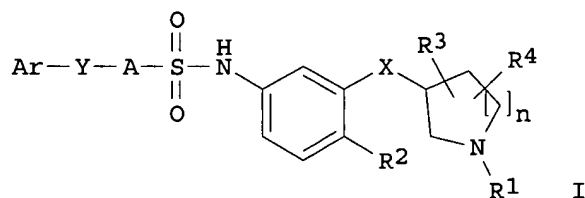
RN 504405-86-1 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-amino-5-(4-chlorophenyl)-N-cyclohexyl-1-(2,4-dichlorophenyl)-, hydrochloride (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 15 Nov 2002
 GI



AB The title compds. [I; Ar = (un)substituted Ph, pyridyl, thienyl, etc.; A = (un)substituted Ph, thienyl, furanyl, etc.; Y = O, NH, CONHCH2, SOn, CH2, a bond; R2 = H, halo, CF3, CN, alkyl; R1, R3, R4 = H, alkyl, CH2Ph; X = O, S, CH2; n = 0-2], useful as antagonists of urotensin II, were prepared and formulated. E.g., a 6-step synthesis of (R)-II, starting from 2-chloro-5-nitroanisole, was given. Activity for the compds. I against h-U-II range from Ki = 10-10000 nM.

ACCESSION NUMBER: 2002:868728 HCAPLUS
 DOCUMENT NUMBER: 137:370085
 TITLE: Preparation of sulfonamides as antagonists of urotensin II

INVENTOR(S): Dhanak, Dashyant; Gallagher, Timothy F.; Knight, Steven D.
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
 SOURCE: PCT Int. Appl., 26 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2002089793 | A1 | 20021114 | WO 2002-US14409 | 20020507 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1387679 | A1 | 20040211 | EP 2002-769373 | 20020507 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004529164 | T2 | 20040924 | JP 2002-586928 | 20020507 |
| US 2004198979 | A1 | 20041007 | US 2003-477051 | 20031107 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | US 2001-289305P | P 20010507 |
| | | | US 2001-289307P | P 20010507 |
| | | | WO 2002-US14409 | W 20020507 |

OTHER SOURCE(S): MARPAT 137:370085

IT 474947-42-7P 474947-44-9P

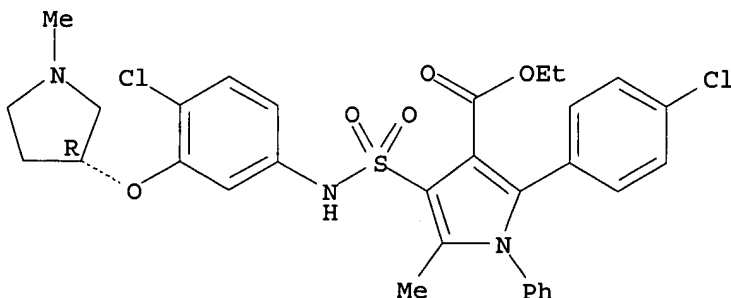
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfonamides as antagonists of urotensin II)

RN 474947-42-7 HCAPLUS

CN 1H-Pyrrole-3-carboxylic acid, 4-[[[4-chloro-3-[[[(3R)-1-methyl-3-pyrrolidinyl]oxy]phenyl]amino]sulfonyl]-2-(4-chlorophenyl)-5-methyl-1-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

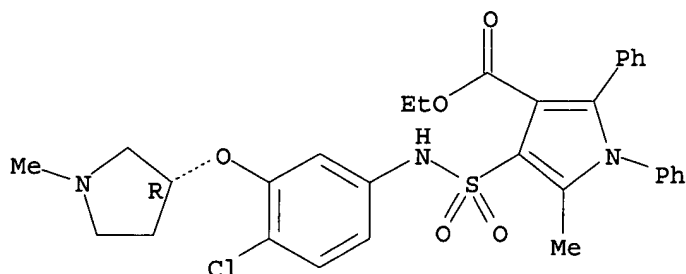


RN 474947-44-9 HCAPLUS

CN 1H-Pyrrole-3-carboxylic acid, 4-[[[4-chloro-3-[[[(3R)-1-methyl-3-pyrrolidinyl]oxy]phenyl]amino]sulfonyl]-5-methyl-1,2-diphenyl-, ethyl

ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 01 Jul 1999

AB The calcn. of possible mol. formulas on the basis of the very precise ESI-FT-ICR measurement thus gave solely the correct composition This rapid mol. formula determination may also be applied to complex mixts. such as those which arise from combinatorial chemical To demonstrate the performance of this method in this respect, a split-mix compound collection of 140 different pyrrole amides consisting of 10 subcollections of 14 compds. each was synthesized on Rink amide AM resin. The results of the subcollection with 2-bromoacetophenone as building block (R2=C6H5, R3=H) are illustrated as an example. The total amount of sample of 20 pmol gives a mean sample consumption of 1.4 pmol per compound for the routine measurement. All 14 expected products could be detected by mass spectrometry.

ACCESSION NUMBER: 1999:404464 HCAPLUS

DOCUMENT NUMBER: 131:193473

TITLE: ESI Fourier transform ion cyclotron resonance mass spectrometry (ESI-FT-ICR-MS): a rapid high-resolution analytical method for combinatorial compound libraries

AUTHOR(S): Walk, Tilmann B.; Trautwein, Axel W.; Richter, Hartmut; Jung, Gunther

CORPORATE SOURCE: Institut für Organische Chemie der Universität, Tübingen, D-72076, Germany

SOURCE: Angewandte Chemie, International Edition (1999), 38(12), 1763-1765

CODEN: ACIEF5; ISSN: 1433-7851

PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal

LANGUAGE: English

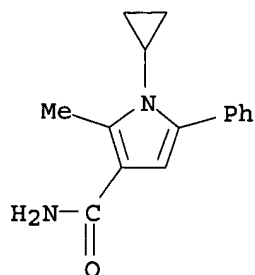
IT 239474-84-1 239474-93-2 239474-97-6

RL: ANT (Analyte); PEP (Physical, engineering or chemical process); ANST (Analytical study); PROC (Process)

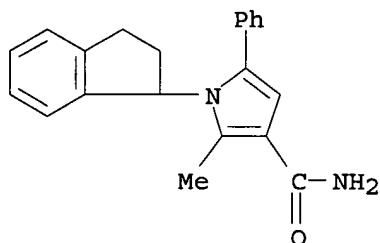
(ESI Fourier transform ion cyclotron resonance mass spectrometry for rapid high-resolution anal. of combinatorial compds. of)

RN 239474-84-1 HCAPLUS

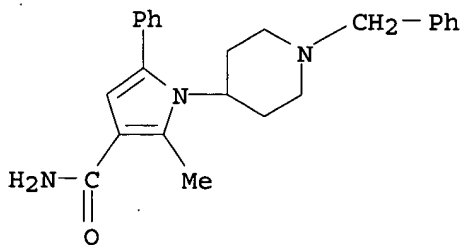
CN 1H-Pyrrole-3-carboxamide, 1-cyclopropyl-2-methyl-5-phenyl- (9CI) (CA INDEX NAME)



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RN 239474-93-2 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(2,3-dihydro-1H-inden-1-yl)-2-methyl-5-phenyl-
(9CI) (CA INDEX NAME)
```



RN 239474-97-6 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 2-methyl-5-phenyl-1-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 28 Feb 1996

AB A number of new title compds. (6) have been synthesized by the reaction of N-methyl/N,N-diethylacetoacetamide with benzoin and various alkyl, aryl and aralkylamines in the presence of formic acid. Compds. 6 showed appreciable antifungal activity mild bactericidal activity.

ACCESSION NUMBER: 1996:122149 HCAPLUS

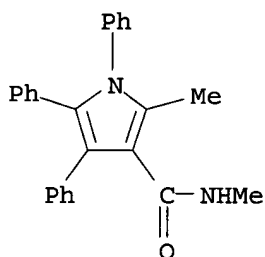
DOCUMENT NUMBER: 124:289156

TITLE: Synthesis of some new 4,5-diphenyl-3-(N-methyl/N,N-diethyl)carbamoyl-2-methyl-1-substituted-1H-pyrroles and their fungicidal activity

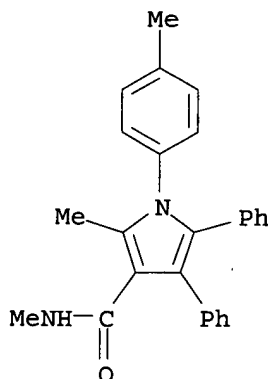
AUTHOR(S) : Sadanandam, Y. S.; Leelavathi, P.; Shetty, Meera M.

02/08/2006,10540276.trn

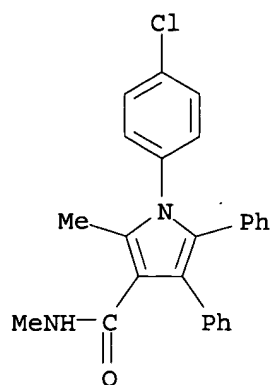
CORPORATE SOURCE: Organic Chemistry Division-I, Indian Institute
Chemical Technology, Hyderabad, 500 007, India
SOURCE: Indian Journal of Heterocyclic Chemistry (1995), 5(2),
125-8
CODEN: IJCHEI; ISSN: 0971-1627
PUBLISHER: Lucknow University, Dep. of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 175475-93-1P 175475-94-2P 175475-95-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological
study); PREP (Preparation)
(preparation and antimicrobial activity of)
RN 175475-93-1 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N,2-dimethyl-1,4,5-triphenyl- (9CI) (CA INDEX
NAME)



RN 175475-94-2 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N,2-dimethyl-1-(4-methylphenyl)-4,5-diphenyl-
(9CI) (CA INDEX NAME)



RN 175475-95-3 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N,2-dimethyl-4,5-diphenyl-
(9CI) (CA INDEX NAME)



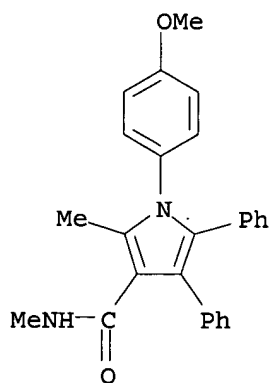
IT 175475-96-4P 175475-97-5P 175475-98-6P

175475-99-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

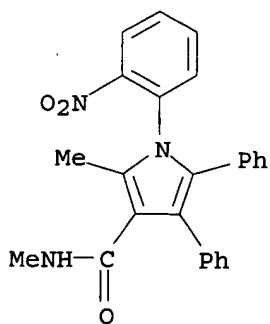
RN 175475-96-4 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-(4-methoxyphenyl)-N,2-dimethyl-4,5-diphenyl-
(9CI) (CA INDEX NAME)



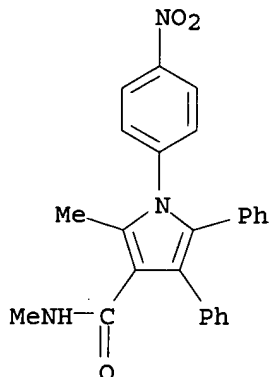
RN 175475-97-5 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N,2-dimethyl-1-(2-nitrophenyl)-4,5-diphenyl-
(9CI) (CA INDEX NAME)



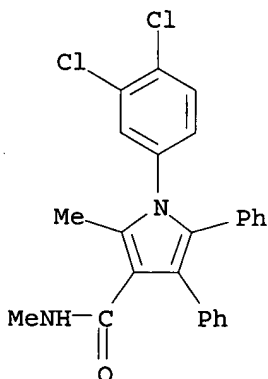
RN 175475-98-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N,2-dimethyl-1-(4-nitrophenyl)-4,5-diphenyl-
(9CI) (CA INDEX NAME)



RN 175475-99-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-(3,4-dichlorophenyl)-N,2-dimethyl-4,5-diphenyl-
(9CI) (CA INDEX NAME)



L4 ANSWER 17 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 15 Feb 1996

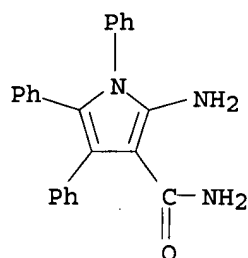
AB Several fused pyrimidinones were synthesized by reaction of aminoarenecarboxamide with esters in moderate to good yields. In the presence of sodium ethoxide, treatments of 2-amino-1-phenyl-3-pyrrololecarboxamide, 2-amino-3-thiophenecarboxamide, 3-amino-4-isoxazolecarboxamide, 4-amino-1,2,3-triazole-5-carboxamide, and o-aminobenzamide with esters such as Et formate and Et acetate led to the corresponding pyrrolo[2,3-d]- and thieno[2,3-d]pyrimidin-4(3H)-ones, isoxazolo[5,4-d]pyrimidin-4(5H)-ones, 1,2,3-triazolo[4,5-d]pyrimidin-7(6H)-ones, and -4(3H)-quinazolones, resp.

ACCESSION NUMBER: 1996:97030 HCAPLUS

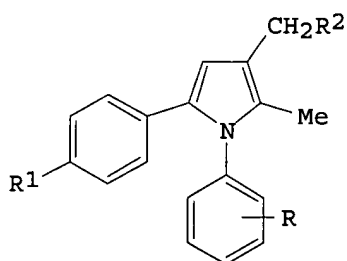
DOCUMENT NUMBER: 124:260966

TITLE: Synthesis of fused pyrimidinones by reaction of aminoarenecarboxamide with esters; preparation of pyrrolo[2,3-d]-, thieno[2,3-d]-, isoxazolo[5,4-d]-,

and 1,2,3-triazolo[4,5-d]pyrimidinones, and
-quinazolones
AUTHOR(S): Miyashita, Akira; Fujimoto, Katsuhiko; Okada, Tomomi;
Higashino, Takeo
CORPORATE SOURCE: Sch. Pharm. Sci., Univ. Shizuoka, Shizuoka, 422, Japan
SOURCE: Heterocycles (1996), 42(2), 691-9
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 56023-01-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of fused pyrimidinones by reaction of aminoarenecarboxamide
with esters)
RN 56023-01-9 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 2-amino-1,4,5-triphenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 18 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 30 Mar 1993
GI

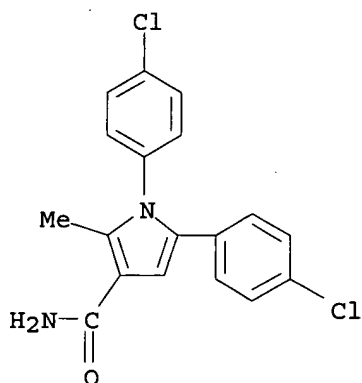


I

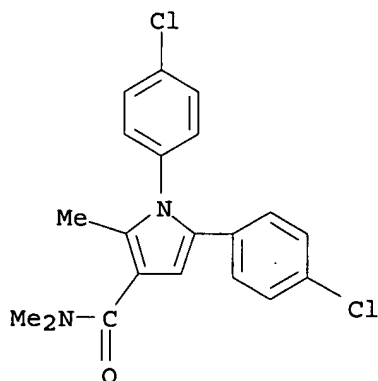
AB The synthesis and anti-Candida activity of some 3-aminomethyl-1,5-diaryl-2-methylpyrroles, e.g., I (R = H, 4-Cl, 4-F, 2,4-Cl2; R1 = H, Cl; R2 = NMe2, NHPh, pyrrolidino, 1-imidazolyl, 4-methylpiperazin-1-yl) are reported. Some derivs. show a rather strong anti-Candida activity. On the basis of exptl. results, microbiol. activity of 1,5-diarylpyrroles appears to be mainly related to aminic nitrogen lone pair availability of C3 substituent of the pyrrole nucleus. The C5 and N1 substituents play an important role in modulating biol. activity. Some structure-activity relationships are proposed.
ACCESSION NUMBER: 1993:124338 HCAPLUS
DOCUMENT NUMBER: 118:124338
TITLE: Studies on anti-Candida agents with a pyrrole moiety. Synthesis and microbiological activity of some

02/08/2006,10540276.trn

AUTHOR(S): 3-(aminomethyl)-1,5-diaryl-2-methylpyrrole derivatives
Cerreto, F.; Villa, A.; Retico, A.; Scalzo, M.
CORPORATE SOURCE: Dip. Studi Chim. Technol. Sostanze Biol. Attive, Univ.
La Sapienza, Rome, 00185, Italy
SOURCE: European Journal of Medicinal Chemistry (1992), 27(7),
701-8
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 146204-80-0P 146204-81-1P
RL: BAC (Biological activity or effectòr, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); BIOL (Biological
study); PREP (Preparation)
(preparation and antifungal activity of)
RN 146204-80-0 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1,5-bis(4-chlorophenyl)-2-methyl- (9CI) (CA
INDEX NAME)



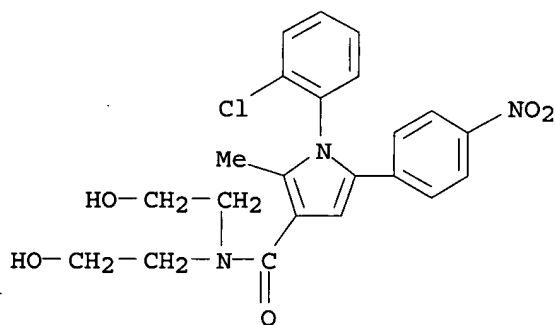
RN 146204-81-1 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1,5-bis(4-chlorophenyl)-N,N,2-trimethyl- (9CI)
(CA INDEX NAME)



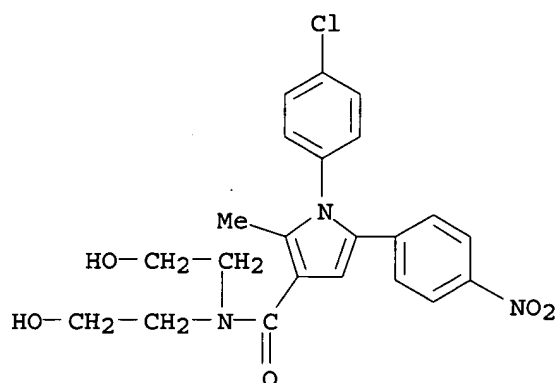
L4 ANSWER 19 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 30 Mar 1993
AB The synthesis of some [(1-alkyl), (1-aryl) and (1-benzyl)-5-aryl-3-

carboxamido-2-methyl]pyrrole derivs. is reported. Their activity against Candida strains was assessed and the structure-activity relationships for these compds. are discussed and related to structure-activity guidelines proposed for a series of previously studied 1,5-diarylpyrroles.

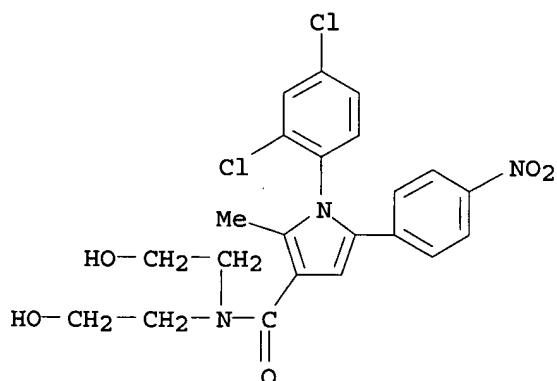
ACCESSION NUMBER: 1993:120801 HCAPLUS
 DOCUMENT NUMBER: 118:120801
 TITLE: Studies on anti-Candida agents with a pyrrole moiety. Synthesis and microbiological activity of some [(1-alkyl), (1-aryl) and (1-benzyl)-5-aryl-3-carboxamido-2-methyl]pyrrole derivatives
 AUTHOR(S): Scalzo, Marcello; Biava, Mariangela; Cerreto, Felice; Villa, Adelaide
 CORPORATE SOURCE: Dip. Studi Chim. Tecnol., Univ. "La Sapienza", Rome, Italy
 SOURCE: Farmaco (1992), 47(7-8), 1047-53
 CODEN: FRMCE8; ISSN: 0014-827X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 IT 146429-89-2P 146429-90-5P 146429-91-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and fungicidal activity of)
 RN 146429-89-2 HCAPLUS
 CN 1H-Pyrrole-3-carboxamide, 1-(2-chlorophenyl)-N,N-bis(2-hydroxyethyl)-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



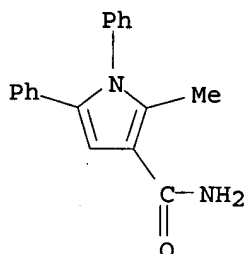
RN 146429-90-5 HCAPLUS
 CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N,N-bis(2-hydroxyethyl)-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



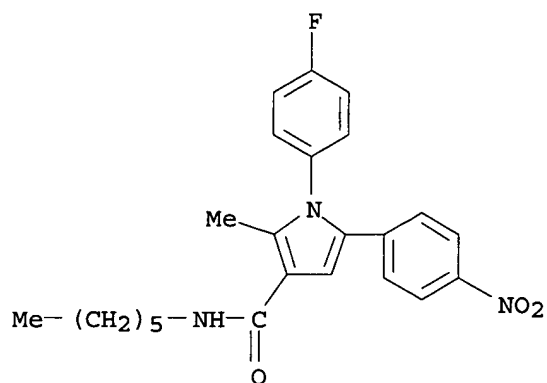
RN 146429-91-6 HCAPLUS
 CN 1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N,N-bis(2-hydroxyethyl)-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 20 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 24 Jan 1992
 AB The correlation between lipophilicity and activity against Candida albicans of some 1,5-diarylpyrroles is described.
 ACCESSION NUMBER: 1992:18272 HCAPLUS
 DOCUMENT NUMBER: 116:18272
 TITLE: Correlation analysis in a set of 1,5-diarylpyrroles with antimycotic activity
 AUTHOR(S): Scalzo, M.; Biava, M.; Porretta, G. C.; Cerreto, F.
 CORPORATE SOURCE: Fac. Farm., Univ. "La Sapienza", Rome, Italy
 SOURCE: Pharmacochemistry Library (1991), 16(QSAR: Ration. Approaches Des. Bioact. Compd.), 389-92
 CODEN: PHLIDQ; ISSN: 0165-7208
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 IT 138147-74-7D, derivs.
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (antimycotic activity of, QSAR of)
 RN 138147-74-7 HCAPLUS
 CN 1H-Pyrrole-3-carboxamide, 2-methyl-1,5-diphenyl- (9CI) (CA INDEX NAME)

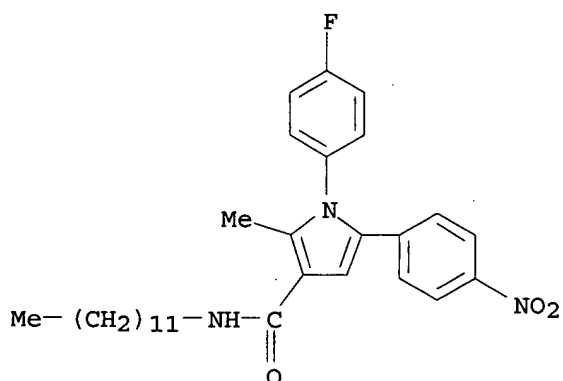


L4 ANSWER 21 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 16 Sep 1989
AB A series of 1,5-diarylpyrrole derivs. were synthesized and tested in vitro for their activity against bacteria and fungi. Forty-eight derivs. were evaluated for their antifungal activity against *Candida albicans* and various strains of *Candida* species. The antibacterial activity of 10 derivs. was evaluated against gram-pos. and gram-neg. bacteria. Structure-activity relations are discussed.
ACCESSION NUMBER: 1989:493768 HCAPLUS
DOCUMENT NUMBER: 111:93768
TITLE: Synthesis and microbiological activity of new 1,5-diarylpyrroles
AUTHOR(S): Scalzo, Marcello; Biava, Mariangela; Cerreto, Felice; Porretta, Giulio Cesare; Panico, Salvatore; Simonetti, Nicola
CORPORATE SOURCE: Fac. Farm., Univ. La Sapienza, Rome, Italy
SOURCE: European Journal of Medicinal Chemistry (1988), 23(6), 587-91
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:93768
IT 122121-42-0P 122121-43-1P 122121-44-2P
122121-45-3P 122121-47-5P 122121-48-6P
122121-49-7P 122121-50-0P 122121-52-2P
122121-53-3P 122121-54-4P 122121-55-5P
122121-57-7P 122121-58-8P 122121-59-9P
122121-60-2P 122121-62-4P 122121-63-5P
122121-64-6P 122121-65-7P 122121-67-9P
122121-68-0P 122121-69-1P 122121-70-4P
122121-71-5P 122121-72-6P 122121-73-7P
122148-64-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antimicrobial activity of)
RN 122121-42-0 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(4-fluorophenyl)-N-hexyl-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



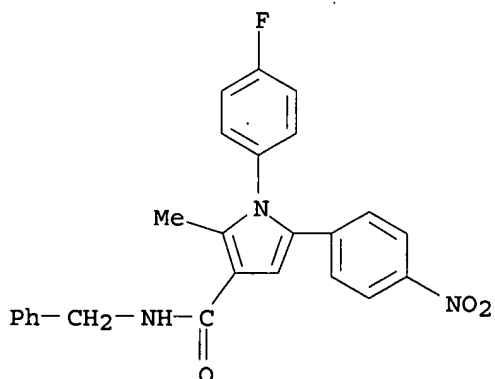
RN 122121-43-1 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-dodecyl-1-(4-fluorophenyl)-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 122121-44-2 HCAPLUS

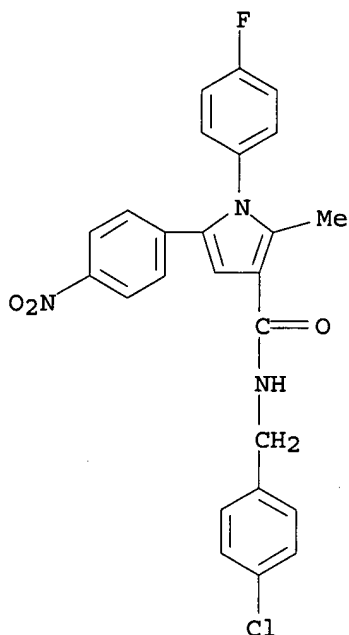
CN 1H-Pyrrole-3-carboxamide, 1-(4-fluorophenyl)-2-methyl-5-(4-nitrophenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 122121-45-3 HCAPLUS

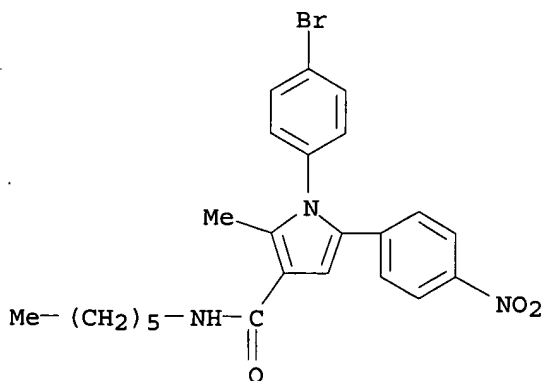
02/08/2006,10540276.trn

CN 1H-Pyrrole-3-carboxamide, N-[(4-chlorophenyl)methyl]-1-(4-fluorophenyl)-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



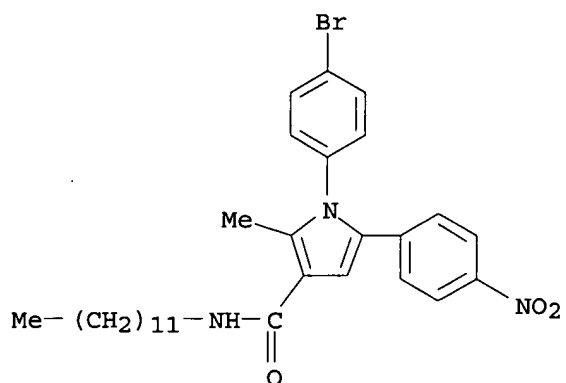
RN 122121-47-5 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-(4-bromophenyl)-N-hexyl-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

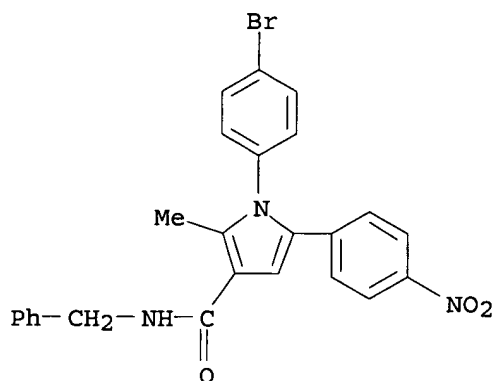


RN 122121-48-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-(4-bromophenyl)-N-dodecyl-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

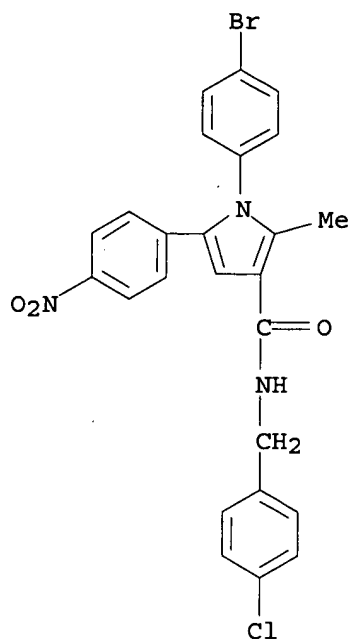


RN 122121-49-7 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(4-bromophenyl)-2-methyl-5-(4-nitrophenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

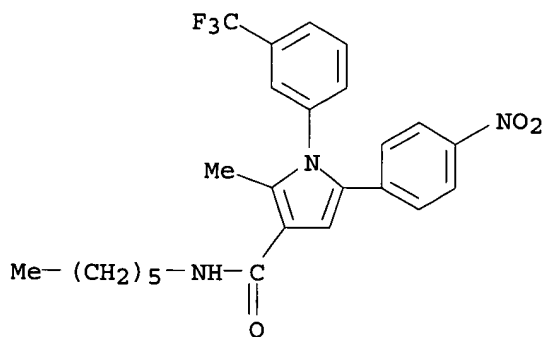


RN 122121-50-0 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(4-bromophenyl)-N-[(4-chlorophenyl)methyl]-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

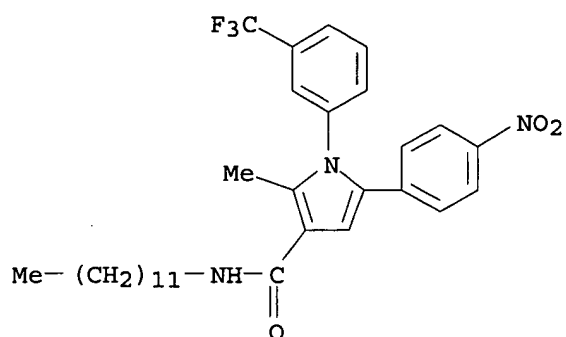
02/08/2006,10540276.trn



RN 122121-52-2 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-hexyl-2-methyl-5-(4-nitrophenyl)-1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

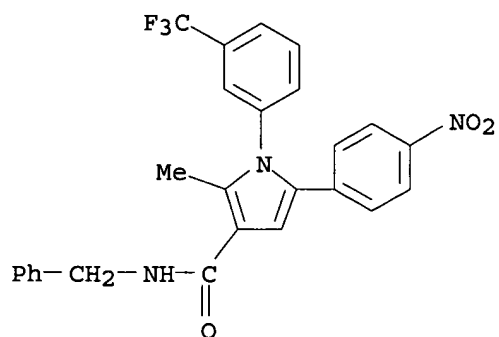


RN 122121-53-3 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-dodecyl-2-methyl-5-(4-nitrophenyl)-1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 122121-54-4 HCAPLUS

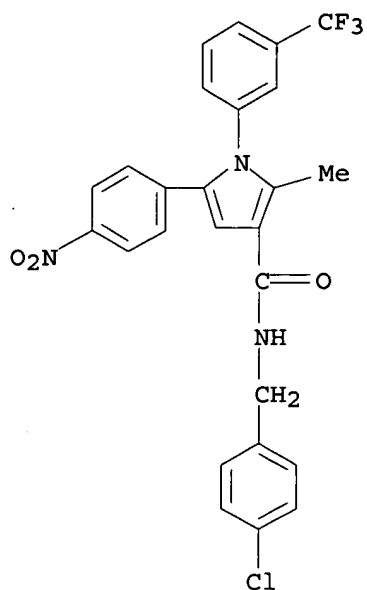
CN 1H-Pyrrole-3-carboxamide, 2-methyl-5-(4-nitrophenyl)-N-(phenylmethyl)-1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



RN 122121-55-5 HCAPLUS

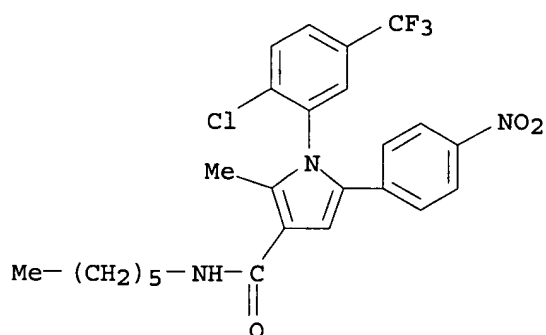
CN 1H-Pyrrole-3-carboxamide, N-[(4-chlorophenyl)methyl]-2-methyl-5-(4-nitrophenyl)-1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

02/08/2006,10540276.trn



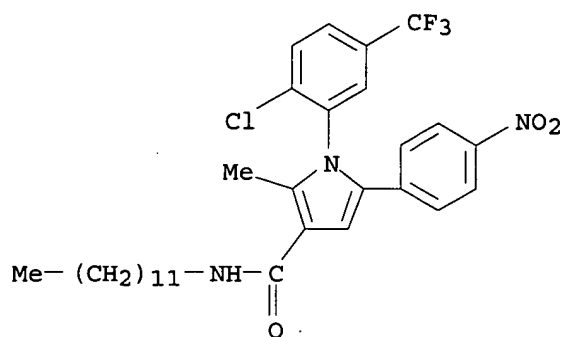
RN 122121-57-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-[2-chloro-5-(trifluoromethyl)phenyl]-N-hexyl-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



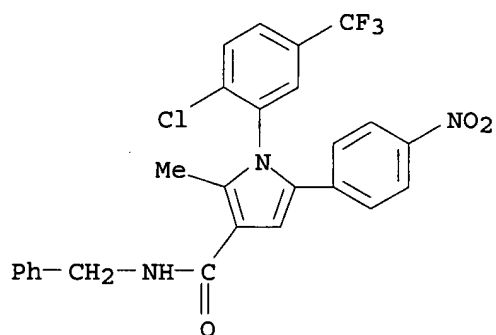
RN 122121-58-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-[2-chloro-5-(trifluoromethyl)phenyl]-N-dodecyl-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 122121-59-9 HCAPLUS

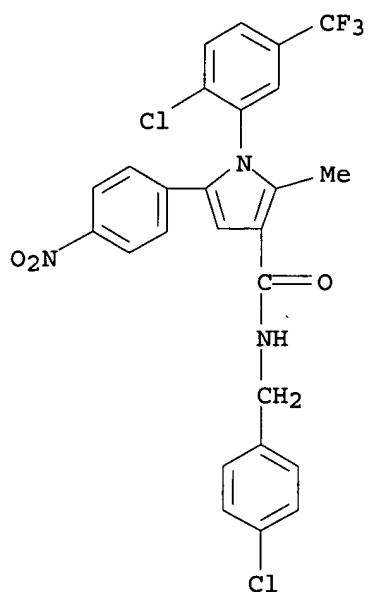
CN 1H-Pyrrole-3-carboxamide, 1-[2-chloro-5-(trifluoromethyl)phenyl]-2-methyl-5-(4-nitrophenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 122121-60-2 HCAPLUS

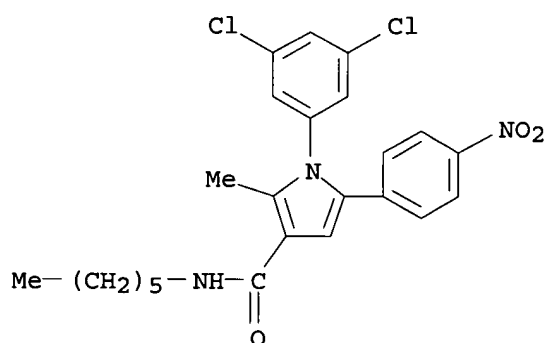
CN 1H-Pyrrole-3-carboxamide, N-[(4-chlorophenyl)methyl]-1-[2-chloro-5-(trifluoromethyl)phenyl]-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

02/08/2006,10540276.trn



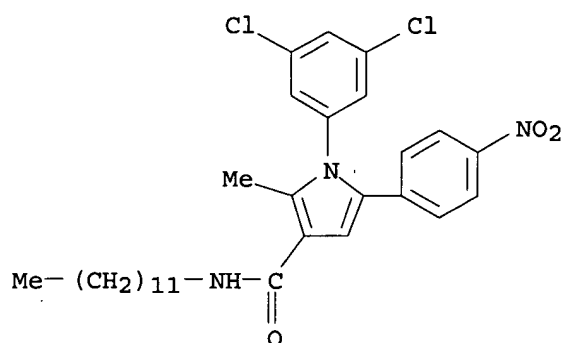
RN 122121-62-4 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-(3,5-dichlorophenyl)-N-hexyl-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



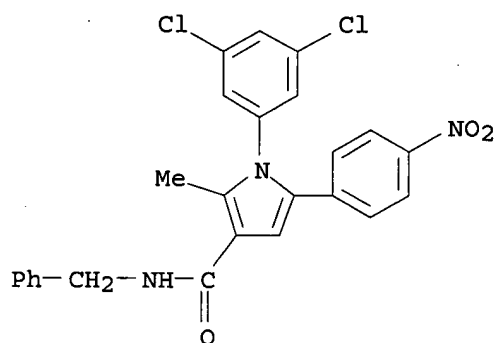
RN 122121-63-5 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-(3,5-dichlorophenyl)-N-dodecyl-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



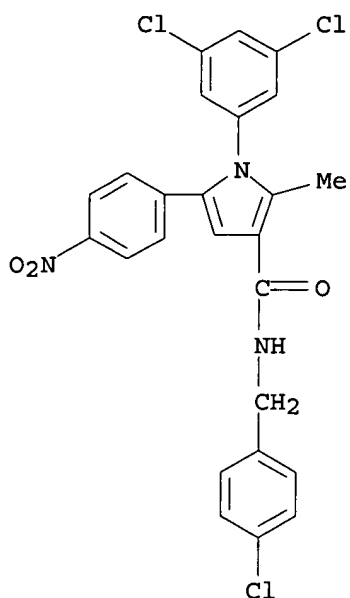
RN 122121-64-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-(3,5-dichlorophenyl)-2-methyl-5-(4-nitrophenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



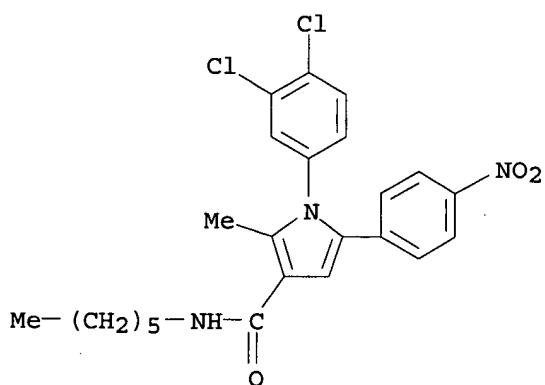
RN 122121-65-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[(4-chlorophenyl)methyl]-1-(3,5-dichlorophenyl)-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 122121-67-9 HCAPLUS

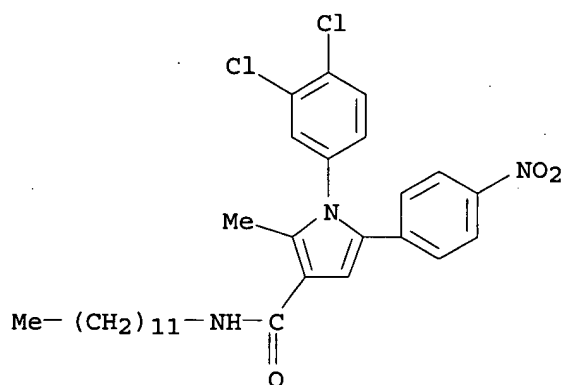
CN 1H-Pyrrole-3-carboxamide, 1-(3,4-dichlorophenyl)-N-hexyl-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 122121-68-0 HCAPLUS

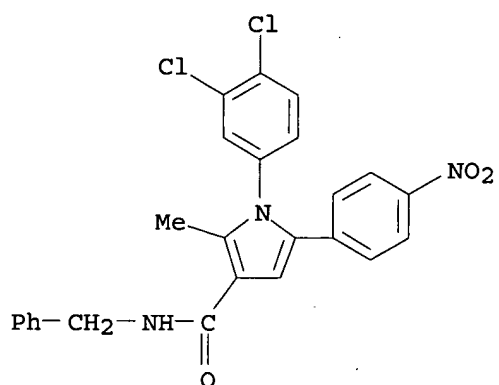
CN 1H-Pyrrole-3-carboxamide, 1-(3,4-dichlorophenyl)-N-dodecyl-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

02/08/2006,10540276.trn



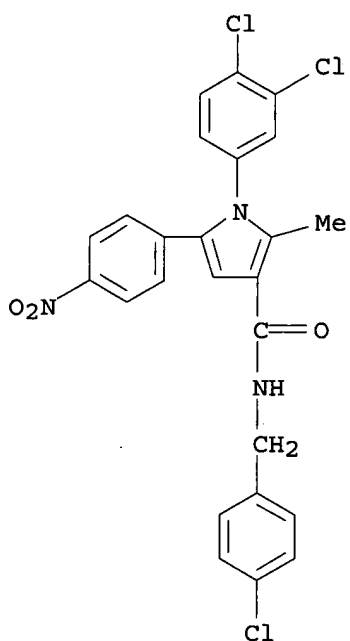
RN 122121-69-1 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-(3,4-dichlorophenyl)-2-methyl-5-(4-nitrophenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

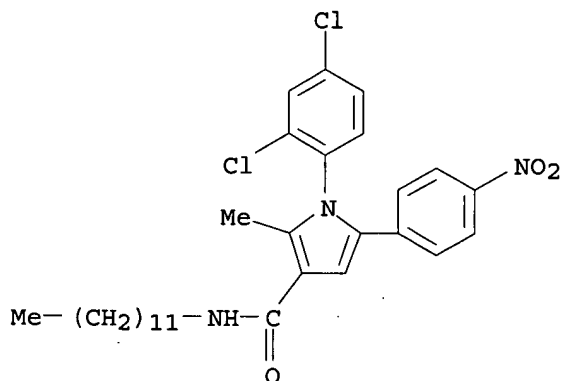


RN 122121-70-4 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[(4-chlorophenyl)methyl]-1-(3,4-dichlorophenyl)-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

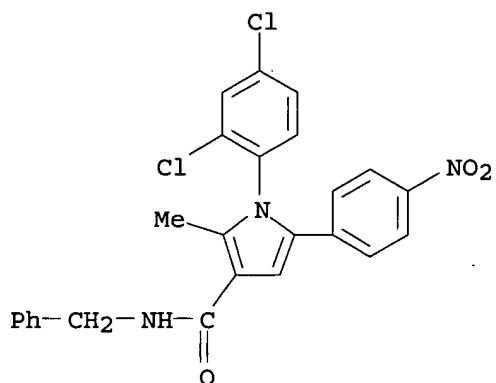


RN 122121-71-5 HCAPLUS
 CN 1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-dodecyl-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



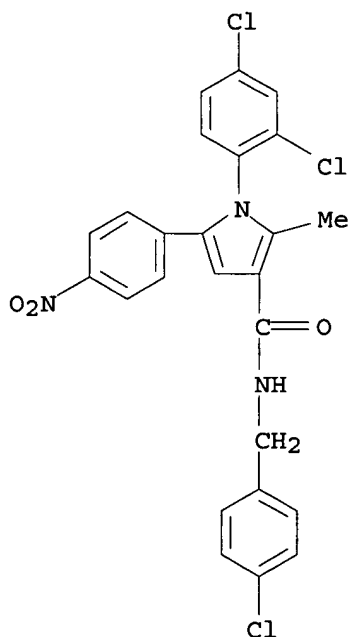
RN 122121-72-6 HCAPLUS
 CN 1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-2-methyl-5-(4-nitrophenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

02/08/2006,10540276.trn



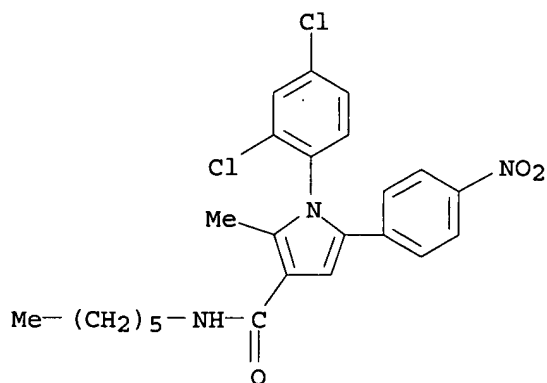
RN 122121-73-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-[(4-chlorophenyl)methyl]-1-(2,4-dichlorophenyl)-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

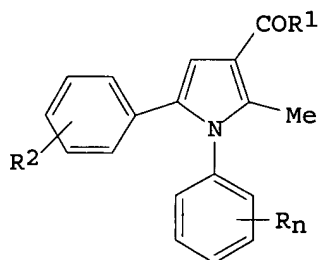


RN 122148-64-5 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-hexyl-2-methyl-5-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



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 ED Entered STN: 04 Feb 1989
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AB The synthesis and antifungal activities of new 1,5-diarylpyrrole derivs. I (R = NO₂, Cl; R₁ = HNC₆H₁₁, HNC₁₂H₂₅, HNC₆H₁₃, N-methylpiperazinyl; R₂ = H, NO₂; n = 1 or 2) are reported. In comparison with pyrrolnitrin, only carboxamide derivs. exhibit satisfactory antifungal activity. All the compds. show very poor antibacterial activity. The displacement of the NO₂ group from the para to the meta or ortho positions of the aryl at C5 of the pyrrole ring affects the antimicrobial activity.

ACCESSION NUMBER: 1989:36649 HCAPLUS
 DOCUMENT NUMBER: 110:36649
 TITLE: Compounds with antibacterial and antifungal activity. Part IV. Synthesis and microbiological activity of new 1,5-diarylpyrrole derivatives
 AUTHOR(S): Scalzo, M.; Porretta, G. C.; Chimenti, F.; Casanova, M. C.; Panico, S.; Simonetti, N.
 CORPORATE SOURCE: Dip. Chim. Tecnol. Sostanze Biol. Attive, Univ. "La Sapienza", Rome, Italy
 SOURCE: Farmaco, Edizione Scientifica (1988), 43(9), 665-76
 CODEN: FRPSAX; ISSN: 0430-0920
 DOCUMENT TYPE: Journal
 LANGUAGE: Italian
 OTHER SOURCE(S): CASREACT 110:36649
 IT 118209-76-0P 118209-77-1P 118209-78-2P
 118209-80-6P 118209-81-7P 118209-82-8P

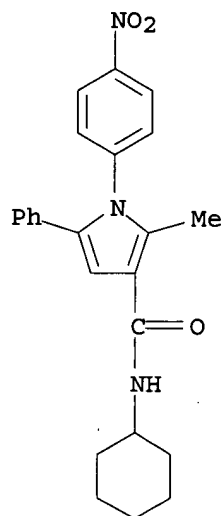
02/08/2006,10540276.trn

118209-83-9P 118209-84-0P 118209-85-1P
118209-87-3P 118209-88-4P 118209-89-5P
118209-91-9P 118209-92-0P 118209-93-1P
118209-94-2P 118209-95-3P 118209-97-5P
118209-98-6P 118209-99-7P 118210-01-8P
118210-02-9P 118210-03-0P 118210-05-2P
118210-06-3P 118210-07-4P 118228-53-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antimicrobial activity of)

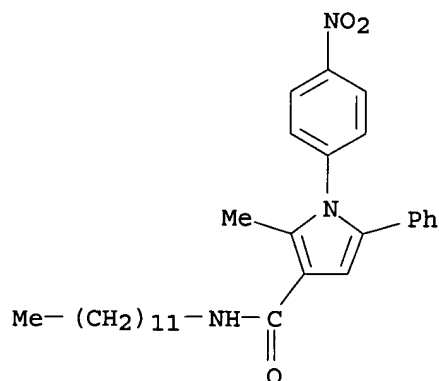
RN 118209-76-0 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-cyclohexyl-2-methyl-1-(4-nitrophenyl)-5-phenyl-
(9CI) (CA INDEX NAME)



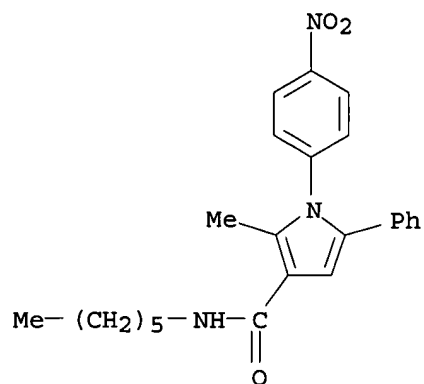
RN 118209-77-1 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-dodecyl-2-methyl-1-(4-nitrophenyl)-5-phenyl-
(9CI) (CA INDEX NAME)



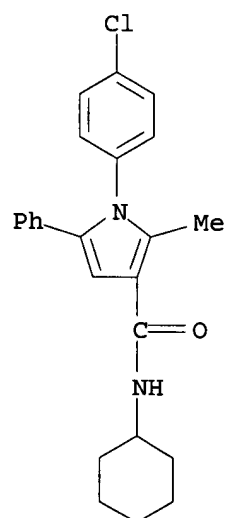
RN 118209-78-2 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-hexyl-2-methyl-1-(4-nitrophenyl)-5-phenyl-
(9CI) (CA INDEX NAME)



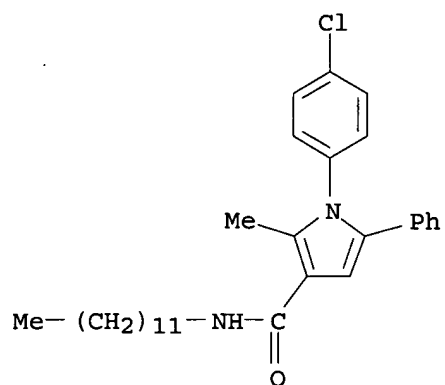
RN 118209-80-6 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N-cyclohexyl-2-methyl-5-phenyl- (9CI) (CA INDEX NAME)



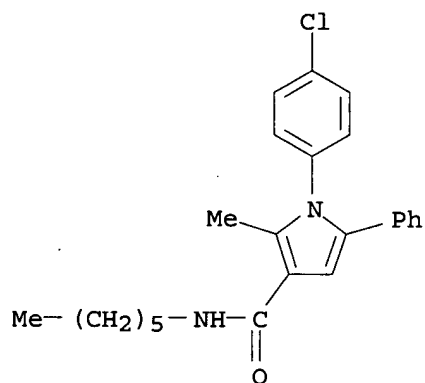
RN 118209-81-7 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N-dodecyl-2-methyl-5-phenyl- (9CI) (CA INDEX NAME)



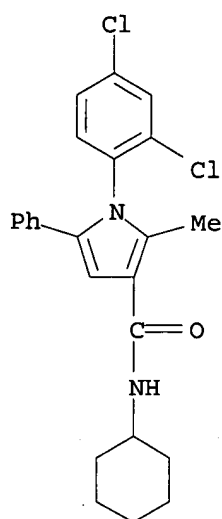
RN 118209-82-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N-hexyl-2-methyl-5-phenyl-
(9CI) (CA INDEX NAME)



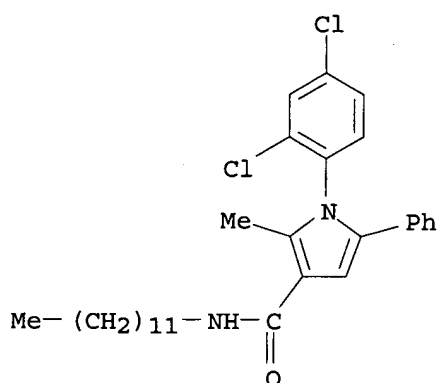
RN 118209-83-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-2-methyl-5-
phenyl- (9CI) (CA INDEX NAME)



RN 118209-84-0 HCAPLUS

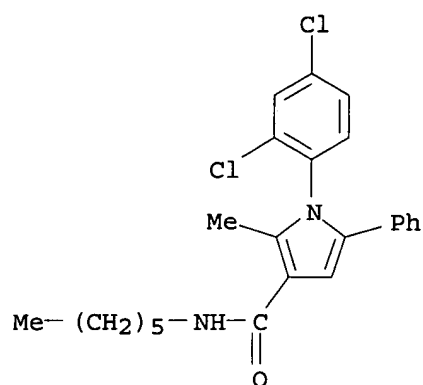
CN 1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-dodecyl-2-methyl-5-phenyl- (9CI) (CA INDEX NAME)



RN 118209-85-1 HCAPLUS

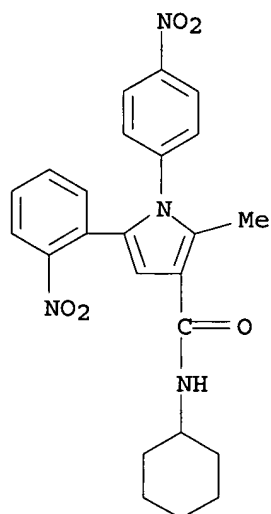
CN 1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-hexyl-2-methyl-5-phenyl- (9CI) (CA INDEX NAME)

02/08/2006,10540276.trn



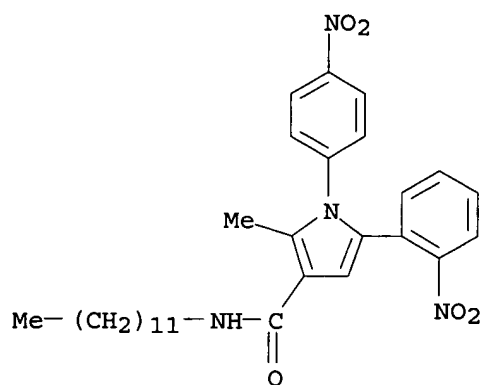
RN 118209-87-3 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-cyclohexyl-2-methyl-5-(2-nitrophenyl)-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



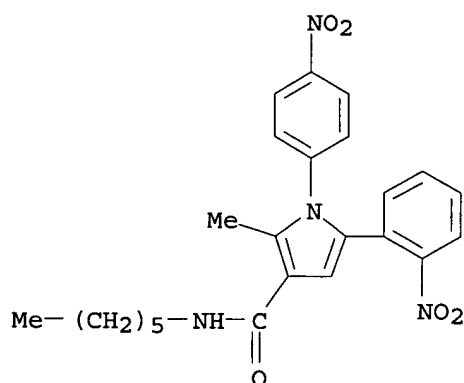
RN 118209-88-4 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-dodecyl-2-methyl-5-(2-nitrophenyl)-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 118209-89-5 HCAPLUS

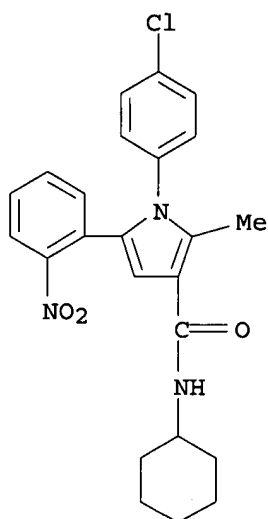
CN 1H-Pyrrole-3-carboxamide, N-hexyl-2-methyl-5-(2-nitrophenyl)-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 118209-91-9 HCAPLUS

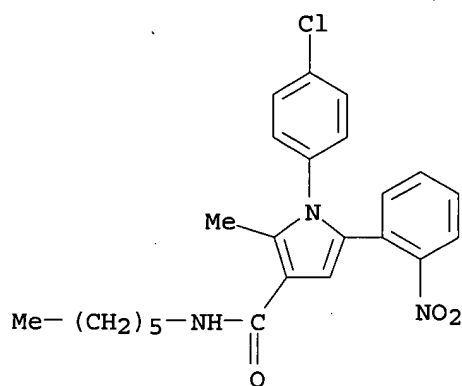
CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N-cyclohexyl-2-methyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

02/08/2006,10540276.trn



RN 118209-92-0 HCAPLUS

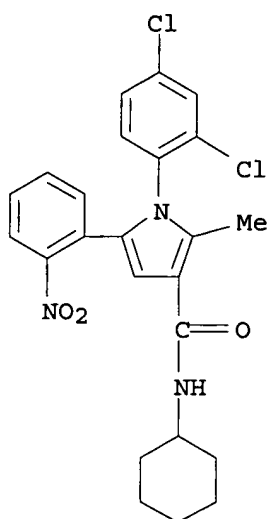
CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N-hexyl-2-methyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 118209-93-1 HCAPLUS

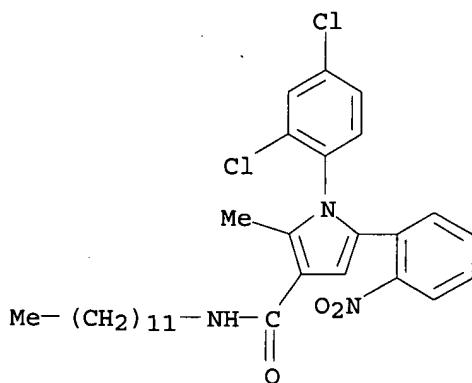
CN 1H-Pyrrole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-2-methyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

02/08/2006,10540276.trn



RN 118209-94-2 HCAPLUS

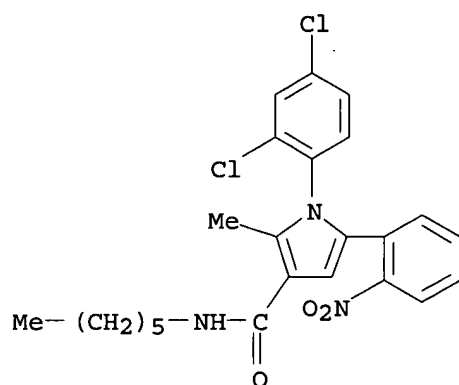
CN 1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-dodecyl-2-methyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 118209-95-3 HCAPLUS

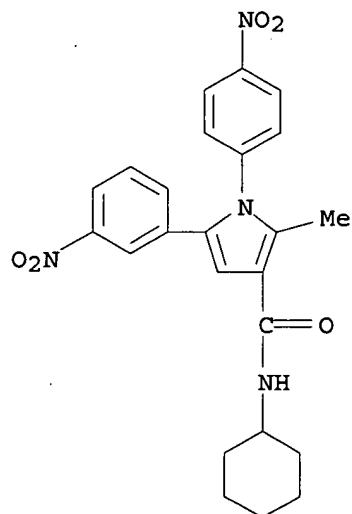
CN 1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-hexyl-2-methyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

02/08/2006,10540276.trn



RN 118209-97-5 HCAPLUS

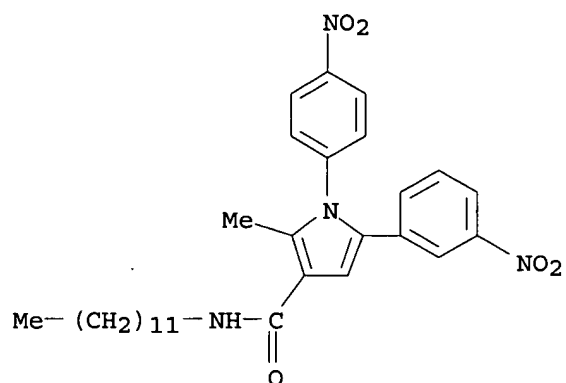
CN 1H-Pyrrole-3-carboxamide, N-cyclohexyl-2-methyl-5-(3-nitrophenyl)-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 118209-98-6 HCAPLUS

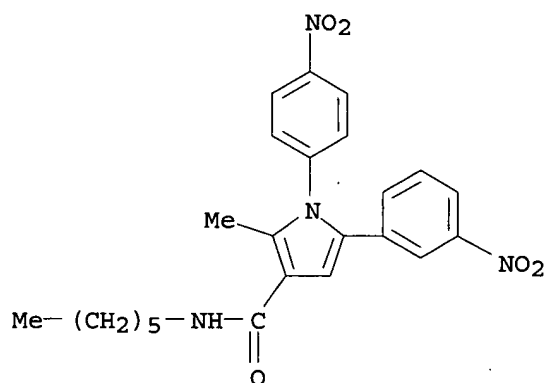
CN 1H-Pyrrole-3-carboxamide, N-dodecyl-2-methyl-5-(3-nitrophenyl)-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

02/08/2006,10540276.trn



RN 118209-99-7 HCAPLUS

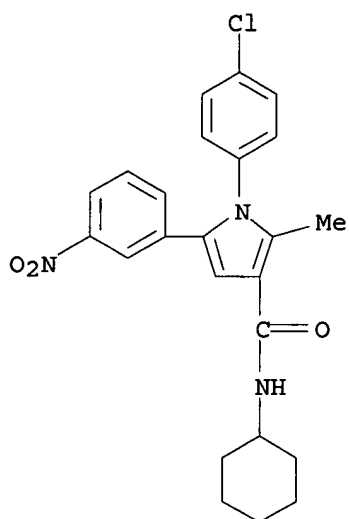
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RN 118210-01-8 HCAPLUS

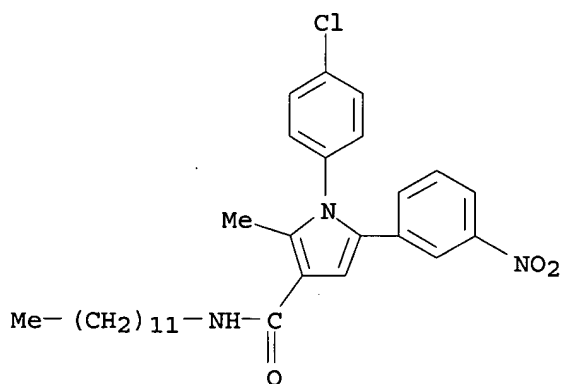
CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N-cyclohexyl-2-methyl-5-(3-nitrophenyl)- (9CI) (CA INDEX NAME)

02/08/2006,10540276.trn



RN 118210-02-9 HCAPLUS

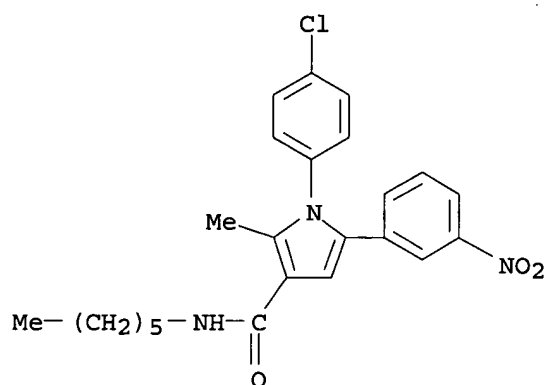
CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N-dodecyl-2-methyl-5-(3-nitrophenyl)- (9CI) (CA INDEX NAME)



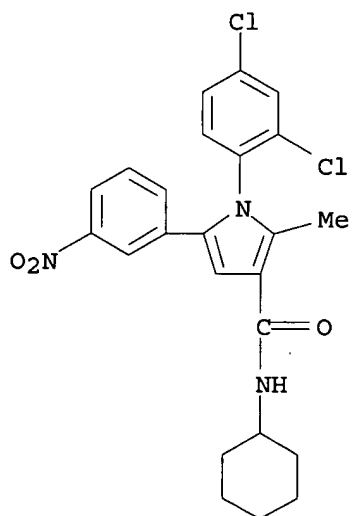
RN 118210-03-0 HCAPLUS

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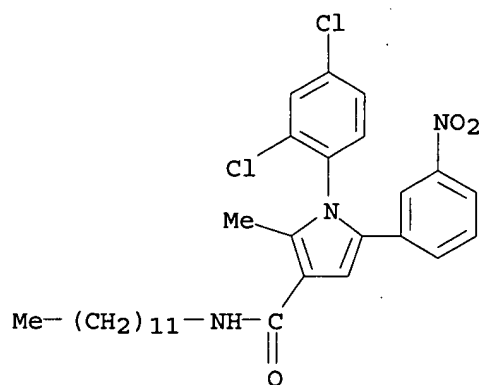
02/08/2006,10540276.trn



RN 118210-05-2 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-2-methyl-5-(3-nitrophenyl)- (9CI) (CA INDEX NAME)

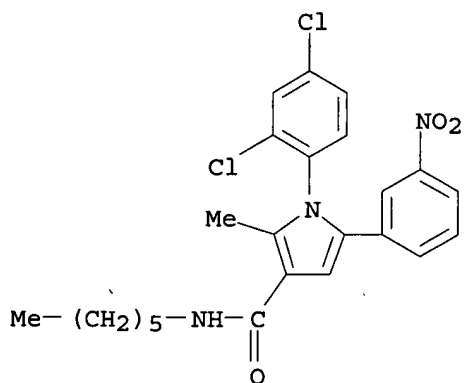


RN 118210-06-3 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-dodecyl-2-methyl-5-(3-nitrophenyl)- (9CI) (CA INDEX NAME)



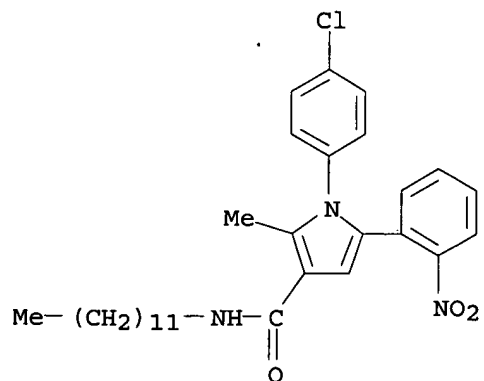
RN 118210-07-4 HCAPLUS

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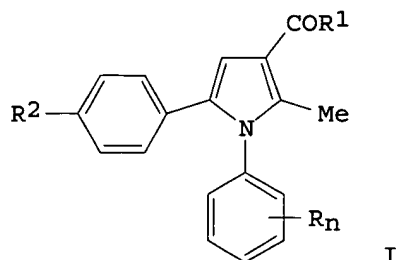


RN 118228-53-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N-dodecyl-2-methyl-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



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 ED Entered STN: 21 Jan 1989
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AB The synthesis and antifungal activities of the new 1,5-diarylpyrrole derivs. I (R = NO₂, Cl; R₁ = HNC₆H₁₃, HNC₁₂H₂₅, N-methylpiperazinyl, cyclohexylamino; R₂ = F, Cl, Br, Me, OMe; n = 1 or 2) are reported. The N-methylpiperazinyl substituent is fundamental to activity. The presence of substituents at the para position of the two Ph rings and the presence of halogen atoms enhance microbiol. activity. The results are discussed in relation to structure-activity relationships.

ACCESSION NUMBER: 1989:20996 HCAPLUS
 DOCUMENT NUMBER: 110:20996
 TITLE: Compounds with antibacterial and antifungal activity. Part V. Synthesis and microbiological activity of new 1,5-diarylpyrrole derivatives

AUTHOR(S): Scalzo, M.; Porretta, G. C.; Chimenti, F.; Bolasco, A.; Casanova, M. C.; Simonetti, N.; Villa, A.

CORPORATE SOURCE: Dip. Chim. Tecnol. Sostanze Biol. Attive, Univ. "La Sapienza", Rome, Italy

SOURCE: Farmaco, Edizione Scientifica (1988), 43(9), 677-91
 CODEN: FRPSAX; ISSN: 0430-0920

DOCUMENT TYPE: Journal

LANGUAGE: Italian

OTHER SOURCE(S): CASREACT 110:20996

IT 118179-23-0P 118179-24-1P 118179-25-2P
 118179-27-4P 118179-28-5P 118179-29-6P
 118179-31-0P 118179-32-1P 118179-33-2P
 118179-35-4P 118179-36-5P 118179-37-6P
 118179-39-8P 118179-40-1P 118179-41-2P
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 118179-59-2P 118179-60-5P 118179-62-7P
 118179-63-8P 118179-64-9P 118179-66-1P
 118179-67-2P 118179-68-3P 118179-70-7P
 118179-71-8P 118179-72-9P 118179-74-1P
 118179-75-2P 118179-76-3P 118209-17-9P
 118209-18-0P 118209-19-1P 118210-84-7P

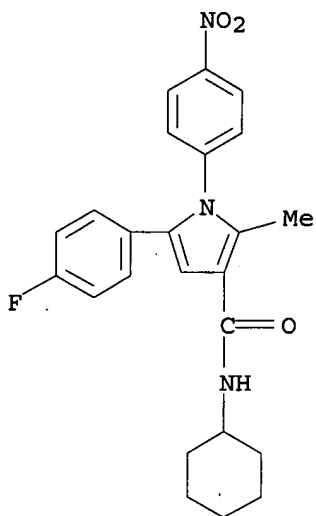
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antimicrobial activity of)

RN 118179-23-0 HCAPLUS

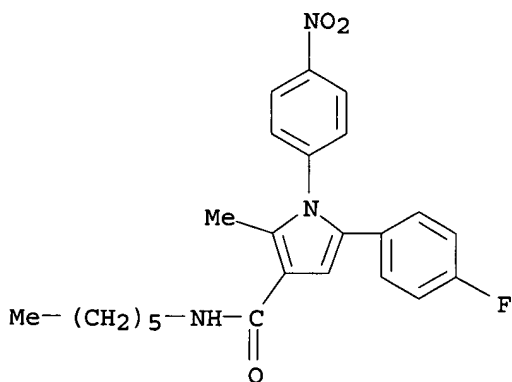
02/08/2006,10540276.trn

CN 1H-Pyrrole-3-carboxamide, N-cyclohexyl-5-(4-fluorophenyl)-2-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 118179-24-1 HCAPLUS

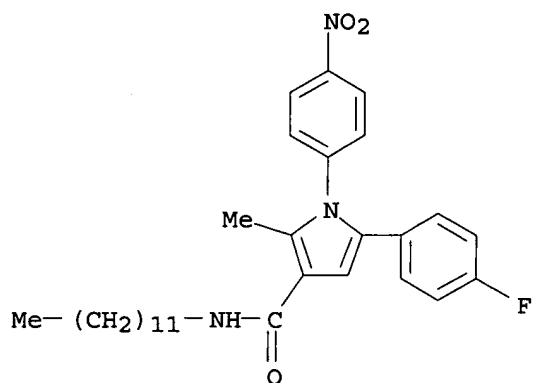
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RN 118179-25-2 HCAPLUS

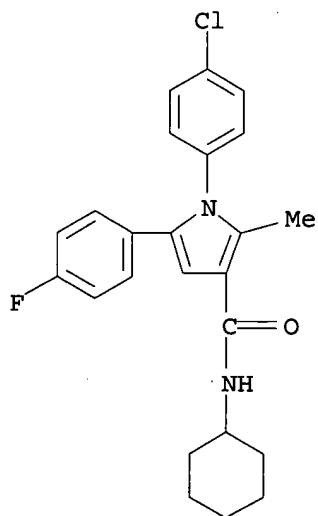
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02/08/2006,10540276.trn



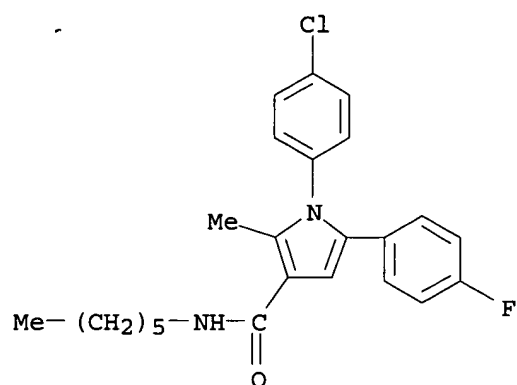
RN 118179-27-4 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 1-(4-chlorophenyl)-N-cyclohexyl-5-(4-fluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)



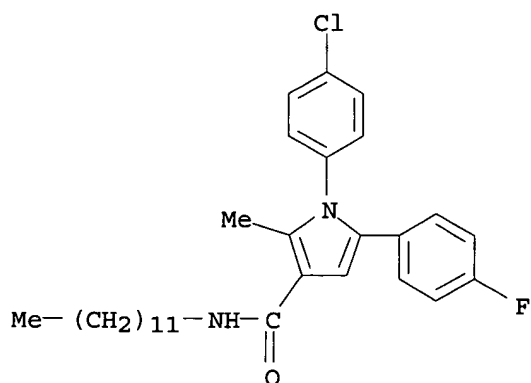
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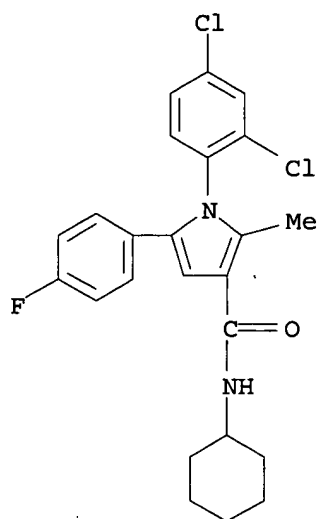
RN 118179-29-6 HCAPLUS

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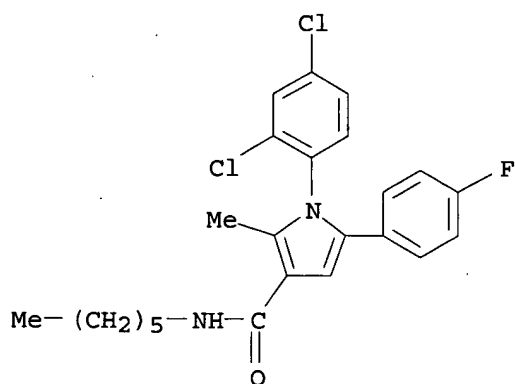
RN 118179-31-0 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, N-cyclohexyl-1-(2,4-dichlorophenyl)-5-(4-fluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)



RN 118179-32-1 HCAPLUS

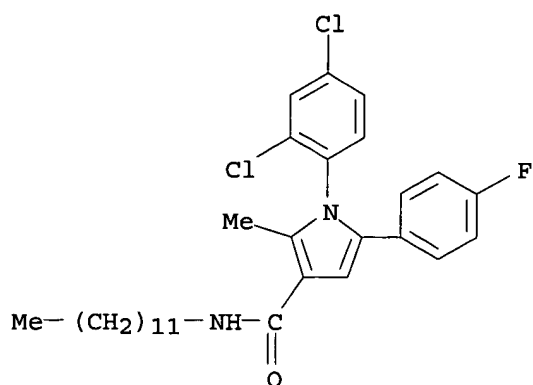
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RN 118179-33-2 HCAPLUS

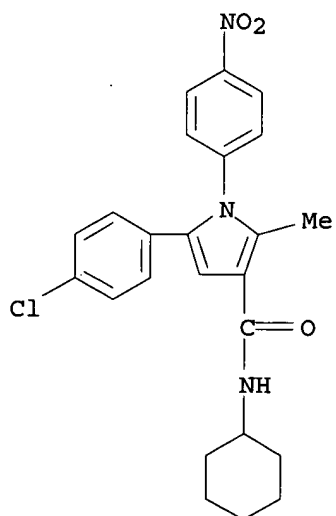
CN 1H-Pyrrole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-dodecyl-5-(4-fluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

02/08/2006,10540276.trn



RN 118179-35-4 HCAPLUS

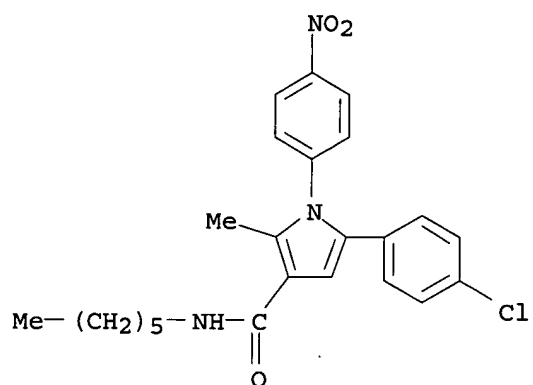
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RN 118179-36-5 HCAPLUS

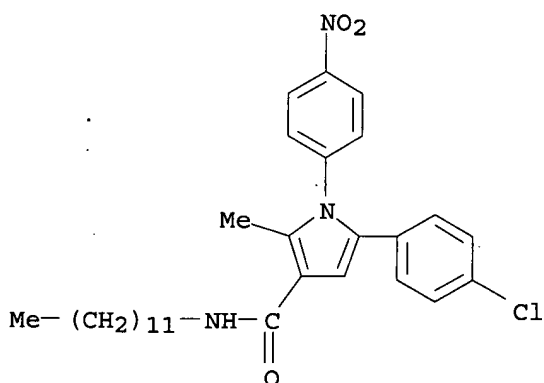
CN 1H-Pyrrole-3-carboxamide, 5-(4-chlorophenyl)-N-hexyl-2-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

02/08/2006,10540276.trn



RN 118179-37-6 HCAPLUS

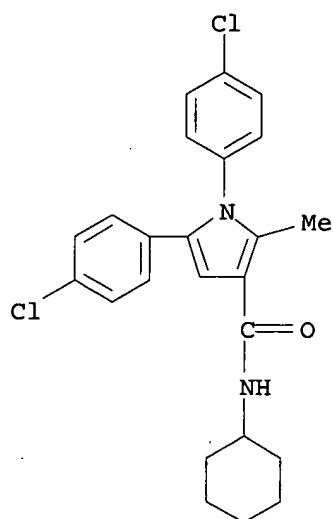
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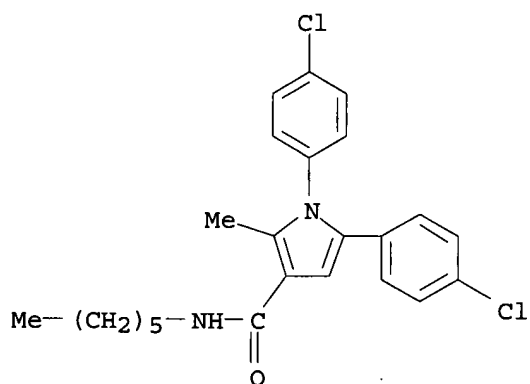
RN 118179-39-8 HCAPLUS

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02/08/2006,10540276.trn

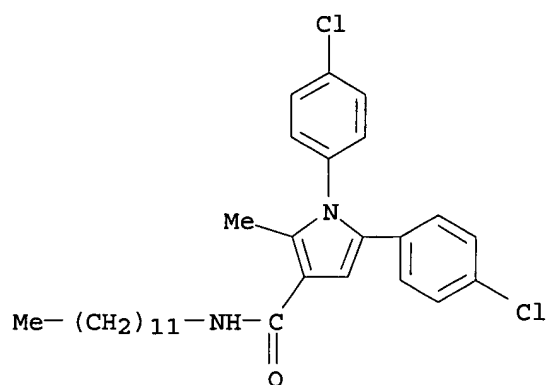


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(CA INDEX NAME)



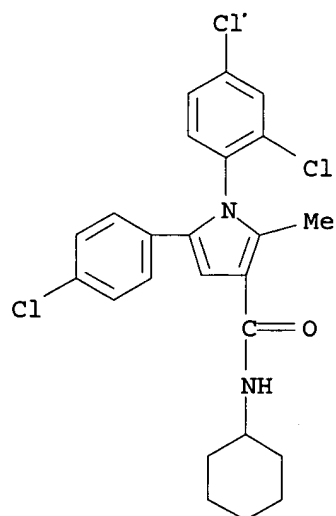
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(9CI) (CA INDEX NAME)

02/08/2006,10540276.trn



RN 118179-43-4 HCAPLUS

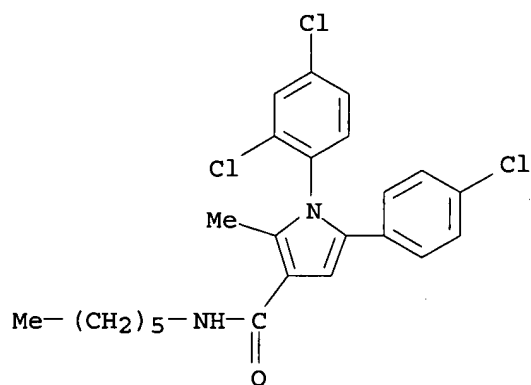
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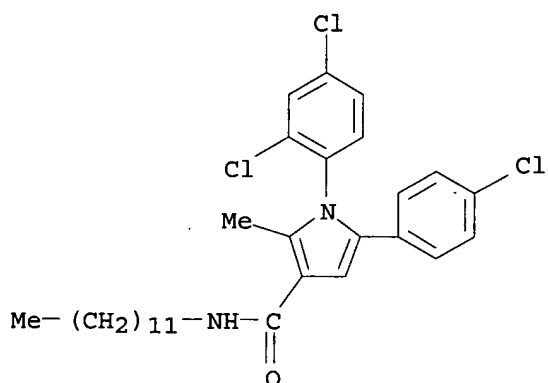
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02/08/2006,10540276.trn



RN 118179-45-6 HCAPLUS

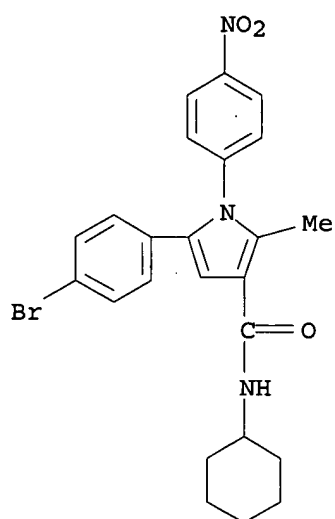
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RN 118179-47-8 HCAPLUS

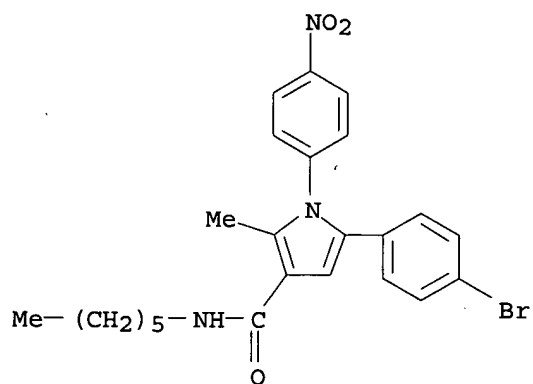
CN 1H-Pyrrole-3-carboxamide, 5-(4-bromophenyl)-N-cyclohexyl-2-methyl-1-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

02/08/2006,10540276.trn



RN 118179-48-9 HCAPLUS

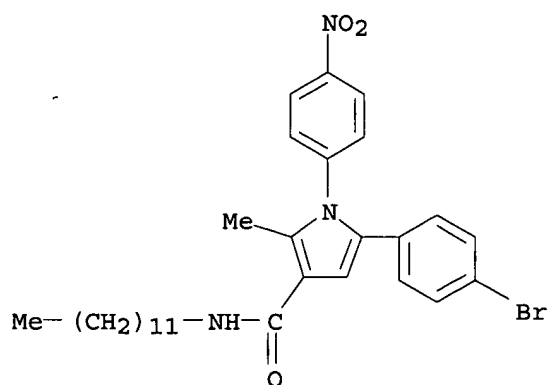
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RN 118179-49-0 HCAPLUS

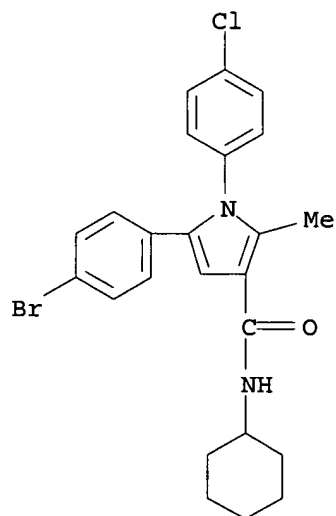
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02/08/2006,10540276.trn



RN 118179-51-4 HCAPLUS

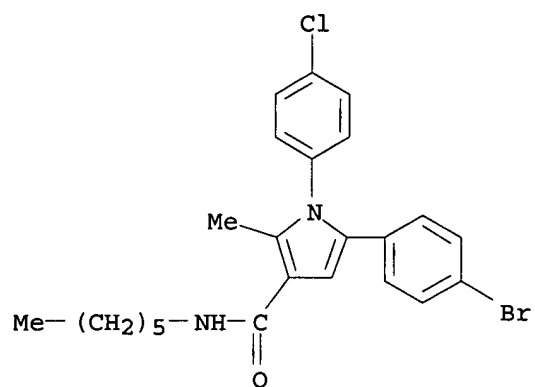
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RN 118179-52-5 HCAPLUS

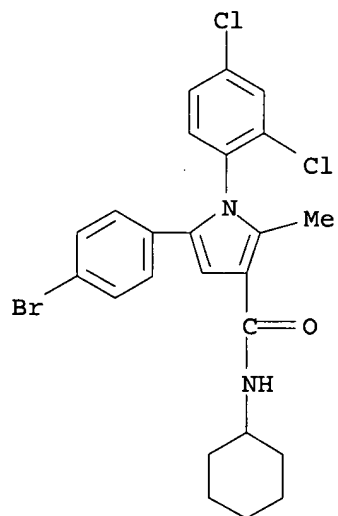
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02/08/2006,10540276.trn



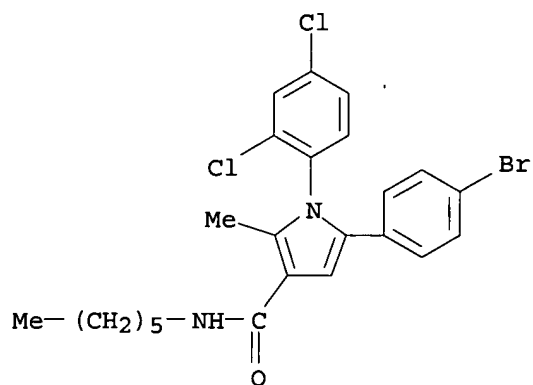
RN 118179-54-7 HCAPLUS

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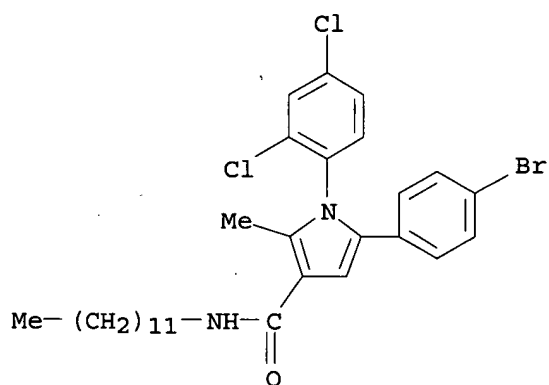
RN 118179-55-8 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 5-(4-bromophenyl)-1-(2,4-dichlorophenyl)-N-hexyl-2-methyl- (9CI) (CA INDEX NAME)



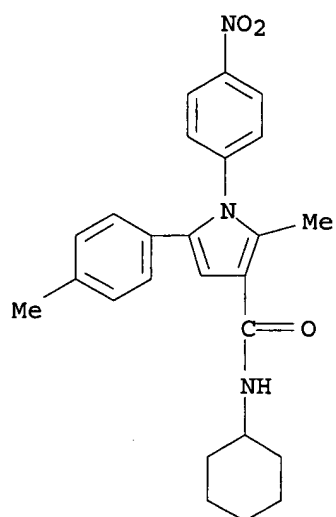
RN 118179-56-9 HCAPLUS

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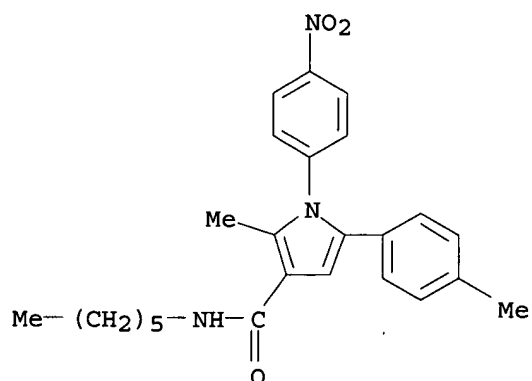


RN 118179-58-1 HCAPLUS

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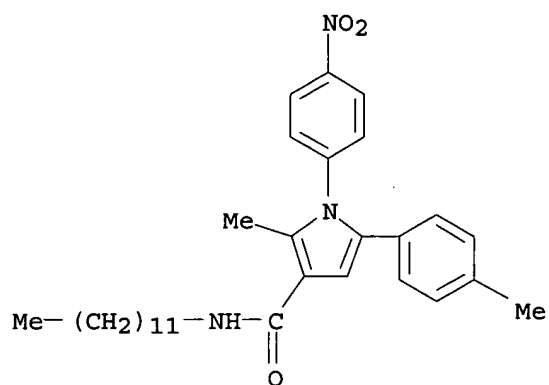


RN 118179-59-2 HCAPLUS
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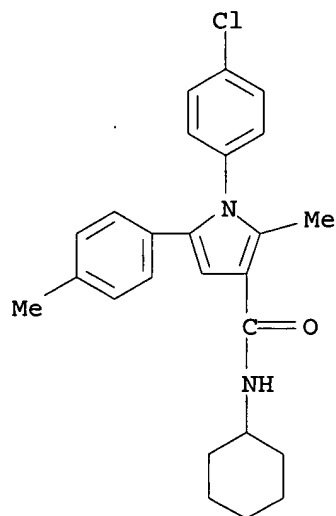
RN 118179-60-5 HCAPLUS
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02/08/2006,10540276.trn



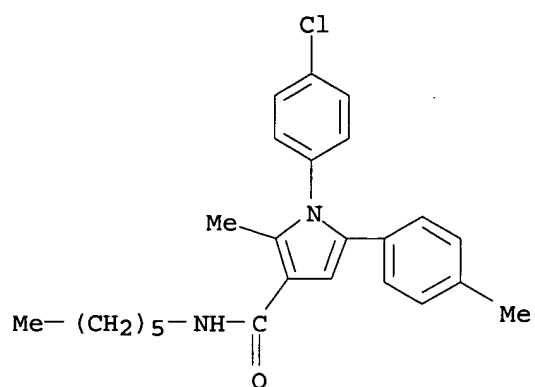
RN 118179-62-7 HCAPLUS

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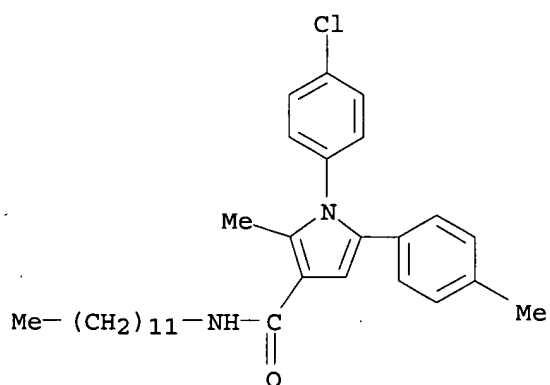
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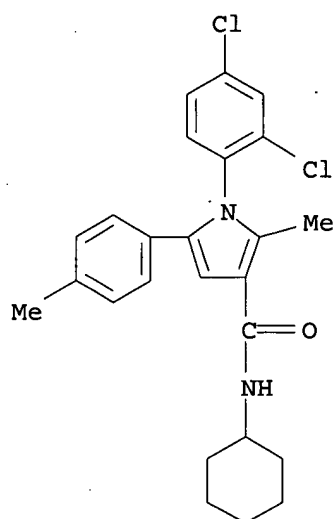
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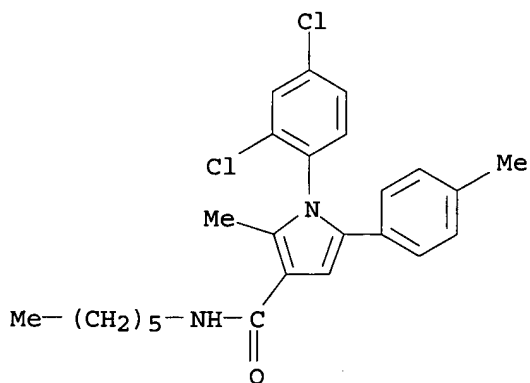
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RN 118179-67-2 HCAPLUS

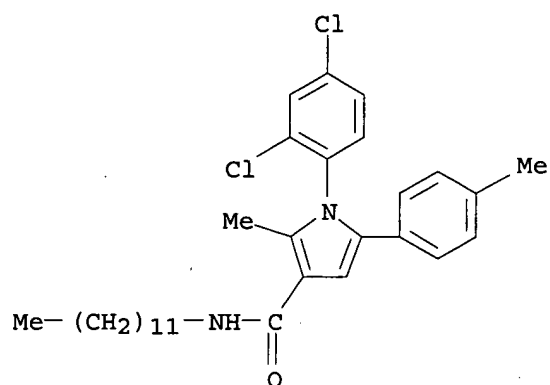
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RN 118179-68-3 HCAPLUS

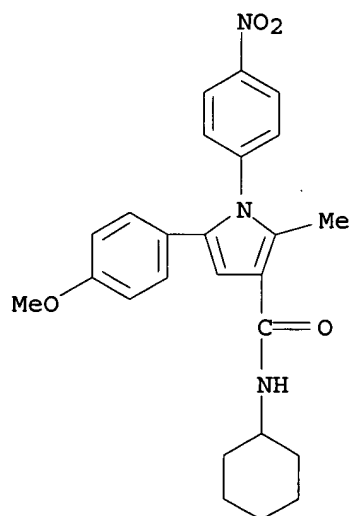
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02/08/2006,10540276.trn



RN 118179-70-7 HCAPLUS

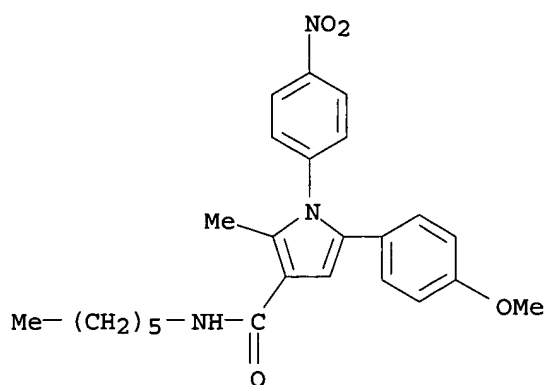
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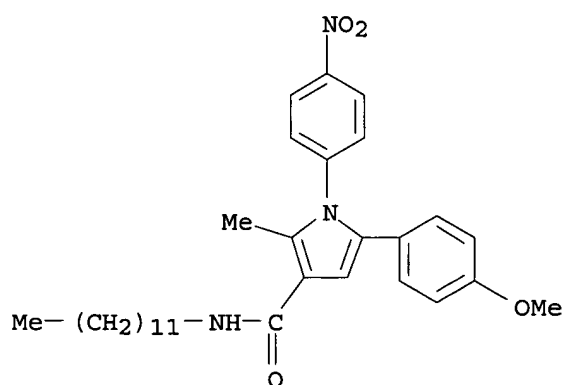
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02/08/2006,10540276.trn



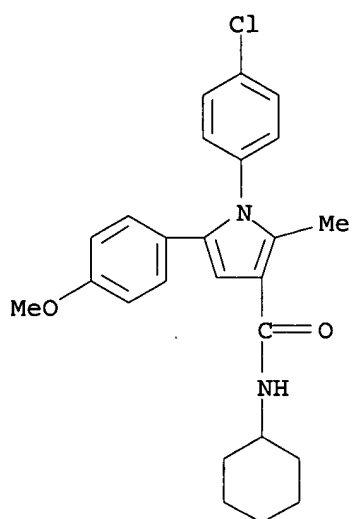
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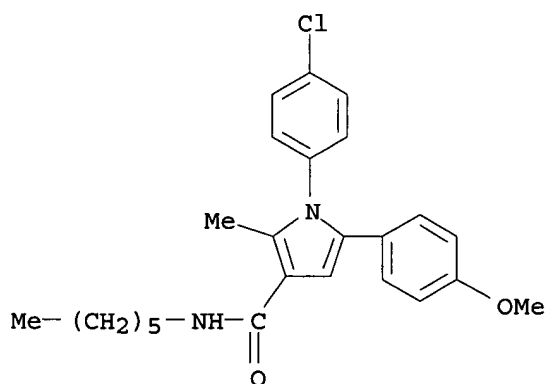


RN 118179-74-1 HCAPLUS

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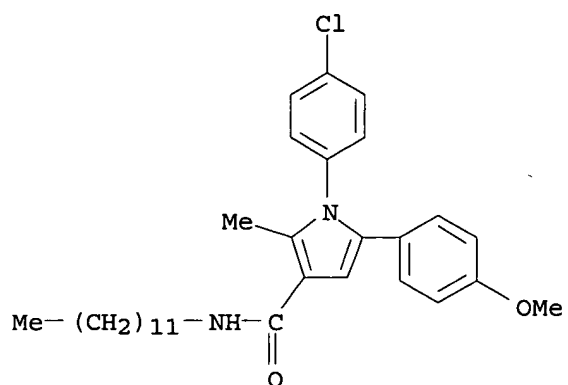


RN 118179-75-2 HCAPLUS
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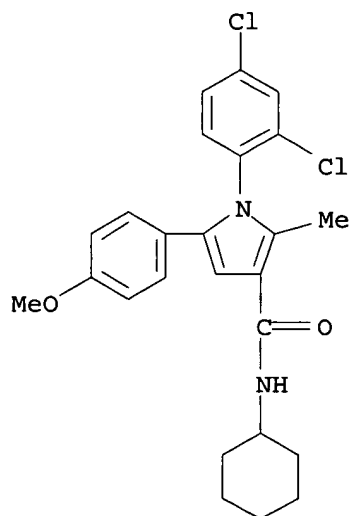
RN 118179-76-3 HCAPLUS
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02/08/2006,10540276.trn



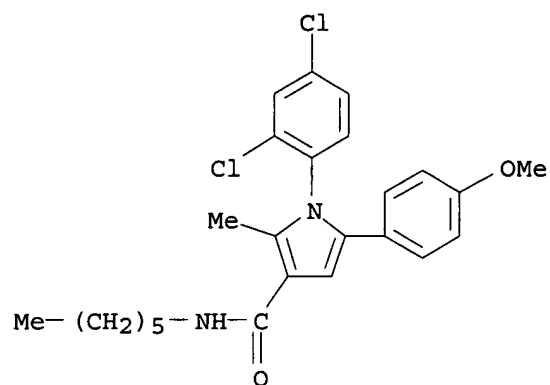
RN 118209-17-9 HCAPLUS

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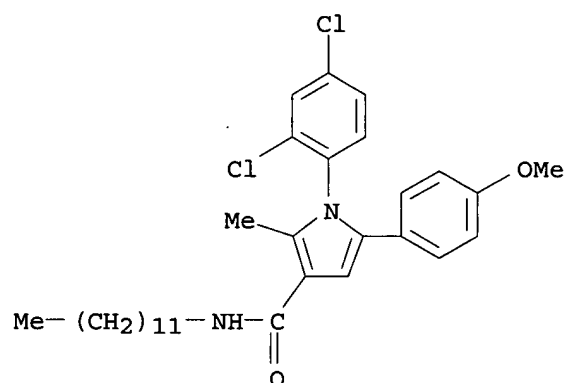
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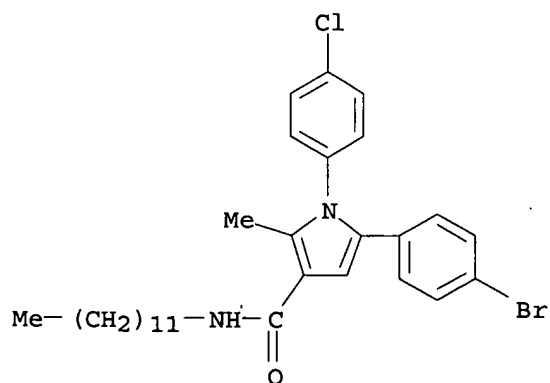
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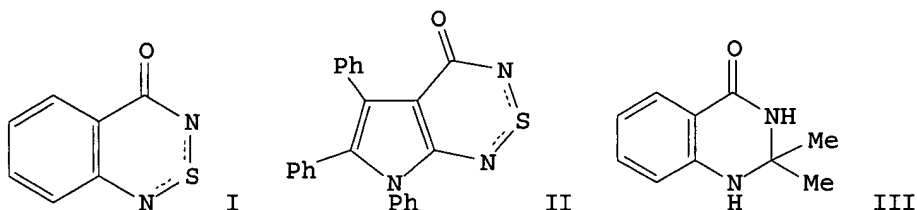
RN 118210-84-7 HCAPLUS

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02/08/2006,10540276.trn

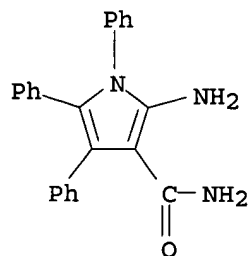
L4 ANSWER 24 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
GI



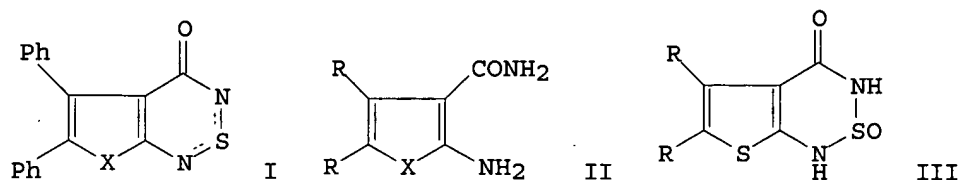
AB The title compound (I) was prepared by reaction of o-H₂NC₆H₄CONH₂ with SOCl₂. I reacted with 2-amino-1,4,5-triphenyl-3-pyrrolicarboxamide to give pyrrolothiadiazinone II. Chlorination of I with SCl₂ or SO₂Cl₂ gave 2,5-H₂NC₆H₃CONH₂. Bromination of I with Br at 0° gave 2,5-H₂NBrC₆H₃CONH₂ and at 20° gave 2,3,5-H₂NBr₂C₆H₂CONH₂. I and Me₂CO gave quinazoline III.

ACCESSION NUMBER: 1981:407231 HCAPLUS
DOCUMENT NUMBER: 95:7231
TITLE: Synthesis and reactions of 1,3-dihydro-2,1,3-benzothiadiazin-4-one
AUTHOR(S): Eger, Kurt
CORPORATE SOURCE: Pharm. Inst., Univ. Bonn, Bonn-Endenich, 5300, Fed. Rep. Ger.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1981), 314(2), 176-80
CODEN: ARPMAS; ISSN: 0365-6233
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 95:7231

IT 56023-01-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with didehydrobenzothiadiazinone, pyrrolothiadiazinone derivative from)
RN 56023-01-9 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 2-amino-1,4,5-triphenyl- (9CI) (CA INDEX NAME)



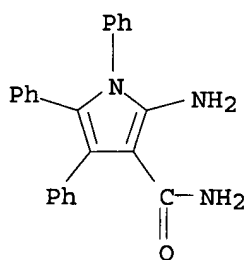
L4 ANSWER 25 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
GI



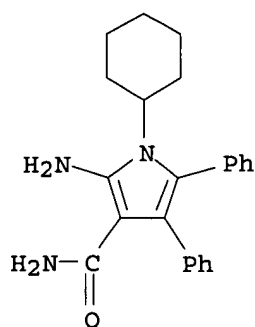
AB Thiadiazines I (X = PhCH₂N, PhCH₂CH₂N, cyclohexylimino, Me(CH₂)₅N, MeN, Me₂CHN, Me₂N(CH₂)₃N, O) were prepared by treating the amides II (R = Ph) with SOCl₂, SCl₂, or S₂Cl₂. The thiadiazine oxides III [R = Me, R₂ = (CH₂)₄] were prepared by reaction of II (X = S) with SOCl₂. II were prepared by hydrolysis of the corresponding nitriles.

ACCESSION NUMBER: 1981:208819 HCAPLUS
DOCUMENT NUMBER: 94:208819
TITLE: Synthesis of bicyclic 1,2,6-thiadiazines
AUTHOR(S): Offermann, Wolfgang; Eger, Kurt; Roth, Hermann J.
CORPORATE SOURCE: Pharm. Inst., Univ. Bonn, Bonn-Endenich, 5300, Fed. Rep. Ger.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1981), 314(2), 168-75
CODEN: ARPMAS; ISSN: 0365-6233
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 94:208819

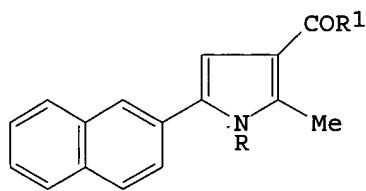
IT 56023-01-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation of, with sulfur)
RN 56023-01-9 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 2-amino-1,4,5-triphenyl- (9CI) (CA INDEX NAME)



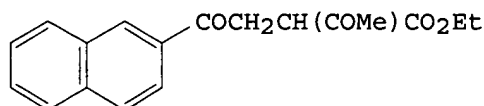
IT 77651-28-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and cyclization with disulfur dichloride)
RN 77651-28-6 HCAPLUS
CN 1H-Pyrrole-3-carboxamide, 2-amino-1-cyclohexyl-4,5-diphenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 26 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
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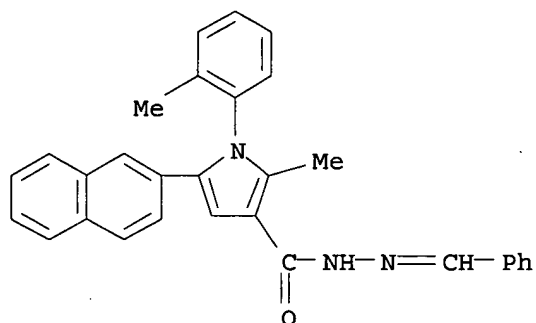
I



II

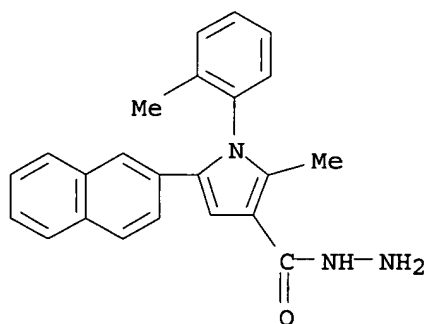
AB The title pyrrole derivs. (I; R, R1 = CH2CO2Et, OEt; CH2CO2H, OH; α -naphthyl, OEt; α -naphthyl, NHNH2; o-tolyl, OEt; α -naphthyl, OH; o-tolyl, OH; o-tolyl, NHNH2; o-tolyl, NHN:CHPh) were prepared by Knorr-Paal synthesis. Thus, 2 g II and 1 g α -naphthylamine in 1,4-dioxane were refluxed 3 h and the oily residue refluxed in 20% KOH-EtOH to give 66% I (R = α -naphthyl, R1 = OH).

ACCESSION NUMBER: 1981:174785 HCAPLUS
DOCUMENT NUMBER: 94:174785
TITLE: Synthesis of sterically hindered polysubstituted pyrroles
AUTHOR(S): Hua, Wen-Ting
CORPORATE SOURCE: Dep. Chem., Peking Univ., Peking, Peop. Rep. China
SOURCE: Huaxue Tongbao (1980), (11), 662-4
CODEN: HHTPAU; ISSN: 0441-3776
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
IT 77412-53-4P 77412-54-5P 77418-98-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 77412-53-4 HCAPLUS
CN 1H-Pyrrole-3-carboxylic acid, 2-methyl-1-(2-methylphenyl)-5-(2-naphthalenyl)-, (phenylmethylene)hydrazide (9CI) (CA INDEX NAME)



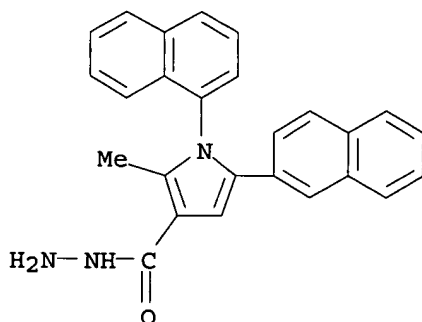
RN 77412-54-5 HCAPLUS

CN 1H-Pyrrole-3-carboxylic acid, 2-methyl-1-(2-methylphenyl)-5-(2-naphthalenyl)-, hydrazide (9CI) (CA INDEX NAME)



RN 77418-98-5 HCAPLUS

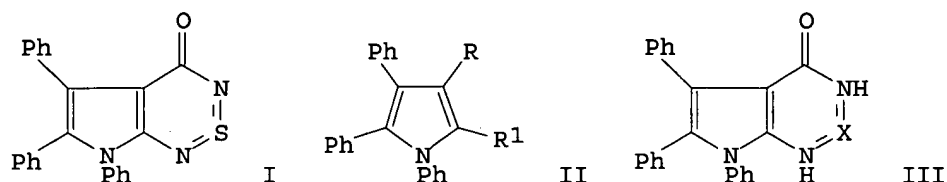
CN 1H-Pyrrole-3-carboxylic acid, 2-methyl-1-(1-naphthalenyl)-5-(2-naphthalenyl)-, hydrazide (9CI) (CA INDEX NAME)



L4 ANSWER 27 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN

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AB The pyrrolothiadiazine I was formed by treating the pyrrolecarboxamide II (R = CONH₂, R₁ = NH₂) with SOCl₂ in dioxane at 90°, in THF at 20°, or in DMF at 0°. The same reaction in DMF 30° gave I (R = CN, R₁ = N:CHNMe₂) and at 80-100° gave III (X = CHNMe₂) in acetone at 20-30° III (X = CMe₂) was obtained.

ACCESSION NUMBER: 1977:55398 HCAPLUS

DOCUMENT NUMBER: 86:55398

TITLE: Formation of a novel ring system:
pyrrolo[2,3-e]-thiadiazine

AUTHOR(S): Kim-Su, Myungeun; Eger, Kurt; Roth, Hermann J.

CORPORATE SOURCE: Pharm. Inst., Univ. Bonn, Bonn, Fed. Rep. Ger.

SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1976),
309(9), 721-4

CODEN: ARPMAS; ISSN: 0365-6233

DOCUMENT TYPE: Journal

LANGUAGE: German

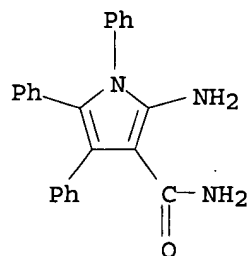
IT 56023-01-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with thionyl chloride, solvent effect on)

RN 56023-01-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-amino-1,4,5-triphenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 28 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 12 May 1984

GI For diagram(s), see printed CA Issue.

AB The title ring systems (I, X = N, S) were obtained by hydrolyzing the pyrrole II (R = CN) with polyphosphoric acid-H₃PO₄ and cyclizing II (R = CONH₂) with NaNO₂ or SOCl₂. Hydrolysis of II (R = CN) with 100% H₃PO₄ gave II (R = CO₂H).

ACCESSION NUMBER: 1975:428198 HCAPLUS

DOCUMENT NUMBER: 83:28198

TITLE: Two new rings systems. Pyrrolo[2,3-d]azimide and
pyrrolo[2,3-e]thiadiazine

AUTHOR(S): Roth, H. J.; Eger, K.

CORPORATE SOURCE: Pharm. Inst., Univ. Bonn, Bonn, Fed. Rep. Ger.

SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1975),
308(3), 186-9

CODEN: ARPMAS; ISSN: 0365-6233

DOCUMENT TYPE: Journal

LANGUAGE: German

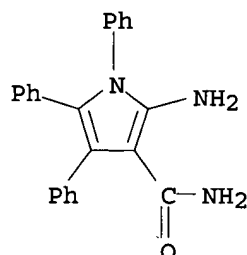
IT 56023-01-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and cyclization of, with nitrite or thionyl chloride)

RN 56023-01-9 HCAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-amino-1,4,5-triphenyl- (9CI) (CA INDEX NAME)



L4 ANSWER 29 OF 29 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 16 Dec 2001

GI For diagram(s), see printed CA Issue.

AB 1,2,5-Triphenyl-3-pyrrolicarboxylic acid and SOCl_2 give the chloride (I) as a red resin; the anilide, from I and PhNH_2 in boiling C_6H_6 , m. 171° ; Me ester, m. $156-7^\circ$. I and C_6H_6 with AlCl_3 give 1,2,4-triphenyl-3-benzoylpyrrole, yellow, m. 238° . $\text{PhCH}_2\text{COCH}_2\text{CO}_2\text{Et}$ and PhCOCH_2Br with Na give Et γ -phenyl- α -phenacylacetoacetate (II) which with NH_3 in EtOH yields Et γ -phenyl- α -phenacyl- β -aminocrotonate, m. $166-7^\circ$; N H_2SO_4 gives 5-phenyl-2-benzyl-3-pyrrolicarboxylic acid, m. 181° ; Et ester, m. 137° ; the acid chloride could not be prepared II and PhNH_2 in AcOH give 1,5-diphenyl-2-benzyl-3-pyrrolicarboxylic acid, m. 191° ; Et ester, m. $100-1^\circ$; again the acid chloride could not be prepared $\text{PhCH}_2\text{COCO}_2\text{Et}$ and PhNMeNH_2 in 2 N HCl give 1-methyl-3-phenyl-2-indolecarboxylic acid (IIA), m. $197-8^\circ$; chloride (III), b 0.5 180° , m. 100° . III and C_6H_6 with Al 13 give 1-methyl-1'-oxoindeno[2',3',2,3]indole (IV), reddish brown, m. $147-8^\circ$; 2,4-dinitrophenylhydrazone, brownish violet, m. $313-14^\circ$. With concentrated H_2SO_4 IIA gives 1-methyl-3-phenylindole, m. 65° . Et benzoylacetate asymmethylphenylhydrazone, yellow, 128° ; HCl in EtOH gives the Et ester, m. 97° (picrate, yellow, m. $137-8^\circ$), of 1-methyl-2-phenyl-3-indolecarboxylic acid, m. $201-2^\circ$; the chloride and C_6H_6 with AlCl_3 give 1-methyl-2-phenyl-3-benzoylindole, yellow, m. 130° ; 2,4-dinitrophenylhydrazone, deep red, m. 269° . 1-Methyl-3-benzyl-2-indolecarboxylic acid, m. 194° ; the chloride, yellow, m. $117-18^\circ$; AlCl_3 and C_6H_6 give 9-methyl-2,3-benzo-1-oxo-1,4-dihydrocarbazole (V), yellow, m. $215-16^\circ$. 3-Phenyl-2-indolecarboxylic acid, m. 186° ; chloride, pale yellow, m. 164° (decomposition), which then does not m. at 360° ; the chloride on heating at $175-80^\circ$ or with AlCl_3 in PhNO_2 gives 3',3''-diphenyl-1,2,4,5,1',2',1'',2''-diindolo-3,6-diketopiperazine (VI), yellow powder. VI heated with $\text{C}_5\text{H}_5\text{N}$ or $\text{N}_2\text{H}_4 \cdot \text{H}_2\text{O}$ at 200° gives 3-phenyl-2-indolecarboxylic hydrazide, m. 227° ; benzylidene compound, m. 237° . Phenylpyruvic acid 4-toluyldhydrazone, yellow, m. $145-6^\circ$; alc. HCl gives 5-methyl-3-phenyl-2-indolecarboxylic acid,